Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 4	OCT 03	MATHDI removed from STN
NEWS 5	OCT 04	CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS 6	OCT 13	New CAS Information Use Policies Effective October 17, 2005
NEWS 7	OCT 17	STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools
NEWS 8	OCT 27	Free KWIC format extended in full-text databases
NEWS 9	OCT 27	DIOGENES content streamlined
NEWS 10	OCT 27	EPFULL enhanced with additional content
NEWS 11	NOV 14	CA/CAplus - Expanded coverage of German academic research
NEWS 12	NOV 30	REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data
NEWS 13	DEC 05	CASREACT(R) - Over 10 million reactions available
NEWS 14	DEC 14	2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS 15	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 16	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS 17	DEC 16	MARPATprev will be removed from STN on December 31, 2005
NEWS 18	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 19	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2

NEWS EXPRESS	DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005. V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT http://download.cas.org/express/v8.0-Discover/
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:38:28 ON 27 DEC 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:38:36 ON 27 DEC 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6
DICTIONARY FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

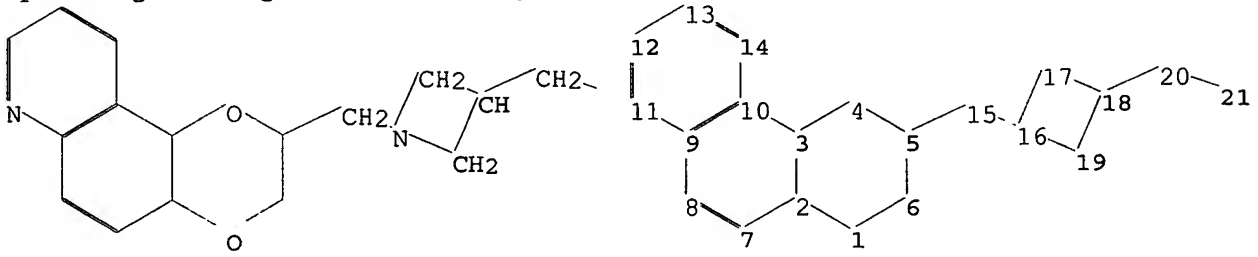
****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10659167\Struc 1.str



chain nodes :
15 20

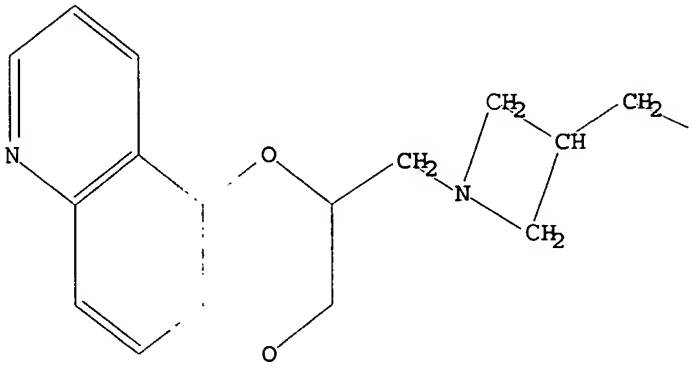
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 16 17 18 19 21
chain bonds :
5-15 15-16 18-20 20-21
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 9-11 10-14 11-12 12-13
13-14 16-17 16-19 17-18 18-19
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 16-17 16-19 17-18 18-19
exact bonds :
5-15 15-16 18-20 20-21
normalized bonds :
9-10 9-11 10-14 11-12 12-13 13-14

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:39:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 11 TO 389
PROJECTED ANSWERS: 1 TO 80

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L2             1 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 08:39:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      180 TO ITERATE

100.0% PROCESSED      180 ITERATIONS                              13 ANSWERS
SEARCH TIME: 00.00.01

L3            13 SEA SSS FUL L1

=> file caplus medline
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 08:39:17 ON 27 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 08:39:17 ON 27 DEC 2005

=> l3
L4             2 L3

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5             2 DUP REM L4 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:252514  CAPLUS
DOCUMENT NUMBER:          140:287395
TITLE:                    Preparation of antidepressant azaheterocyclylmethyl
                          derivs. of heterocycle-fused benzodioxans
INVENTOR(S):              Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S):       Wyeth, John, and Brother Ltd., USA
SOURCE:                   PCT Int. Appl., 75 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2004132714         A1    20040708    US 2003-659167          20030910
     CA 2498134            AA    20040325    CA 2003-2498134         20030911
     EP 1537119            A1    20050608    EP 2003-752213          20030911
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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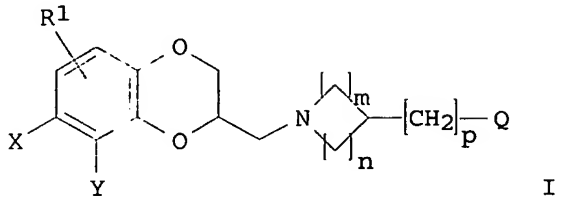
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014429	A	20050823	BR 2003-14429		20030911
NO 2005001769	A	20050525	NO 2005-1769		20050411
PRIORITY APPLN. INFO.:			US 2002-410168P	P	20020912
			US 2003-659167	A	20030910
			WO 2003-US28413	W	20030911

OTHER SOURCE(S): MARPAT 140:287395

GI



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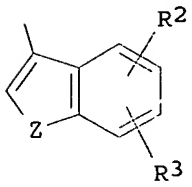

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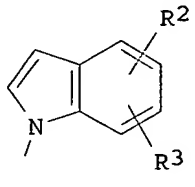


III

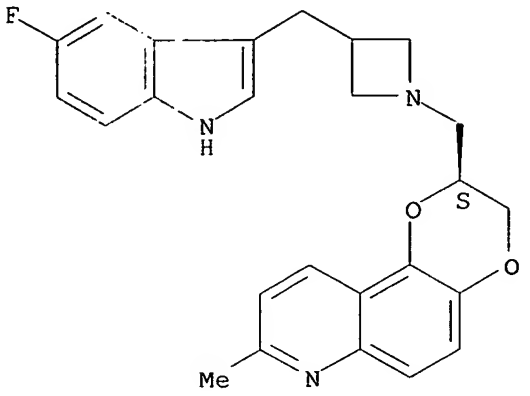
AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676125-36-3P 676125-38-5P 676125-42-1P**
676125-43-2P 676125-44-3P 676125-56-7P
676125-57-8P 676125-86-3P 676125-91-0P
676125-92-1P 676126-00-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans)

RN 676125-36-3 CAPLUS

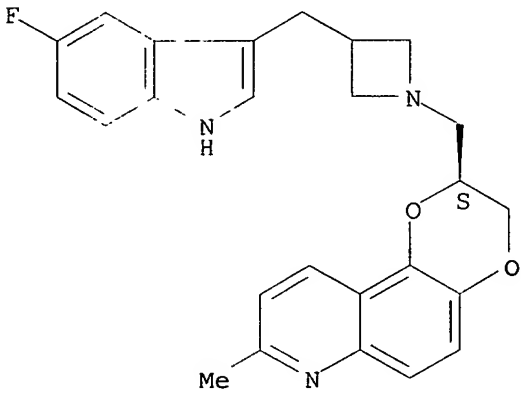
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

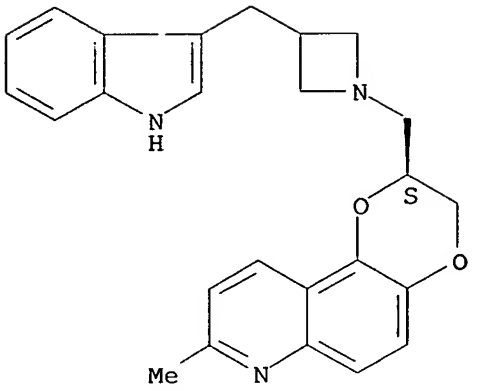
Absolute stereochemistry.



●2 HCl

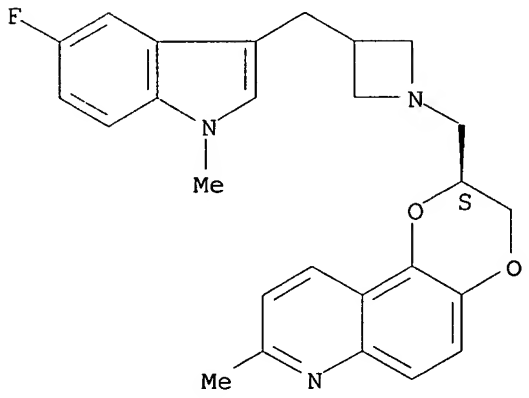
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

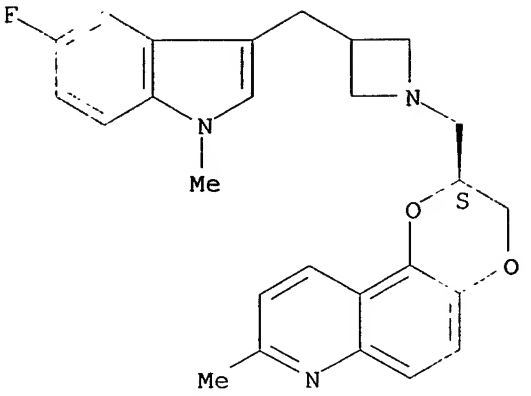


RN 676125-44-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

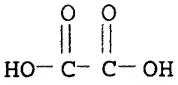
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



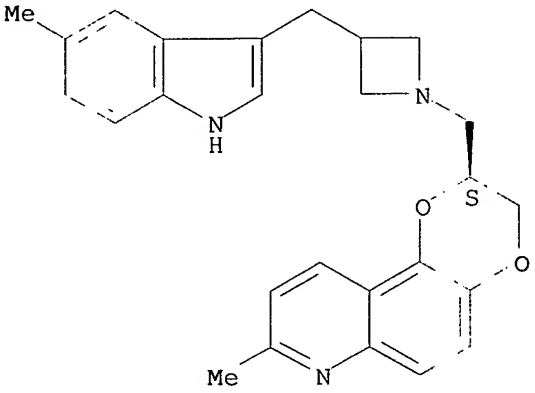
CM 2

CRN 144-62-7
CMF C2 H2 O4



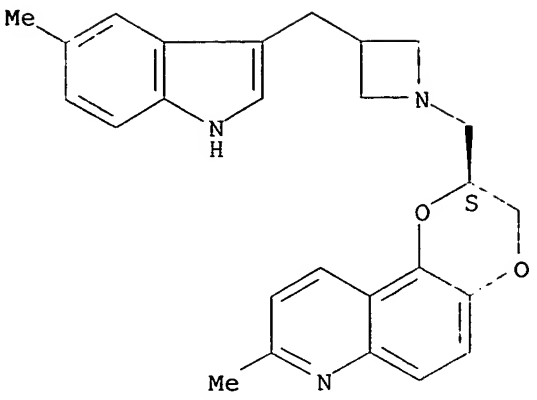
RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



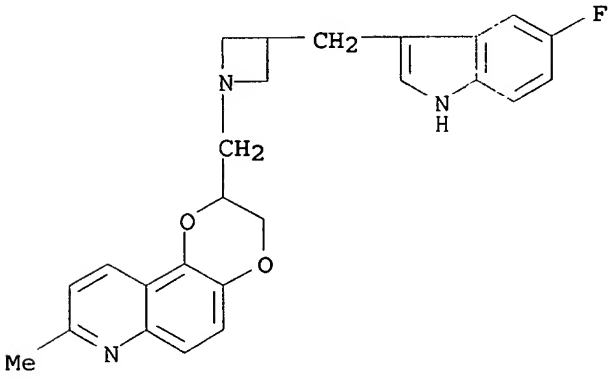
RN 676125-57-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

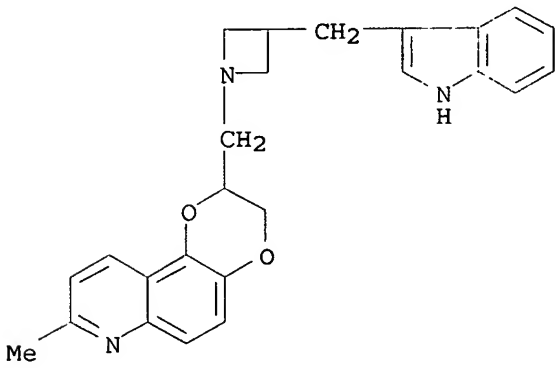


●2 HCl

RN 676125-86-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

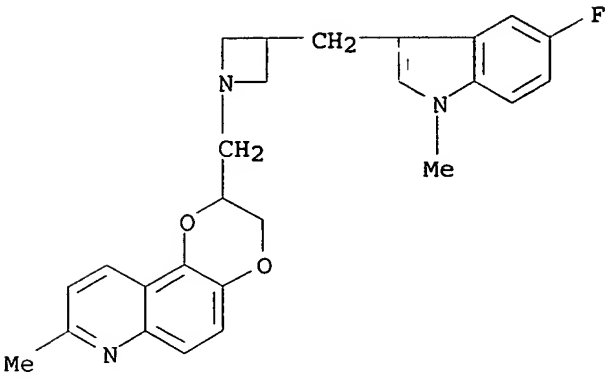


RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)

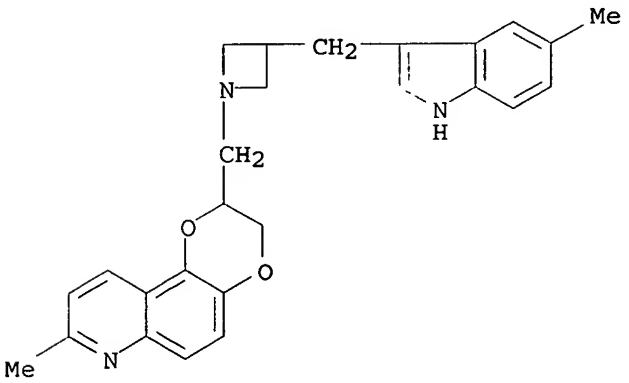


RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-

yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:550738 CAPLUS
DOCUMENT NUMBER: 141:89093
TITLE: Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,168.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2004132714 A1 20040708 US 2003-659167 20030910
CA 2498134 AA 20040325 CA 2003-2498134 20030911
WO 2004024730 A1 20040325 WO 2003-US28413 20030911
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1537119 A1 20050608 EP 2003-752213 20030911
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OTHER SOURCE(S): MARPAT 141:89093

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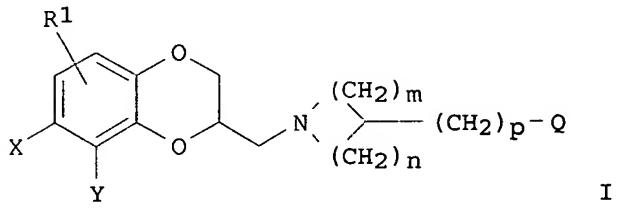

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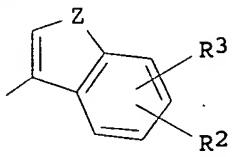
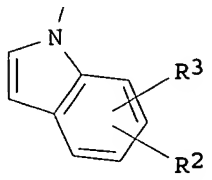


Q2=



AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized

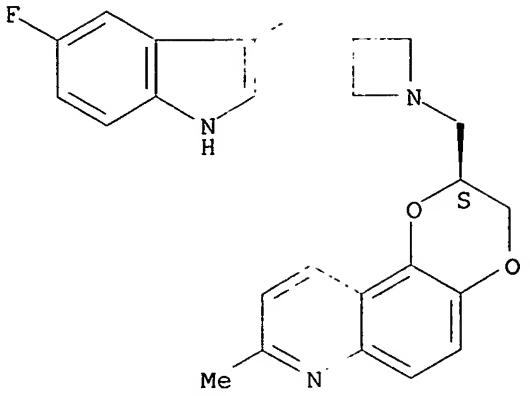
anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-bromobenzenesulfonic acid (8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester (0.35 g, 0.80 mmol), 3-[(azetidin-3-yl)methyl]-5-fluoro-1H-indole (0.19 g, 0.96 mmol), and Et3N (0.16 mL, 1.2 mmol) in DMSO (20 mL) was heated at 90° under nitrogen overnight to give, after workup and silica gel chromatog., (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline (II) as a brown oil which was converted into the dihydrochloride. II.2HCl and (S)-1-[2-[1-[(8-Methyl-2,3-dihydro-[1,4]-dioxino[2,3-f]quinolin-2-yl)methyl]azetidin-3-yl]ethyl]-1H-indole-6-carbonitrile showed an affinity to 5-HT1A serotonin receptor in displacing [3H]8-OHDPAT (dipropylaminotetralin) from 5-HT1A serotonin receptor in CHO cells with Ki of 2.50 and 1.52 nM, resp.

IT **676125-36-3P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-38-5P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-42-1P**, (S)-2-[[3-(1H-Indol-3-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-43-2P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-56-7P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-86-3P**, 2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-91-0P**, 2-[3-[3-[(1H-Indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-92-1P**, 2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676126-00-4P**, 8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **716323-03-4P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline oxalate **716323-11-4P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (azaheterocyclylmethyl)heterocycle-fused benzodioxans having affinity to 5-HT1A serotonin receptor as antidepressants)

RN 676125-36-3 CAPLUS

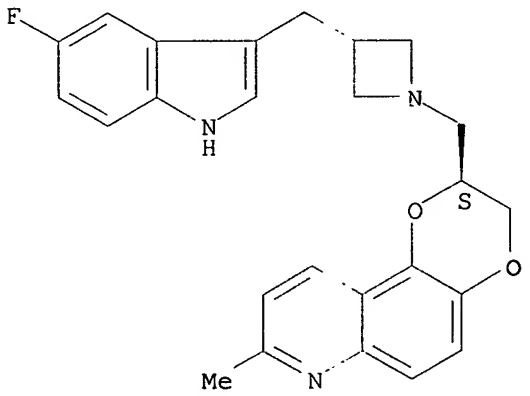
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

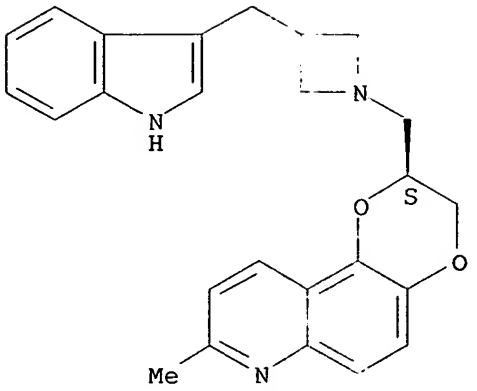
Absolute stereochemistry.



●2 HCl

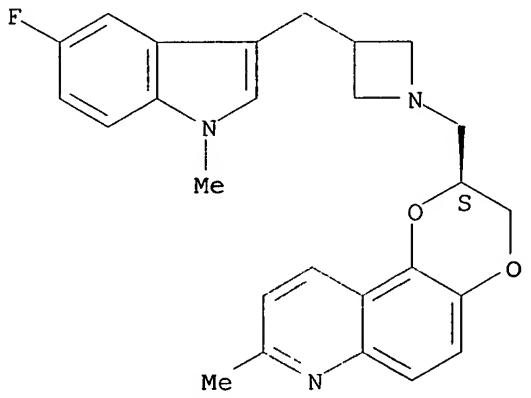
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



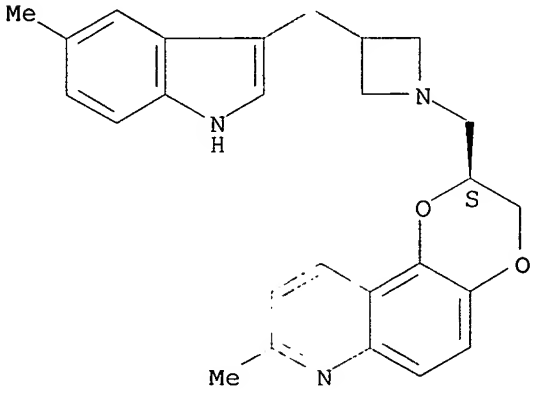
RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



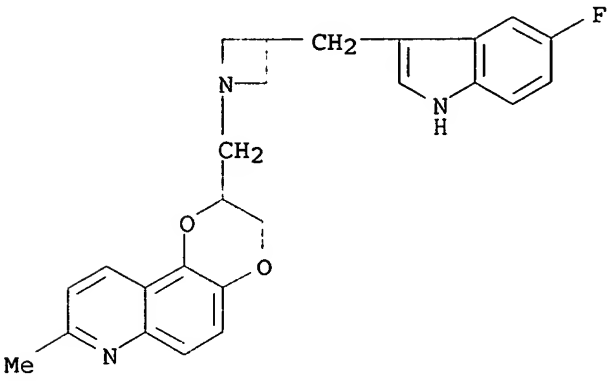
RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

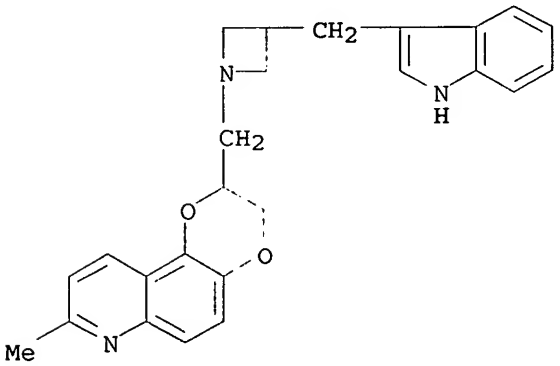


RN 676125-86-3 CAPLUS

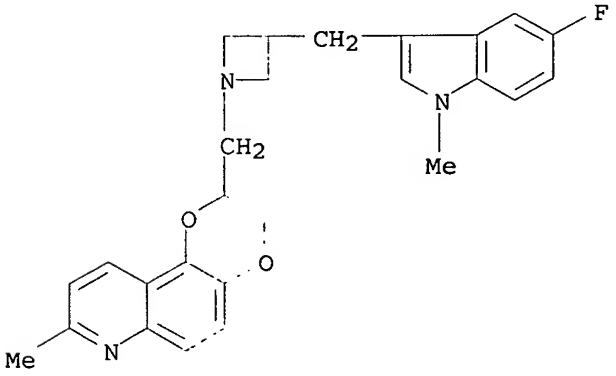
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



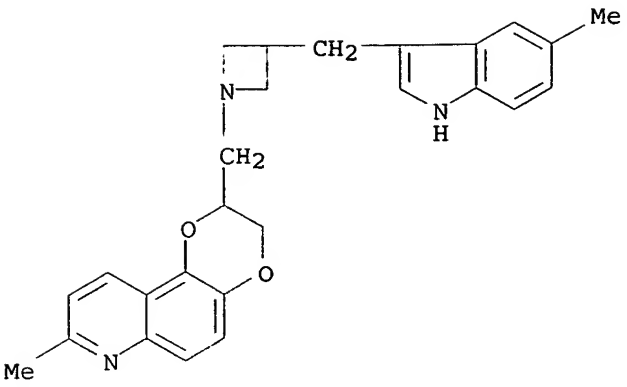
RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)



RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)

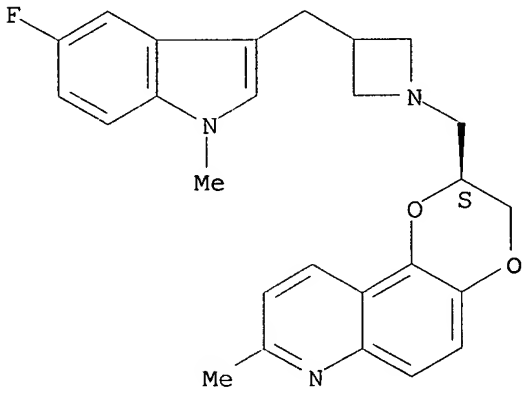


RN 716323-03-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

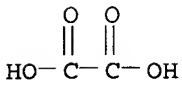
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



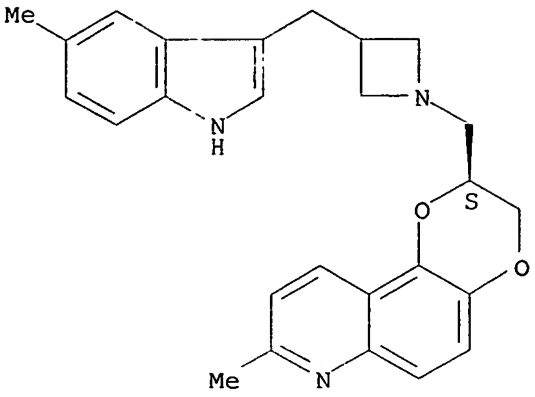
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 716323-11-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      12.32     173.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                      -1.46      -1.46

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STRUCTURE FILE UPDATES:   26 DEC 2005  HIGHEST RN 870675-00-6
DICTIONARY FILE UPDATES:  26 DEC 2005  HIGHEST RN 870675-00-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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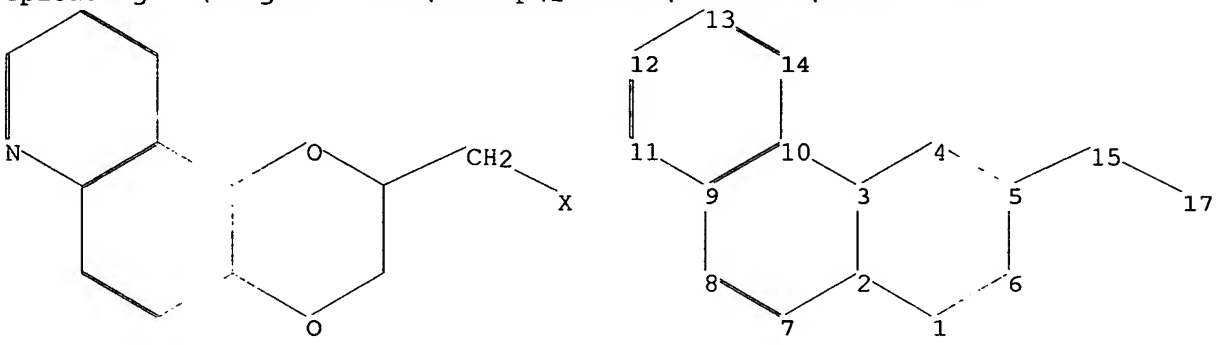
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.
```

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10659167\Struc 2.str



chain nodes :
15 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
5-15 15-17
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 9-11 10-14 11-12 12-13
13-14
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9
exact bonds :
5-15 15-17
normalized bonds :
9-10 9-11 10-14 11-12 12-13 13-14

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 17:CLASS

L6 STRUCTURE UPLOADED

=> l6
SAMPLE SEARCH INITIATED 08:42:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

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L7             0 SEA SSS SAM L6

=> l6 full
FULL SEARCH INITIATED 08:42:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      139 TO ITERATE

100.0% PROCESSED      139 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L8             0 SEA SSS FUL L6

=> file caplus medline scisearch
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     161.76     335.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)            SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -1.46

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FILE 'SCISEARCH' ENTERED AT 08:42:59 ON 27 DEC 2005
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=> zhou
L9          1606 ZHOU

=> stack
L10        48413 STACK

=> zhou and stack
L11            1 ZHOU AND STACK

=> d l11 ibib abs

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         1998:59666  CAPLUS
DOCUMENT NUMBER:          128:109258
TITLE:                    Superconductivity-induced phonon renormalization in
                          (Cu,C)Ba2Ca2Cu4Oz superconductor
AUTHOR(S):                Hadjiev, V. G.; Cardona, M.; Du, Z. L.; Xue, Y. Y.;
                          Chu, C. W.
CORPORATE SOURCE:         Max-Planck-Institut Festkoerperforschung, Stuttgart,
                          D-70569, Germany
SOURCE:                   Physica Status Solidi B: Basic Research (1998),
                          205(1), R1-R2
                          CODEN: PSSBBD; ISSN: 0370-1972
PUBLISHER:                Akademie Verlag GmbH
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   The supercond.-induced phonon renormalization effect recently discovered (
     Zhou et al. (1997)) for HgBa2Ca3Cu4O10+x (Hg-1234) was now
     investigated in another 4 CuO2-layer-containing superconductor
     (Cu,C)Ba2Ca3Cu4Oz ((Cu,C)-1234) using Raman spectroscopy.  In the
     (Cu,C)-1234 samples, a supercond.-induced phonon self-energy effect
     similar to that observed in Hg-1234 was found.  The only structural features
     the 2 classes of superconducting compds. have in common is the
```

stack of CuO2 layers separated by Ca which in the authors' opinion is an indication for the measurement of an intrinsic property related only to the supercond. in the doped CuO2 planes.

```
=> logoff y
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY     SESSION
FULL ESTIMATED COST                                        13.26      348.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                          ENTRY     SESSION
CA SUBSCRIBER PRICE                                        -0.73       -2.19

STN INTERNATIONAL LOGOFF AT 08:43:35 ON 27 DEC 2005


Connecting via Winsock to STN



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PASSWORD:
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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09  ACD predicted properties enhanced in REGISTRY/ZREGISTRY
 NEWS  4  OCT 03  MATHDI removed from STN
 NEWS  5  OCT 04  CA/CAplus-Canadian Intellectual Property Office (CIPO) added
                  to core patent offices
 NEWS  6  OCT 13  New CAS Information Use Policies Effective October 17, 2005
 NEWS  7  OCT 17  STN(R) AnaVist(TM), Version 1.01, allows the export/download
                  of CAplus documents for use in third-party analysis and
                  visualization tools
 NEWS  8  OCT 27  Free KWIC format extended in full-text databases
 NEWS  9  OCT 27  DIOGENES content streamlined
 NEWS 10  OCT 27  EPFULL enhanced with additional content
 NEWS 11  NOV 14  CA/CAplus - Expanded coverage of German academic research
 NEWS 12  NOV 30  REGISTRY/ZREGISTRY on STN(R) enhanced with experimental
                  spectral property data
 NEWS 13  DEC 05  CASREACT(R) - Over 10 million reactions available
 NEWS 14  DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE
 NEWS 15  DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
 NEWS 16  DEC 14 CA/CAplus to be enhanced with updated IPC codes
 NEWS 17  DEC 16 MARPATprev will be removed from STN on December 31, 2005
 NEWS 18  DEC 21 IPC search and display fields enhanced in CA/CAplus with the
                 IPC reform
 NEWS 19  DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2

 NEWS EXPRESS  DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
               V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
               http://download.cas.org/express/v8.0-Discover/
```

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                2006 PRICES FOR STN COLUMBUS FILES.  THIS HAS BEEN
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FILE 'HOME' ENTERED AT 10:42:49 ON 03 JAN 2006

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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STRUCTURE FILE UPDATES:     2 JAN 2006  HIGHEST RN 870976-29-7
DICTIONARY FILE UPDATES:    2 JAN 2006  HIGHEST RN 870976-29-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

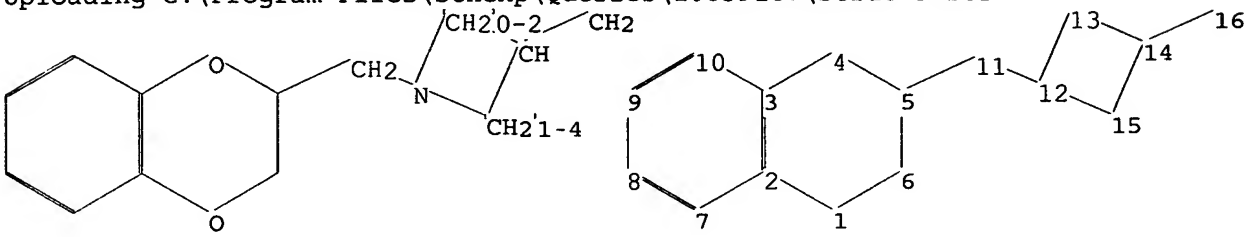
*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.
```

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10659167\Struc 3.str



chain nodes :
11 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15
chain bonds :
5-11 11-12 14-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-15 13-14 14-15

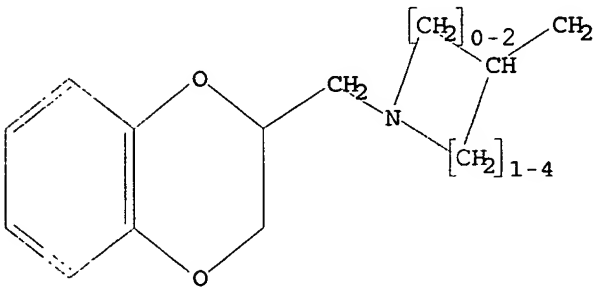
exact/norm bonds :
1-2 1-6 3-4 4-5 5-6 12-13 12-15 13-14 14-15
exact bonds :
5-11 11-12 14-16
normalized bonds :
2-3 2-7 3-10 7-8 8-9 9-10

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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=> l1
SAMPLE SEARCH INITIATED 10:43:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       90 TO ITERATE

100.0% PROCESSED       90 ITERATIONS                              20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1231 TO     2369
PROJECTED ANSWERS:             132 TO      668

L2            20 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 10:43:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2212 TO ITERATE

100.0% PROCESSED     2212 ITERATIONS                             501 ANSWERS
SEARCH TIME: 00.00.01

L3           501 SEA SSS FUL L1

=> file caplus medline
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     167.15

FILE 'CAPLUS' ENTERED AT 10:43:43 ON 03 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 10:43:43 ON 03 JAN 2006

=> l3
L4            34 L3

=> dup rem l3
DUPLICATE IS NOT AVAILABLE IN 'REGISTRY'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  10.87     178.02

FILE 'REGISTRY' ENTERED AT 10:43:50 ON 03 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    2 JAN 2006  HIGHEST RN 870976-29-7
DICTIONARY FILE UPDATES:   2 JAN 2006  HIGHEST RN 870976-29-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.
```

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html
PROCESSING COMPLETED FOR L3
L5 501 DUP REM L3 (0 DUPLICATES REMOVED)

=> file caplus medline

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.44	178.46

FILE 'CAPLUS' ENTERED AT 10:43:57 ON 03 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'MEDLINE' ENTERED AT 10:43:57 ON 03 JAN 2006

=> dup rem l4
PROCESSING COMPLETED FOR L4
L6 33 DUP REM L4 (1 DUPLICATE REMOVED)

=> d scan

L6 33 ANSWERS CAPLUS COPYRIGHT 2006 ACS on STN
IC C07D401-12; C07D405-14; C07D413-12; A61K031-445; C07D413-14
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
TI Substituted 2,5-diamino-1,4-diazole derivatives with antihypertensive activity
ST heterocyclylaminoalkylpiperidine antihypertensive prepn; aralkylpiperidinoalkylaminodiazole; piperidinoalkylaminodiazole
IT Antihypertensives
(heterocyclylaminoalkylpiperidines)
IT 89483-73-8 **89483-76-1 90618-24-9** 90618-25-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with hydrazines and hydroxylamines)
IT 60-34-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with methylisothioureidyl alkylpiperidine derivs.)
IT **90618-34-1 90618-35-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with methylisothioureidylalkylpiperidine derivs.)
IT **89483-82-9P 90618-29-4P 90618-30-7P**

90618-31-8P 90618-37-4P 90618-38-5P 90618-39-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine)
IT 89483-87-4P 90618-27-2P 90618-28-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazines)
IT 89483-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydroxyl amine)
IT 90618-32-9P 90618-33-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydroxylamine)
IT 90618-42-1P 90618-43-2P 90618-44-3P 90618-45-4P 90618-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 90618-40-9P 90618-41-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
IT 10191-60-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminoalkylpiperidines)
IT **89483-81-8** 89483-91-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyaniminodithiocarbonate)
IT 89483-86-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanoiminodithiocarbanate)
IT 90618-36-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanoiminodithiocarbonate)
IT 89483-31-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)
IT 302-01-2, reactions 5470-11-1 90618-26-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylisothioureidylakylpiperidines)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

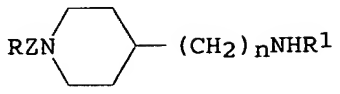
=> d ibib abs hitstr 25-33

L6 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:424269 CAPLUS
DOCUMENT NUMBER: 105:24269
TITLE: Antihypertensive substituted diaminodiazoles and -triazoles
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 4569933	A	19860211	US 1984-599784	19840413
PRIORITY APPLN. INFO.:			US 1984-599784	19840413

GI



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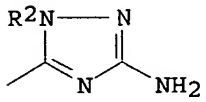
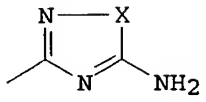
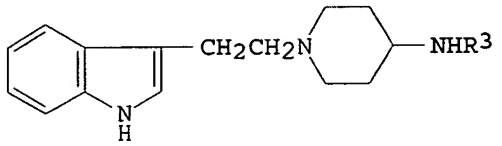
Q=  Q1= 



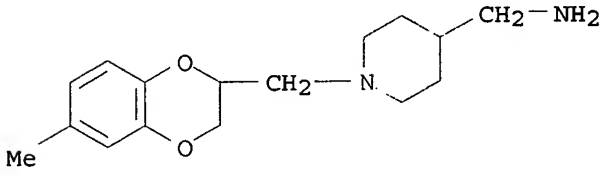
II

AB The title compds. [I; R = pyridinyl, oxazinyl, pyrazinyl, (un)substituted Ph, tetrahydronaphthyl, benzodioxanyl, benzodioxenyl, quinolinyl, thiachromanyl, indolyl, bicyclic heteroaryl; R1 = Q, Q1; X = N R2, O; R2 = H, alkyl; Z = (un)substituted alkylene, n = 0-2] were prepared as antihypertensives. Thus, 4-(acetylamino)piperidine was alkylated with 3-(2-bromoethyl)indole to give (indolylethyl)piperidine II (R3 = Ac). This was deacetylated and condensed with MeSC(:NCN)OMe to give II [R3 = C(:NCN)SMe], which was cyclocondensed with N2H4 to give II (R3 = 2-amino-1,3,5-triazol-5-yl). In rats 12-5 mg I/kg orally significantly reduced blood pressure.

IT **89483-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (cyanoimino)dithiocarbonate)

RN 89483-81-8 CAPLUS

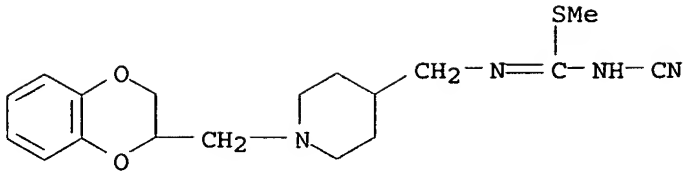
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



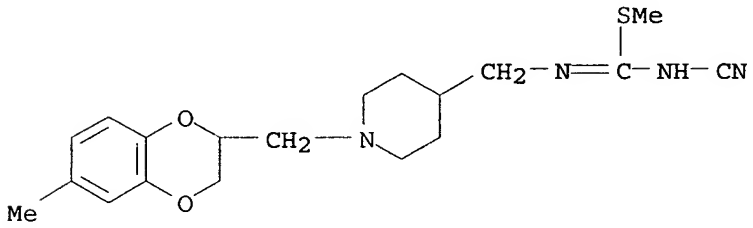
IT **89483-76-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with hydrazine or hydroxylamine)

RN 89483-76-1 CAPLUS

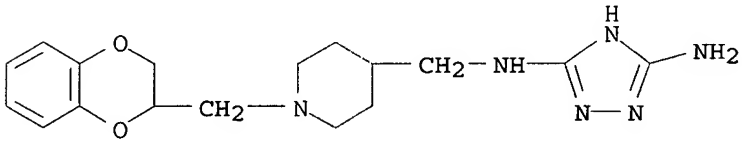
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



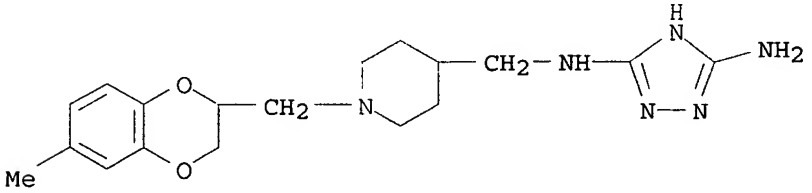
IT **89483-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with hydrazine or hydroxylamine)
RN 89483-82-9 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **90618-24-9P 90618-29-4P 90618-30-7P**
90618-31-8P 90618-34-1P 90618-35-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 90618-24-9 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

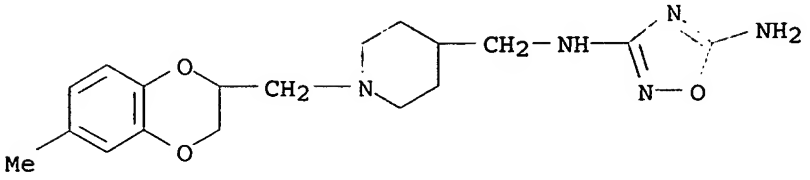


RN 90618-29-4 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

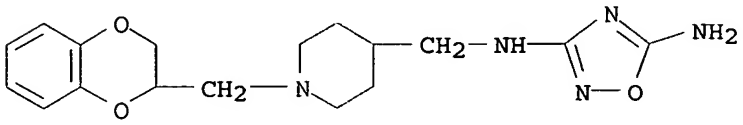


RN 90618-30-7 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-6-methyl-1,4-

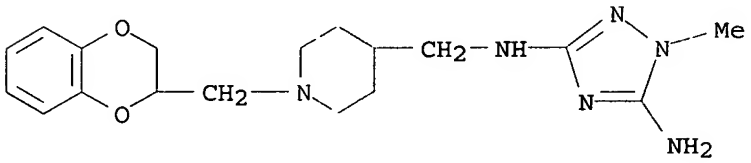
benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



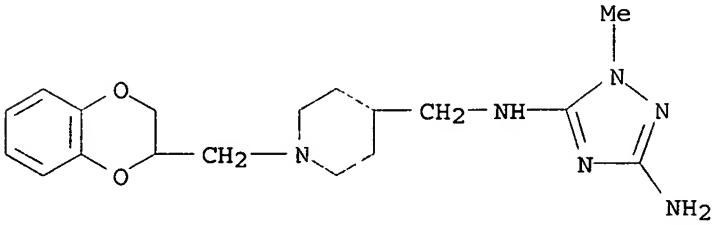
RN 90618-31-8 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 90618-34-1 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 90618-35-2 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N5-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:114567 CAPLUS
DOCUMENT NUMBER: 110:114567
TITLE: Preparation of (4-Piperidinylmethyl and -hetero)purines as antiallergic agents
INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas Marcella
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 102 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 206415	A2	19861230	EP 1986-201048	19860617
EP 206415	A3	19880316		
EP 206415	B1	19930127		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1267889	A1	19900417	CA 1986-511113	19860609
SU 1581221	A3	19900723	SU 1986-4027617	19860610
AT 85055	E	19930215	AT 1986-201048	19860617
JP 62000487	A2	19870106	JP 1986-143155	19860620
ES 556381	A1	19871116	ES 1986-556381	19860620
DK 8602952	A	19861225	DK 1986-2952	19860623
DK 169073	B1	19940808		
FI 8602655	A	19861225	FI 1986-2655	19860623
FI 85704	B	19920214		
FI 85704	C	19920525		
NO 8602504	A	19861229	NO 1986-2504	19860623
NO 163956	B	19900507		
NO 163956	C	19900815		
AU 8659191	A1	19870108	AU 1986-59191	19860623
AU 588890	B2	19890928		
HU 42095	A2	19870629	HU 1986-2631	19860623
HU 199143	B	19900129		
ZA 8604677	A	19880224	ZA 1986-4677	19860623
IL 79193	A1	19901105	IL 1986-79193	19860623
US 5041448	A	19910820	US 1989-323250	19890309
US 5258380	A	19931102	US 1991-719273	19910621

PRIORITY APPLN. INFO.:

GB 1985-15934	A	19850624
US 1986-858339	B1	19860501
EP 1986-201048	A	19860617
US 1989-323250	A3	19890309

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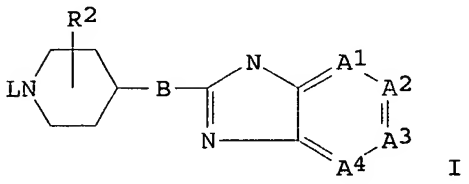
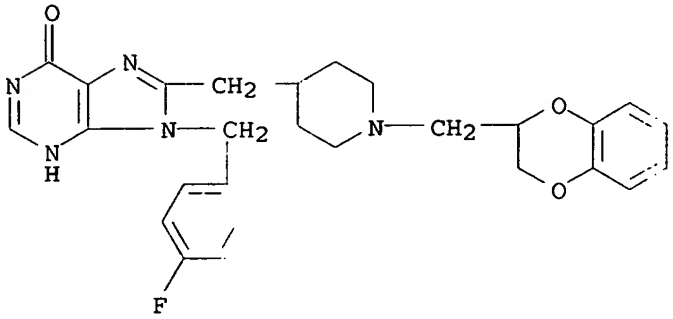


I

AB The title compds. I [A1:A2:A3:A4 = N:CHN:CH, CH:NCH:N, wherein 1 or 2 H may each be replaced by halo, C1-6 alkyl, C1-6 alkoxy, F3C, HO; R1 = H, C1-10 alkyl, C3-6 cycloalkyl, etc.; R2 = H, C1-6 alkyl; B = H2C, O, S, SO, SO2, NR, R = H, C1-6 alkyl, C3-6 cycloalkyl, etc.; L = (un)substituted methoxyalkyl, -methylthioalkyl, -alkoxycarbonyl, alkylthio, (un)substituted alkyl, optionally with heteroatom interrupters, (un)substituted N-heterocyclyl, (un)substituted pyrimidinyloxyalkyl, -thioalkyl, etc., with restrictions] and their salts, useful as antiallergic agents, were prepared 2-Ethenylpyridine, 9-[(4-fluorophenyl)methyl]-N-(4-piperidinyl)-9H-purin-8-amine and BuOH were refluxed overnight to give 9-[(4-fluorophenyl)methyl]-N-[1-[2-(2-pyridinyl)ethyl]-4-piperidinyl]-9H-purin-8-amine (II). In tests in rats against compound 48/80, a potent histamine releasing agent, at 0.5 mg/kg, the ED50 of II was 0.01 mg/kg.

IT **116062-73-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic agent)
RN 116062-73-8 CAPLUS
CN 6H-Purin-6-one, 8-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-9-[(4-fluorophenyl)methyl]-1,9-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:67298 CAPLUS
DOCUMENT NUMBER: 106:67298
TITLE: Preparation of substituted N-[(4-piperidinyl)alkyl] bicyclic condensed oxazol- and thiazolamines and pharmaceuticals containing them.
INVENTOR(S): Janssens, Frans Eduard; Van Offenwert, Theophilus Theresia; Stokbroekx, Raymond Antoine; Boar, Bernard Robin
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V.,. Belg.
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 199400	A2	19861029	EP 1986-200552	19860402
EP 199400	A3	19870819		
EP 199400	B1	19900926		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4689330	A	19870825	US 1986-833710	19860227
AT 56972	E	19901015	AT 1986-200552	19860402
CA 1271474	A1	19900710	CA 1986-505892	19860404
JP 62129282	A2	19870611	JP 1986-78429	19860407
JP 08000824	B4	19960110		
CN 86102349	A	19861119	CN 1986-102349	19860408
CN 1019393	B	19921209		
ES 553936	A1	19870101	ES 1986-553936	19860411
DK 8601694	A	19861016	DK 1986-1694	19860414
DK 165183	B	19921019		
DK 165183	C	19930315		
FI 8601562	A	19861016	FI 1986-1562	19860414
FI 82047	B	19900928		
FI 82047	C	19910110		
NO 8601442	A	19861016	NO 1986-1442	19860414
NO 163818	B	19900417		
NO 163818	C	19900725		

HU 40633	A2	19870128	HU 1986-1560	19860414
HU 196393	B	19881128		
ZA 8602776	A	19871125	ZA 1986-2776	19860414
SU 1524809	A3	19891123	SU 1986-4027244	19860414
IL 78487	A1	19891215	IL 1986-78487	19860414
AU 8656135	A1	19861023	AU 1986-56135	19860415
AU 582642	B2	19890406		
US 4749702	A	19880607	US 1987-45936	19870623
US 4826848	A	19890502	US 1988-156379	19880216

PRIORITY APPLN. INFO.: US 1985-723400 A 19850415
US 1986-833710 A3 19860227
EP 1986-200552 A 19860402
US 1987-45936 A3 19870623

OTHER SOURCE(S): CASREACT 106:67298

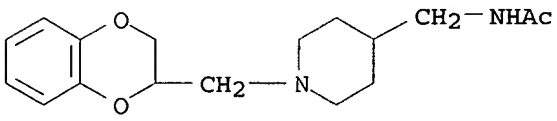
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, C1-6 alkyl, C1-6 alkoxy, OH; R1 = H, C1-6 alkyl, C1-6 alkanoyl, aroyl, C1-6 alkoxycarbonyl, (un)substituted aralkyl, heteroarylalkyl; R2 = Q, R3R4C6H3OZ; R3, R4 = H, OH, C1-6 alkyl, C1-6 alkoxy, phenylalkoxy, halo, CF3; R5 = H, C1-6 alkyl; X = O, S; X1 = CH2, O; Z = C1-4 alkylene; A = (un)substituted, fused benzene, pyridine, or pyrimidine ring] were prepared as anti-Parkinson or enterokinetic agents (no data) or antidepressants. 1-Acetyl-4-piperidinemethanamine was condensed with CS2 in presence of dicyclohexylcarbodiimide to give 1-acetyl-4-(isothiocyanatomethyl)piperidine, which was condensed with PhNH2 to give a thiourea derivative The latter was cyclized and deacetylated to give N-(4-piperidinylmethyl)-2-benzothiazolamine-2HBr. This was N-alkylated with (R)-(-)-2,3-dihydro-1,4-benzodioxin-2-ylmethyl tosylate to give benzodioxinmethanamine (S)-(-)-II (III). As an antidepressant III reversed xylazine-induced loss of righting reflex in rats with an ED50 of 0.11 mg/kg. Capsules were prepared containing active ingredient 20, Na lauryl sulfate 6, starch 56, lactose 56, colloidal SiO2 0.8, and Mg stearate 1.2 g per 1000.

IT **106245-11-8P 106245-12-9P 106245-13-0P 106249-94-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

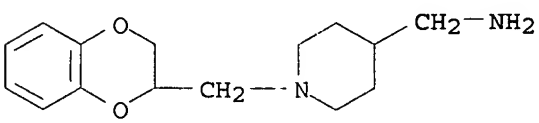
RN 106245-11-8 CAPLUS

CN Acetamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



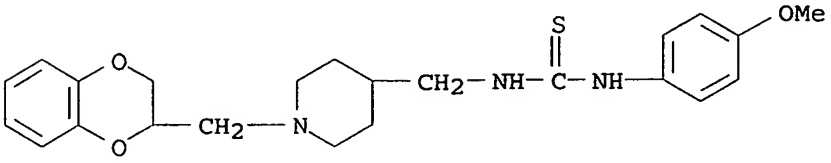
RN 106245-12-9 CAPLUS

CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, dihydrobromide (9CI) (CA INDEX NAME)

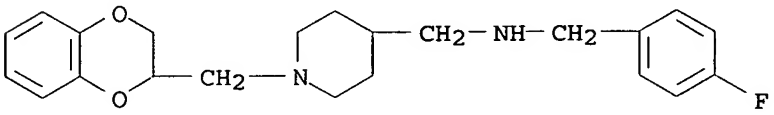


●2 HBr

RN 106245-13-0 CAPLUS
CN Thiourea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

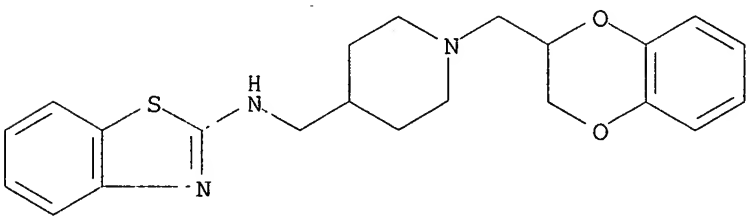


RN 106249-94-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



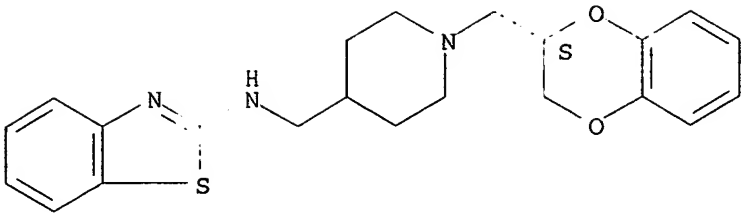
IT **104383-18-8P 104383-19-9P 104383-20-2P**
106244-01-3P 106244-03-5P 106244-04-6P
106244-05-7P 106244-06-8P 106244-08-0P
106244-09-1P 106244-14-8P 106244-15-9P
106244-16-0P 106244-17-1P 106244-18-2P
106244-19-3P 106244-20-6P 106244-24-0P
106244-25-1P 106244-26-2P 106244-27-3P
106244-30-8P 106244-31-9P 106244-43-3P
106244-44-4P 106244-49-9P 106244-50-2P
106244-51-3P 106244-58-0P 106244-60-4P
106244-61-5P 106244-62-6P 106244-66-0P
106244-67-1P 106244-68-2P 106244-69-3P
106244-70-6P 106244-71-7P 106249-92-7P
106249-93-8P 106257-40-3P 106257-41-4P
106257-42-5P 106257-44-7P 106294-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 104383-18-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



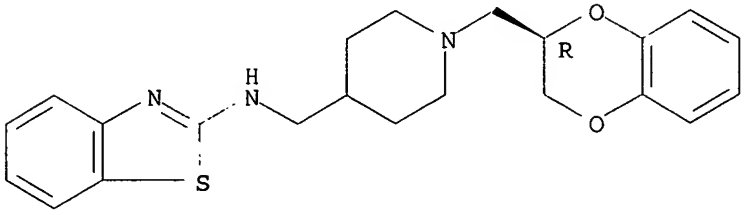
RN 104383-19-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

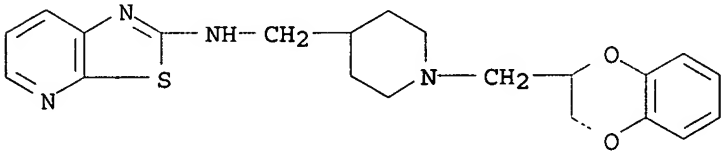


RN 104383-20-2 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

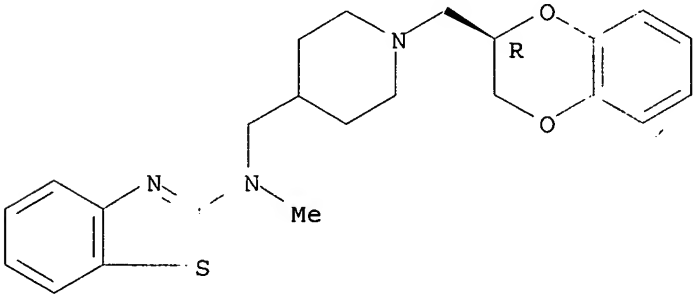


RN 106244-01-3 CAPLUS
CN Thiazolo[5,4-b]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



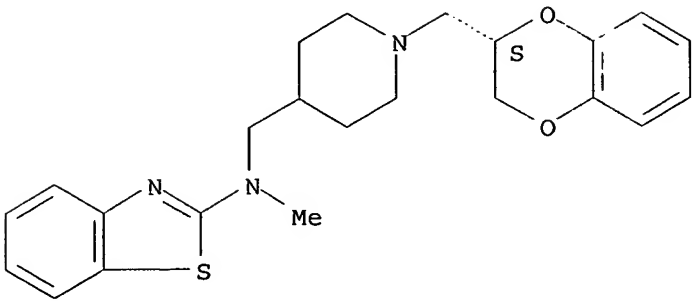
RN 106244-03-5 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



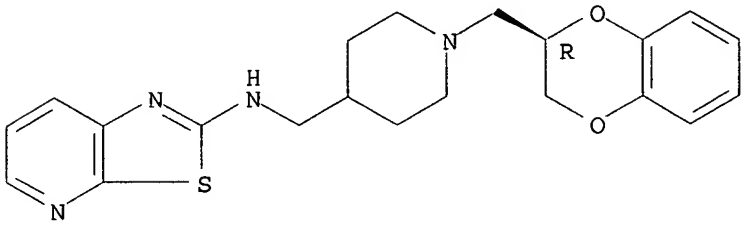
RN 106244-04-6 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



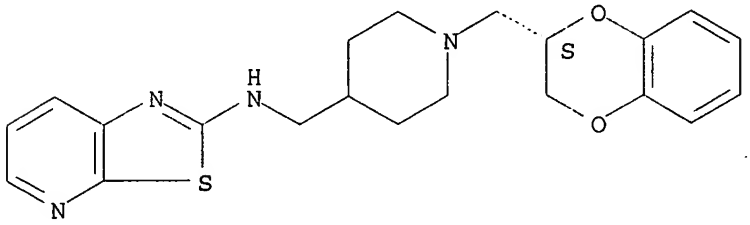
RN 106244-05-7 CAPLUS
CN Thiazolo[5,4-b]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

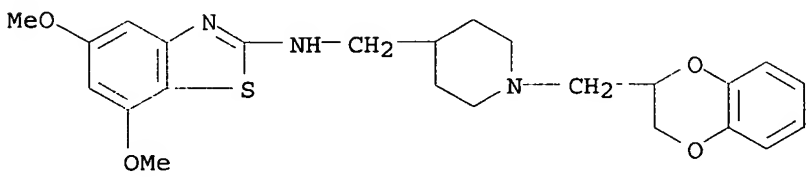


RN 106244-06-8 CAPLUS
CN Thiazolo[5,4-b]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

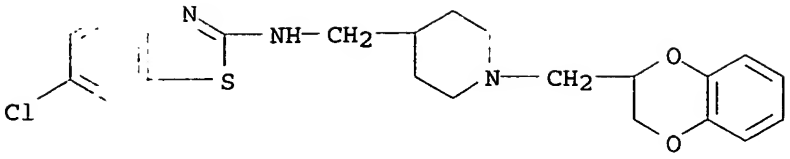
Absolute stereochemistry.



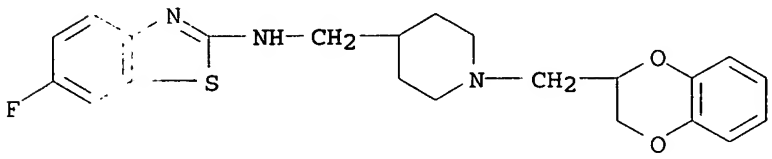
RN 106244-08-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,7-dimethoxy- (9CI) (CA INDEX NAME)



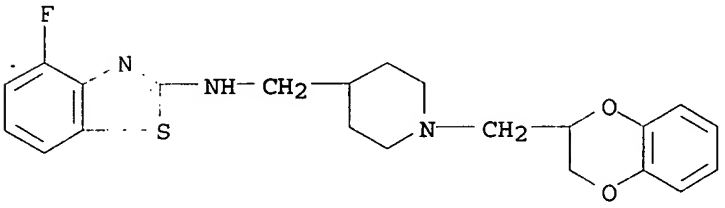
RN 106244-09-1 CAPLUS
CN 2-Benzothiazolamine, 6-chloro-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



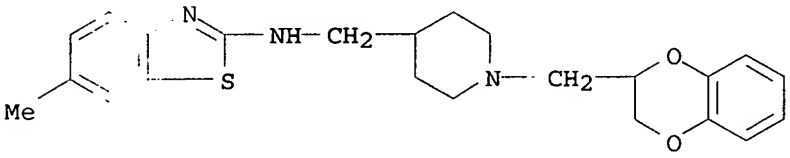
RN 106244-14-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-fluoro- (9CI) (CA INDEX NAME)



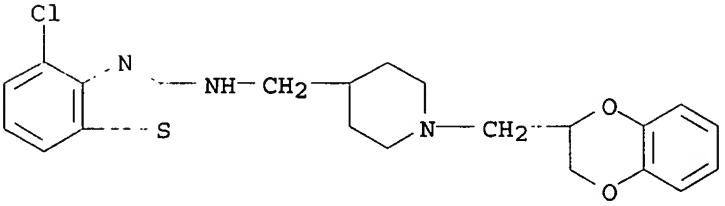
RN 106244-15-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



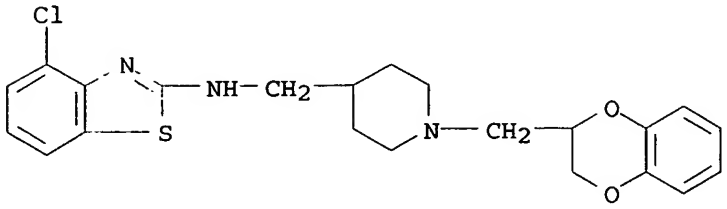
RN 106244-16-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 106244-17-1 CAPLUS
CN 2-Benzothiazolamine, 4-chloro-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

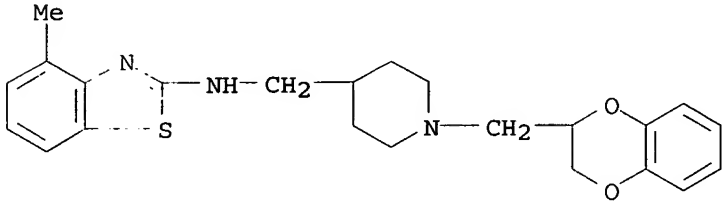


RN 106244-18-2 CAPLUS
CN 2-Benzothiazolamine, 4-chloro-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrobromide (9CI) (CA INDEX NAME)

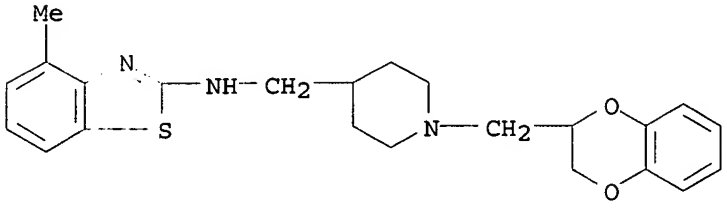


●2 HBr

RN 106244-19-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

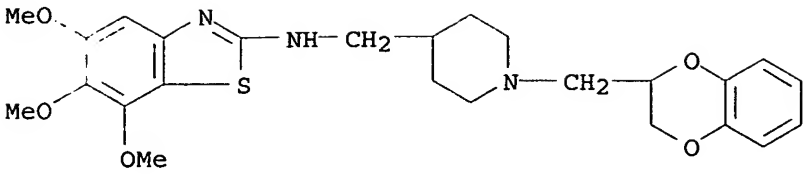


RN 106244-20-6 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl-, dihydrobromide (9CI) (CA INDEX NAME)

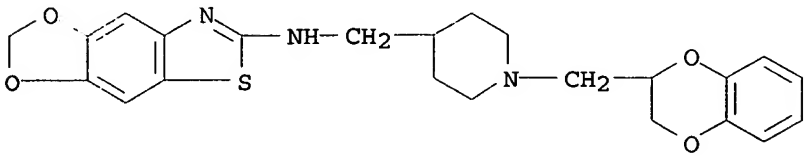


●2 HBr

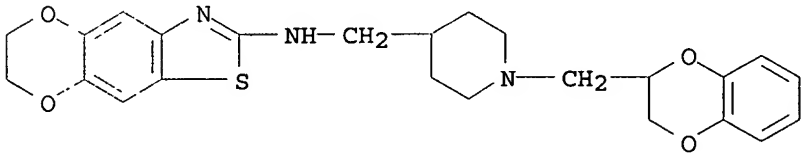
RN 106244-24-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy- (9CI) (CA INDEX NAME)



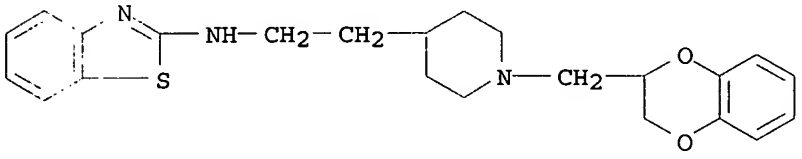
RN 106244-25-1 CAPLUS
CN 1,3-Dioxolo[4,5-f]benzothiazol-6-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



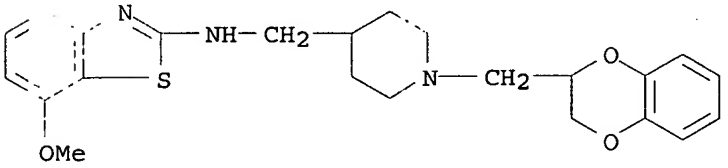
RN 106244-26-2 CAPLUS
CN [1,4]Dioxino[2,3-f]benzothiazol-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6,7-dihydro- (9CI) (CA INDEX NAME)



RN 106244-27-3 CAPLUS
CN 2-Benzothiazolamine, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



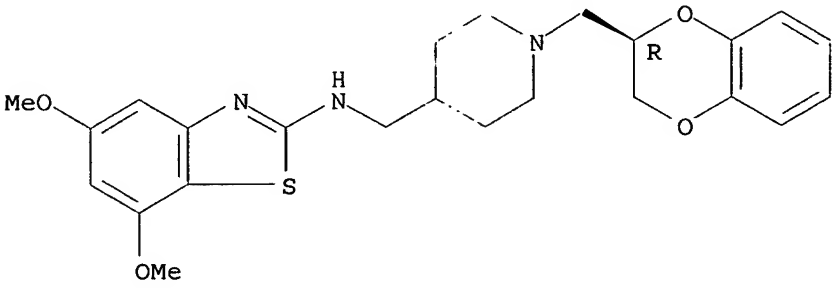
RN 106244-30-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-7-methoxy- (9CI) (CA INDEX NAME)



RN 106244-31-9 CAPLUS

CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,7-dimethoxy-, (R)- (9CI) (CA INDEX NAME)

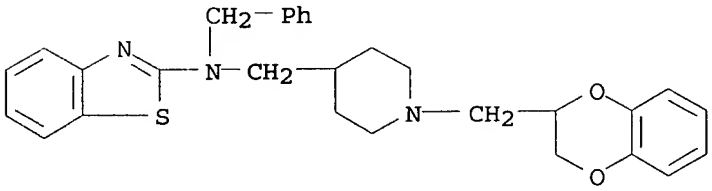
Absolute stereochemistry.



RN 106244-43-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-(phenylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

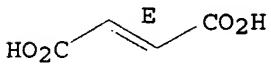
CRN 106244-42-2
CMF C29 H31 N3 O2 S



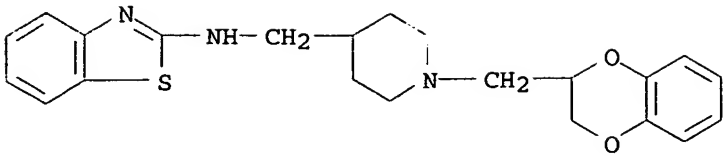
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



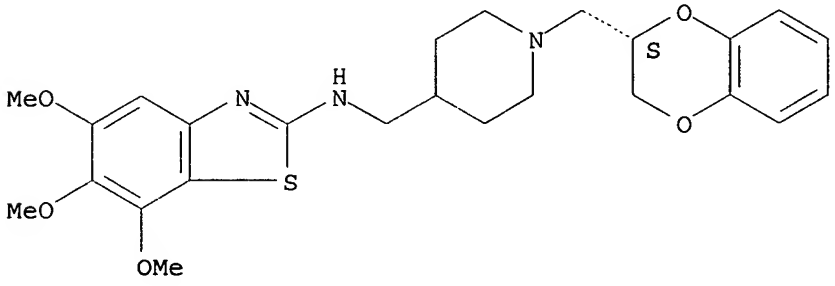
RN 106244-44-4 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

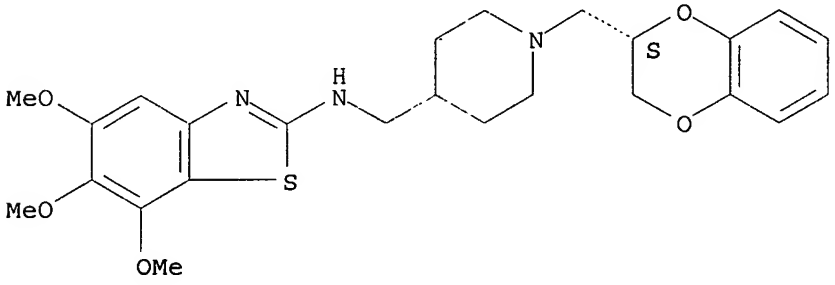
RN 106244-49-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 106244-50-2 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

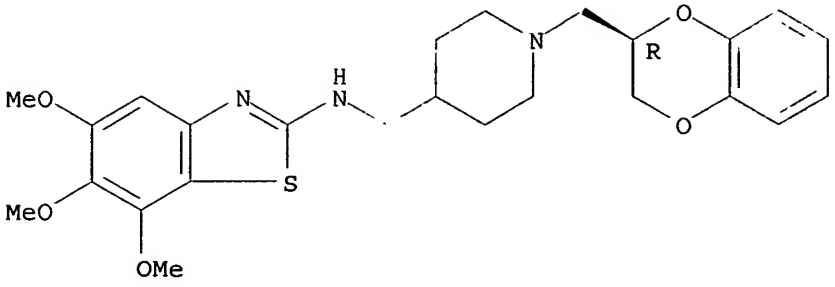
Absolute stereochemistry.



●2 HCl

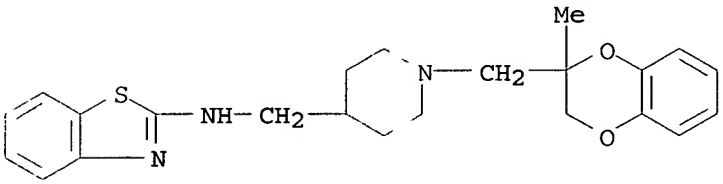
RN 106244-51-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

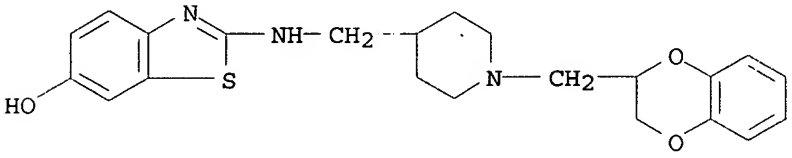


● 2 HCl

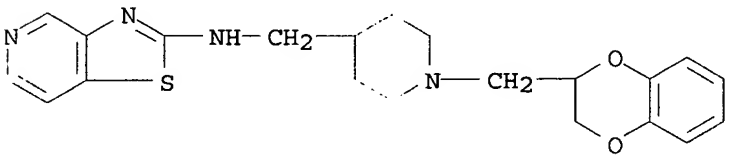
RN 106244-58-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-2-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



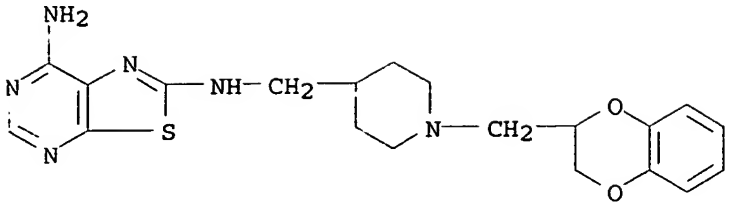
RN 106244-60-4 CAPLUS
CN 6-Benzothiazolol, 2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 106244-61-5 CAPLUS
CN Thiazolo[4,5-c]pyridin-2-amine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



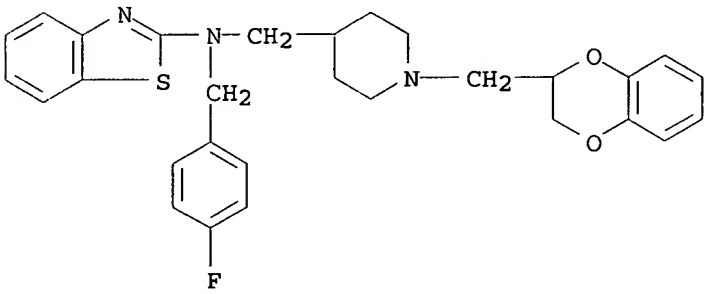
RN 106244-62-6 CAPLUS
CN Thiazolo[5,4-d]pyrimidine-2,7-diamine, N2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 106244-66-0 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-[(4-fluorophenyl)methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

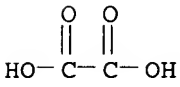
CM 1

CRN 106244-65-9
CMF C29 H30 F N3 O2 S

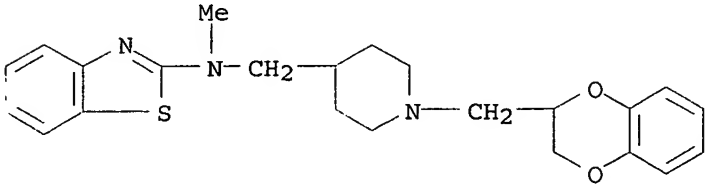


CM 2

CRN 144-62-7
CMF C2 H2 O4

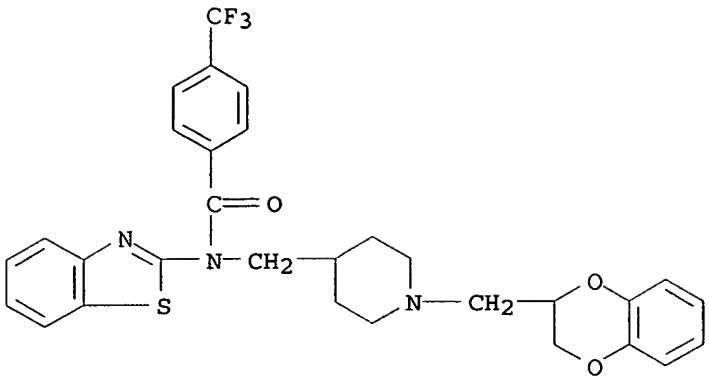


RN 106244-67-1 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

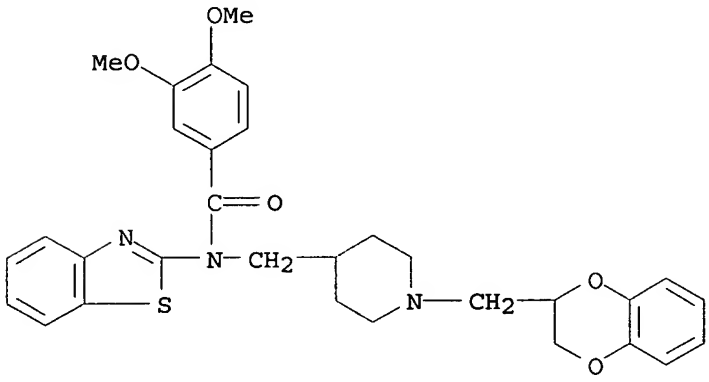


RN 106244-68-2 CAPLUS
CN Benzamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX

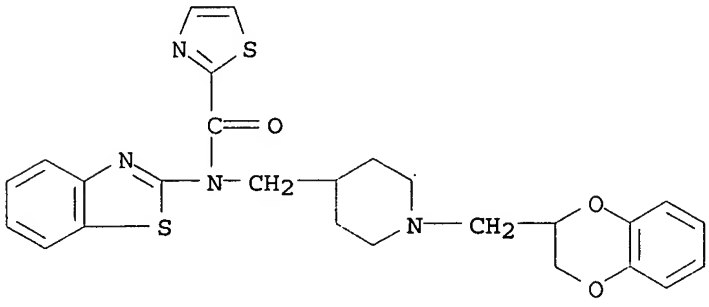
NAME)



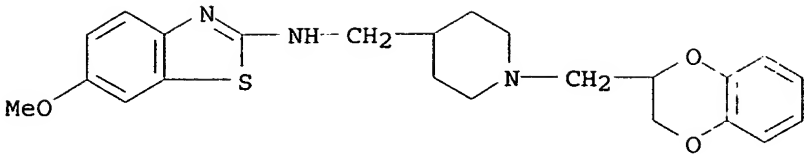
RN 106244-69-3 CAPLUS
CN Benzamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)



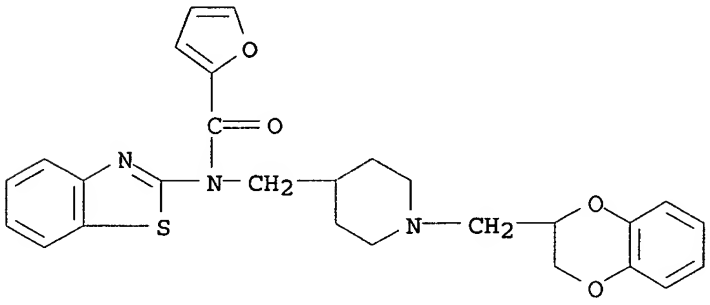
RN 106244-70-6 CAPLUS
CN 2-Thiazolecarboxamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 106244-71-7 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-methoxy- (9CI) (CA INDEX NAME)

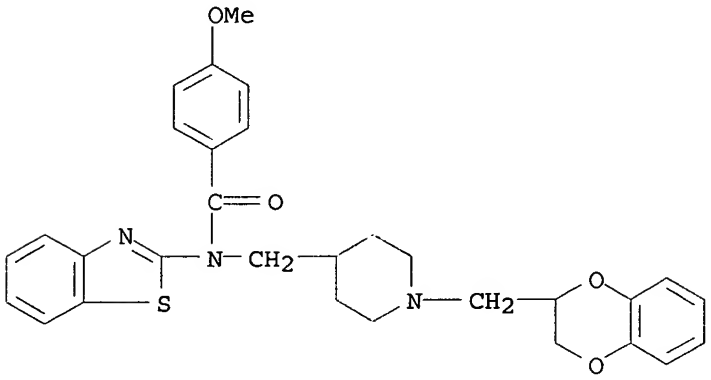


RN 106249-92-7 CAPLUS
CN 2-Furancarboxamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

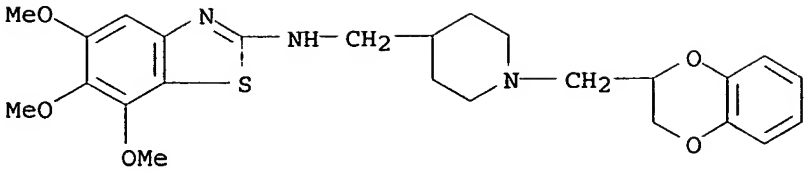
RN 106249-93-8 CAPLUS
CN Benzamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 106257-40-3 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

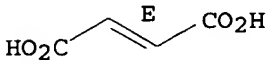
CRN 106244-24-0
CMF C25 H31 N3 O5 S



CM 2

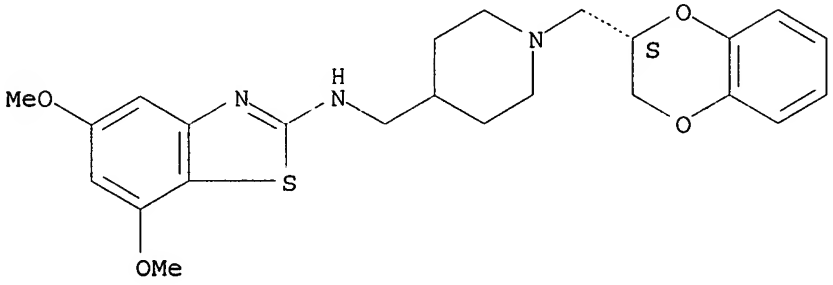
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



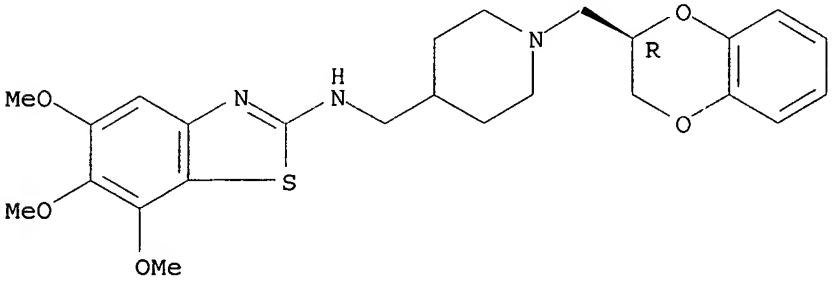
RN 106257-41-4 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,7-dimethoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

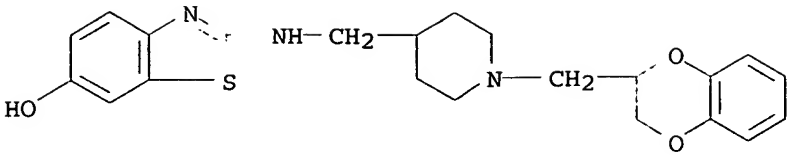


RN 106257-42-5 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5,6,7-trimethoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

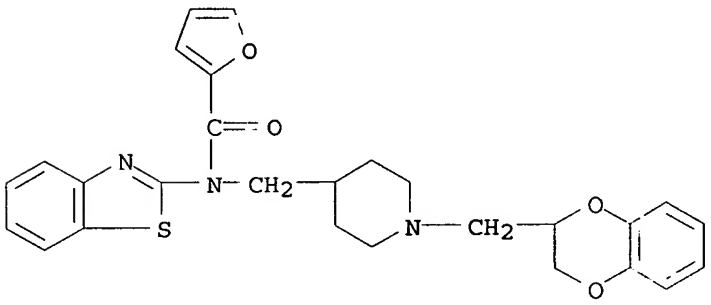


RN 106257-44-7 CAPLUS
CN 6-Benzothiazolol, 2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

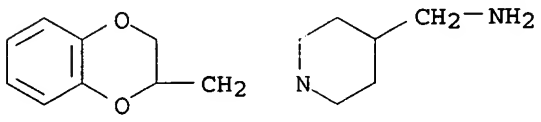


●2 HCl

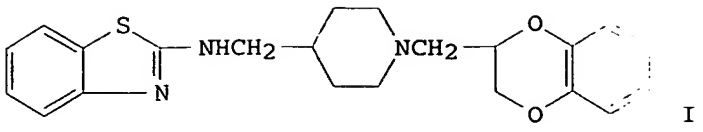
RN 106294-99-9 CAPLUS
CN 2-Furancarboxamide, N-2-benzothiazolyl-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **89483-75-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive alkylation of, with fluorobenzaldehyde)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:546012 CAPLUS
DOCUMENT NUMBER: 105:146012
TITLE: In vivo pharmacological activity of R 47 243 in rat: a comparison with putative α2-adrenoceptor antagonists
AUTHOR(S): Colpaert, Francis C.; Raeymaekers, Leen
CORPORATE SOURCE: Dep. Psychopharmacol., Janssen Pharm. Res. Lab., Beerse, B-2340, Belg.
SOURCE: Drug Development Research (1986), 8(1-4), 361-71
CODEN: DDREDK; ISSN: 0272-4391
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

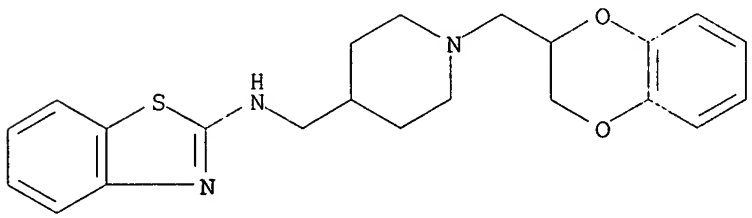


I

AB The effects of the racemate R 47 243 (I) [**104383-18-8**] and its (-)i [**104383-19-9**] and (+)- [**104383-20-2**] isomers as well as those of the putative α2-antagonists yohimbine [146-48-5], piperoxan [59-39-2], CGS 7525 A [71576-41-5], and idazoxan [79944-58-4] were studied after oral and(or) s.c. administration to rats. The expts. determined the antagonism produced by these compds. of the loss of the righting reflex (LRR) and of the exophthalmia (EXO) induced by i.p. injection of xylazine. Antagonism of LRR constitutes an in vivo measure of drug antagonist effects at central nervous system receptors that mediate behavioral depression produced by putative α2-agonists; antagonism of EXO offers an in vivo measure of α1-antagonist activity. More so than idazoxan or any of the other putative α2-antagonists tested, R 47 243 appeared to be a potent, long acting, and specific antagonist; it also acted as a full antagonist rather than as a partial agonist and showed excellent oral absorption. The (-)-isomer had activity similar to that of the racemate, whereas the (+)-isomer was less potent.

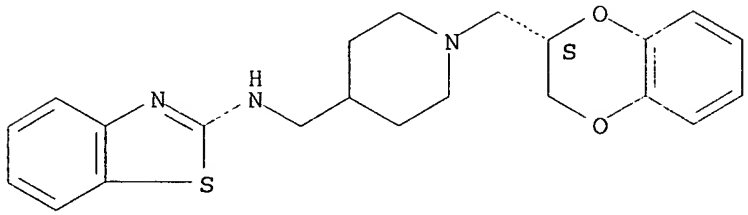
IT **104383-18-8 104383-19-9 104383-20-2**
RL: BIOL (Biological study)
(α2-sympatholytic activity of)

RN 104383-18-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



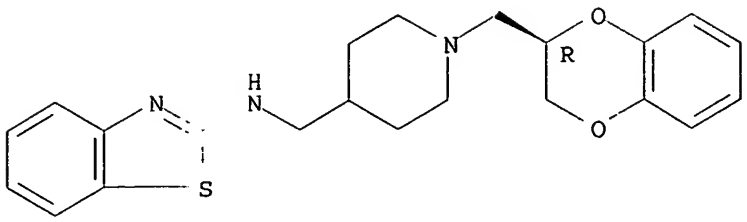
RN 104383-19-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 104383-20-2 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

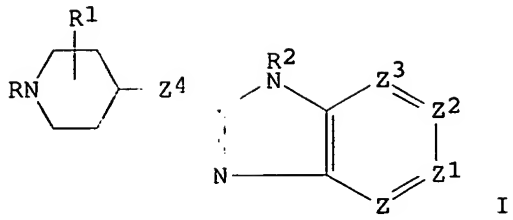
Absolute stereochemistry.



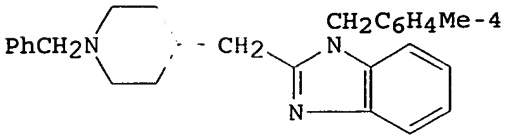
L6 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:68861 CAPLUS
DOCUMENT NUMBER: 104:68861
TITLE: (Piperidinylmethyl)- and (piperidinyloxy)benzimidazoles and -imidazopyridines
INVENTOR(S): Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine; Hens, Jozef Francis; Torremans, Joseph Leo G.; Diels, Gaston Stanislas M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 151826	A1	19850821	EP 1984-201851		19841213
EP 151826	B1	19930331			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
AT 87626	E	19930415	AT 1984-201851		19841213
ES 539281	A1	19870616	ES 1984-539281		19841231
AU 8537364	A1	19850912	AU 1985-37364		19850107
AU 573673	B2	19880616			
CA 1259609	A1	19890919	CA 1985-471589		19850107
DK 8500089	A	19850710	DK 1985-89		19850108
FI 8500079	A	19850710	FI 1985-79		19850108
FI 83867	B	19910531			
FI 83867	C	19910910			
NO 8500085	A	19850710	NO 1985-85		19850108
NO 160849	B	19890227			
NO 160849	C	19890607			
JP 60185777	A2	19850921	JP 1985-479		19850108
JP 07068240	B4	19950726			
HU 36471	A2	19850930	HU 1985-61		19850108
HU 200338	B	19900528			
ZA 8500187	A	19860827	ZA 1985-187		19850108
RO 90622	B3	19861210	RO 1985-117252		19850108
SU 1396964	A3	19880515	SU 1985-3836858		19850108
IL 74018	A1	19880831	IL 1985-74018		19850108
PL 145710	B1	19881031	PL 1985-251488		19850109
PRIORITY APPLN. INFO.:			US 1984-569369	A	19840109
			US 1984-671135	A	19841113
			EP 1984-201851	A	19841213

GI



I



II

AB The title compds. I (Z-Z3 = CH, or one of Z-Z3 is N and the remainder are CH; Z4 = CH2, O, S, SO, SO2; R = alkyl, aryl-, heteroaryl-, acyl-hydroxy-, aryloxy, heteroaryloxy-, alkoxy-, arylthio-, carbonyl-, carboalkoxy-, cyano-, amino-, ureido-, thioureido-, or guanidinoalkyl, cycloalkyl, alkenyl, arylalkenyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl, heteroaryl, aryl- or heteroarylalkyl), which were prepared, exhibited antihistaminic activity. Thus, a mixture of 2-(4-MeC6H4CH2NH)C6H4NH2 and Et 1-benzyl-4-piperidineacetimidate hydrochloride in MeOH was refluxed and NH3 was added to give benzimidazole II.

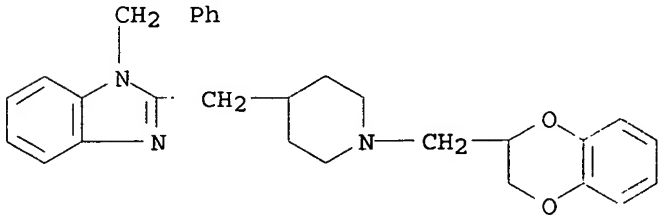
IT **99953-86-3P 99953-90-9P 99953-94-3P**
99953-96-5P 99953-98-7P 99963-45-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 99953-86-3 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-(phenylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

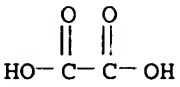
CM 1

CRN 99953-85-2
CMF C29 H31 N3 O2



CM 2

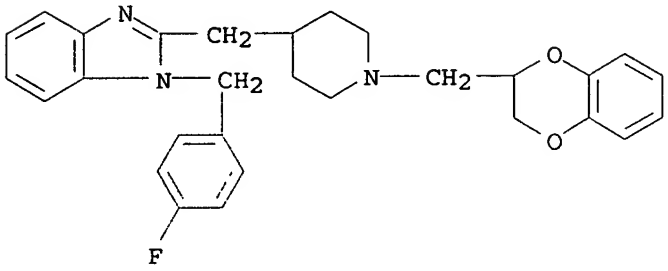
CRN 144-62-7
CMF C2 H2 O4



RN 99953-90-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

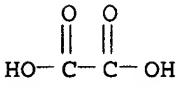
CM 1

CRN 99953-89-6
CMF C29 H30 F N3 O2



CM 2

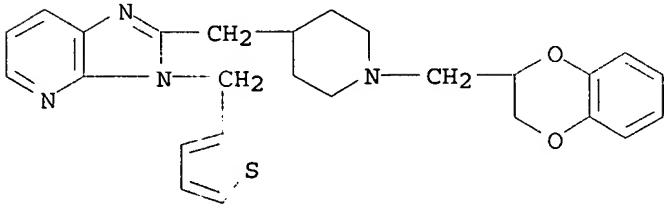
CRN 144-62-7
CMF C2 H2 O4



RN 99953-94-3 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-thienylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

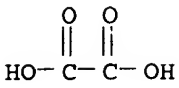
CM 1

CRN 99953-93-2
CMF C26 H28 N4 O2 S



CM 2

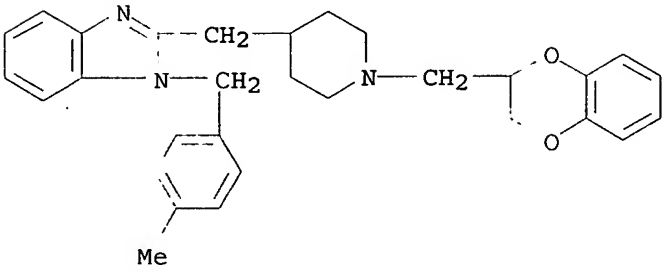
CRN 144-62-7
CMF C2 H2 O4



RN 99953-96-5 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-methylphenyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

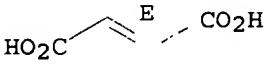
CRN 99953-95-4
CMF C30 H33 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

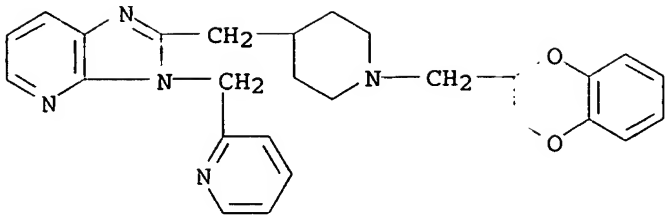
Double bond geometry as shown.



RN 99953-98-7 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-pyridinylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

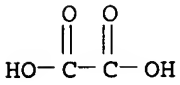
CM 1

CRN 99953-97-6
CMF C27 H29 N5 O2



CM 2

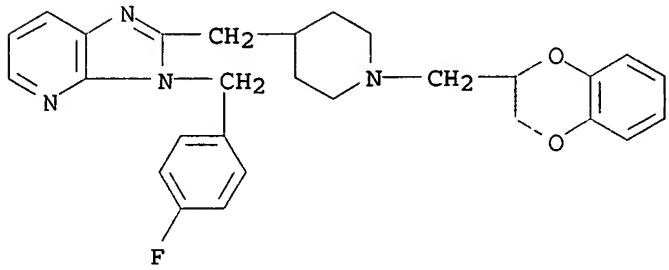
CRN 144-62-7
CMF C2 H2 O4



RN 99963-45-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

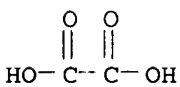
CM 1

CRN 99963-44-7
CMF C28 H29 F N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



L6 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:423483 CAPLUS
DOCUMENT NUMBER: 101:23483
TITLE: Substituted 2,5-diamino-1,4-diazole derivatives with

antihypertensive activity

INVENTOR(S): Cornu, Francois; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles

PATENT ASSIGNEE(S): Bouchara, Emile, Fr.

SOURCE: Fr. Demande, 32 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

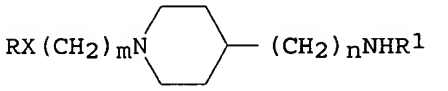
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2530632	A1	19840127	FR 1982-13010	19820726
FR 2530632	B3	19850426		
WO 8400546	A1	19840216	WO 1983-FR158	19830726
W: DK, JP, US				
RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, MR, NL, SE, SN, TD, TG				
ES 524439	A1	19840416	ES 1983-524439	19830726
EP 114850	A1	19840808	EP 1983-902270	19830726
EP 114850	B1	19880629		
R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE				
JP 59501458	T2	19840816	JP 1983-502474	19830726
AT 35412	E	19880715	AT 1983-902270	19830726

PRIORITY APPLN. INFO.:

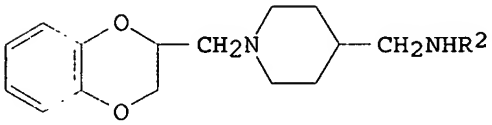
FR 1982-13010	A	19820726
EP 1983-902270	A	19830726
WO 1983-FR158	W	19830726

OTHER SOURCE(S): CASREACT 101:23483

GI



I



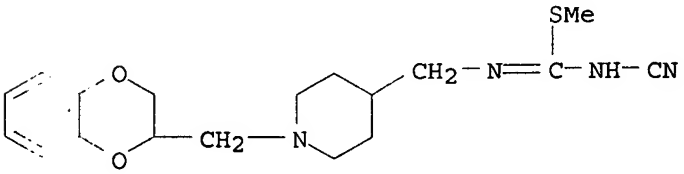
II

AB Heterocyclylalkylpiperidines I [X = CH2, CO, CHOH; R = aryl, heteroaryl; R1 = 3-amino-1,2,4-triazol-5-yl, 5-amino-1,2,4-triazol-3yl, 5-amino-1,2,4-oxadiazol-3-yl; m, n =0-2] were prepared II [R2 = C(SMe):NCN] cyclized with N2H4 to give II (R2 = 3-amino-1,2,4-triazol-5-yl).

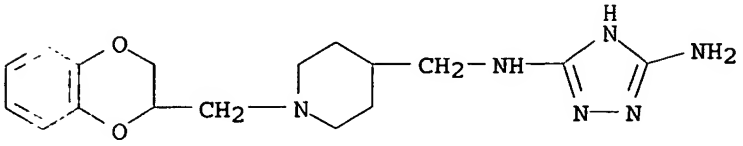
IT **89483-76-1 90618-24-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with hydrazines and hydroxylamines)

RN 89483-76-1 CAPLUS

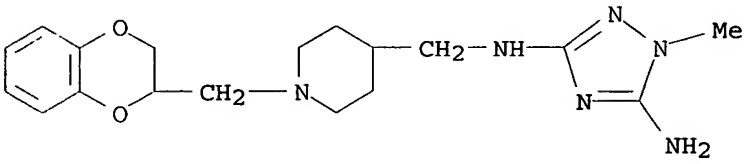
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



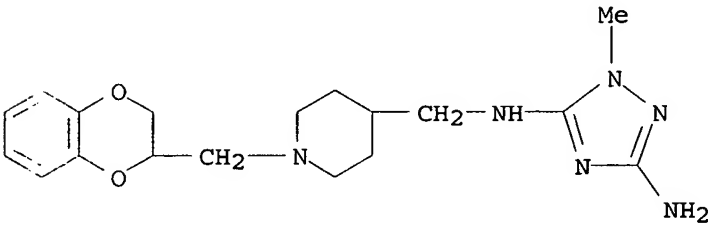
RN 90618-24-9 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



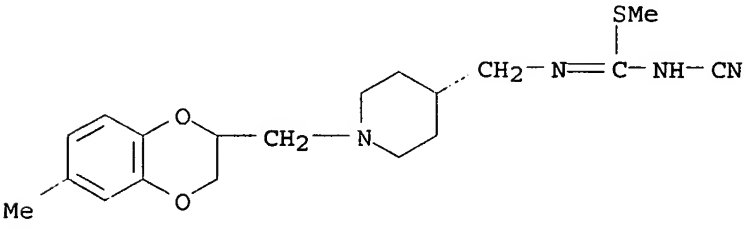
IT **90618-34-1 90618-35-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with methylisothioureidylalkylpiperidine derivs.)
RN 90618-34-1 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



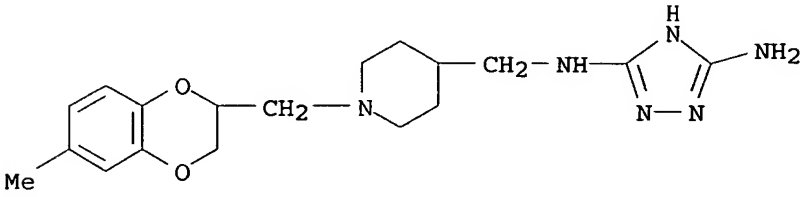
RN 90618-35-2 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N5-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



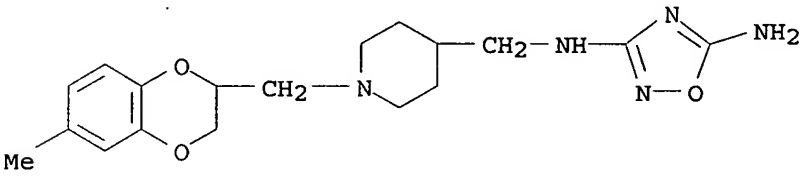
IT **89483-82-9P 90618-29-4P 90618-30-7P**
90618-31-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine)
RN 89483-82-9 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



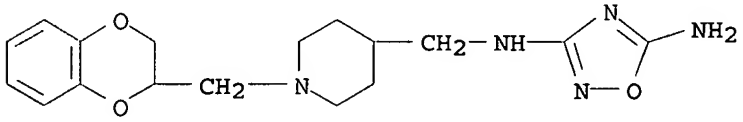
RN 90618-29-4 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



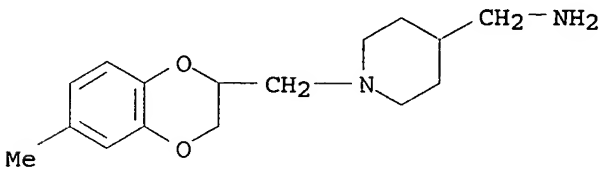
RN 90618-30-7 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 90618-31-8 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **89483-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyaniminodithiocarbonate)
RN 89483-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

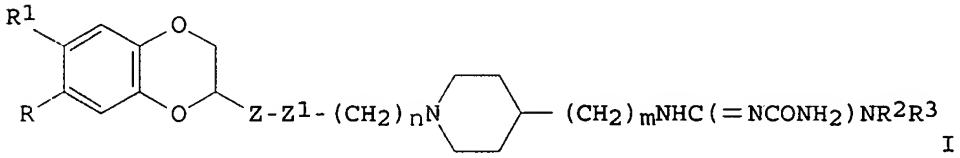


L6 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:156506 CAPLUS
DOCUMENT NUMBER: 100:156506
TITLE: 4-(Carbamoylguanidino)- and -[(carbamoylguanidino)methyl]piperidines
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles

PATENT ASSIGNEE(S): Fr.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8303829	A1	19831110	WO 1983-FR74	19830421
W: FI, HU, JP, NO, US				
FR 2525600	A1	19831028	FR 1982-6832	19820421
FR 2525600	B3	19850125		
ES 521720	A1	19840201	ES 1983-521720	19830421
JP 59500672	T2	19840419	JP 1983-501332	19830421
EP 106860	A1	19840502	EP 1983-901206	19830421
EP 106860	B1	19880120		
R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE				
HU 33480	O	19841128	HU 1983-2237	19830421
HU 194875	B	19880328		
CA 1207768	A1	19860715	CA 1983-426434	19830421
AT 32073	E	19880215	AT 1983-901206	19830421
NO 8304705	A	19831220	NO 1983-4705	19831220
NO 161316	B	19890424		
NO 161316	C	19890802		
FI 8304704	A	19831221	FI 1983-4704	19831221
PRIORITY APPLN. INFO.:			FR 1982-6832 A	19820421
			EP 1983-901206 A	19830421
			WO 1983-FR74 W	19830421

OTHER SOURCE(S): CASREACT 100:156506
GI



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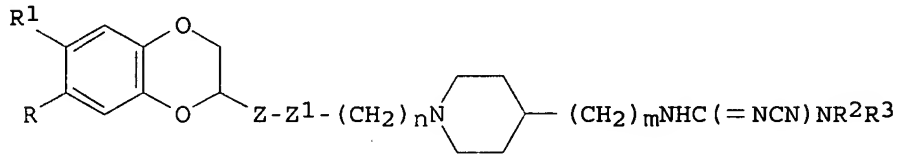


II

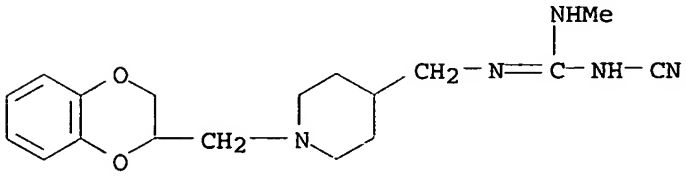
AB Title compds. I [R = R1 are H, halo, alkyl, alkoxy, CF3, NO2, NH2, or RR1 = alkylenedioxy; one of Z and Z1 is a direct bond or CH2 and the other is CH(OH) or CO; n and m are 0, 1; R2 = H, alkyl, cycloalkyl, aralkyl, alkenyl, acyl; R3 = alkyl, cycloalkyl, aralkyl, alkenyl] were prepared by hydration of the resp. nitriles II; I are useful as antihypertensives and sedatives (no data). II (R = R1 = R2 = H, Z = CH2, Z1 = direct bond, n = m = 0, R3 = Me) was treated with H2SO4 in EtOH to give the corresponding I.

IT **89483-53-4 89483-54-5 89483-56-7**
89483-58-9 89483-60-3 89483-61-4
89483-62-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration of)

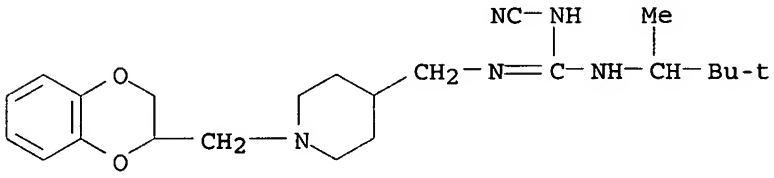
RN 89483-53-4 CAPLUS

CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-methyl- (9CI) (CA INDEX NAME)



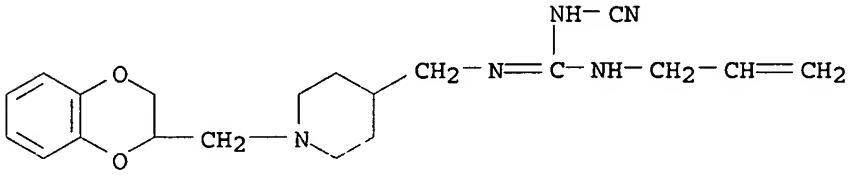
RN 89483-54-5 CAPLUS

CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)



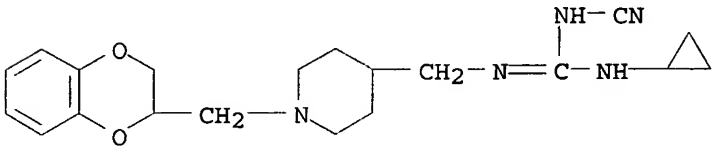
RN 89483-56-7 CAPLUS

CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-2-propenyl- (9CI) (CA INDEX NAME)



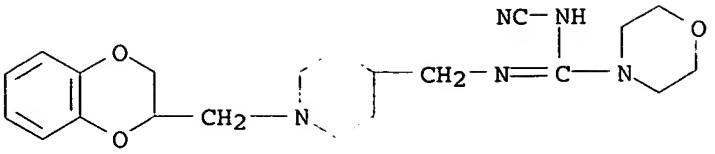
RN 89483-58-9 CAPLUS

CN Guanidine, N-cyano-N'-cyclopropyl-N''-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

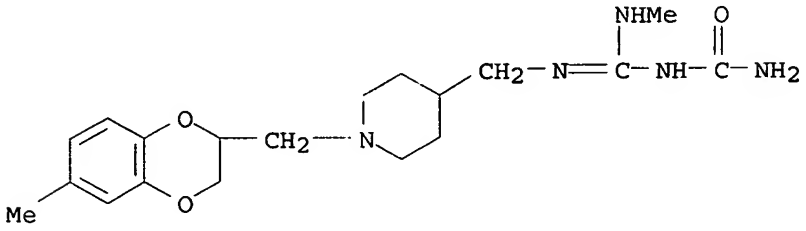


RN 89483-60-3 CAPLUS

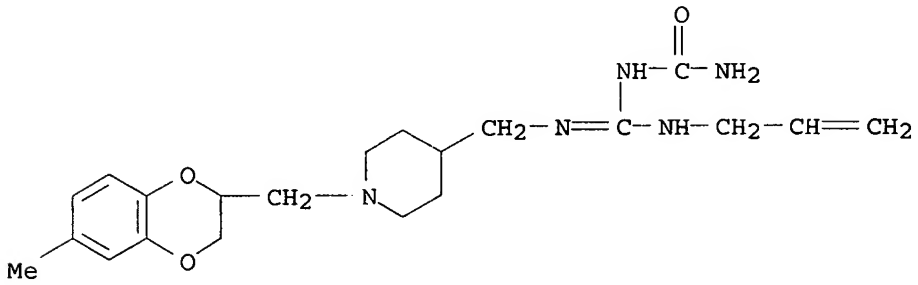
CN 4-Morpholinecarboximidamide, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



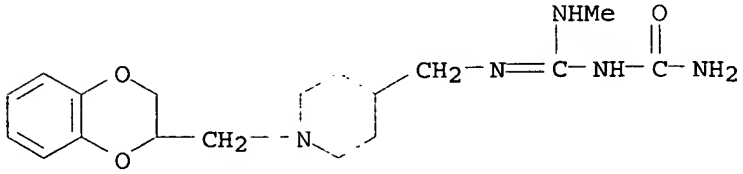
RN 89483-61-4 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]- (9CI) (CA INDEX NAME)



RN 89483-62-5 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](2-propenylamino)methylene]- (9CI) (CA INDEX NAME)



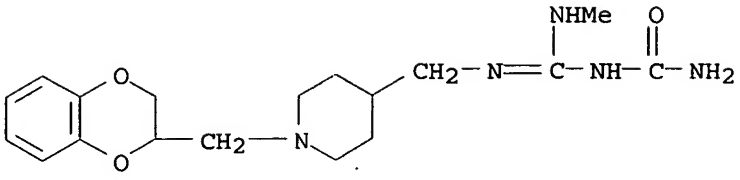
IT **89483-51-2P 89483-52-3P 89483-55-6P**
89483-57-8P 89483-59-0P 89483-64-7P
89483-65-8P 89499-25-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89483-51-2 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]- (9CI) (CA INDEX NAME)



RN 89483-52-3 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

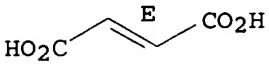
CRN 89483-51-2
CMF C18 H27 N5 O3



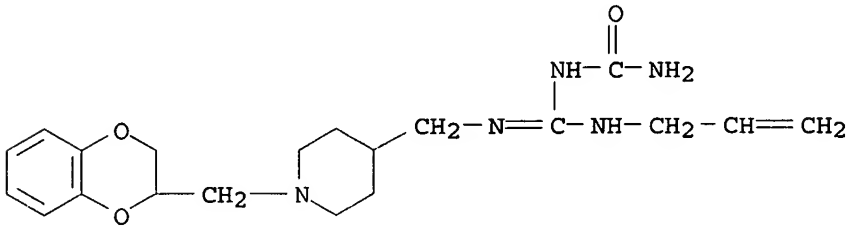
CM 2

CRN 110-17-8
CMF C4 H4 O4

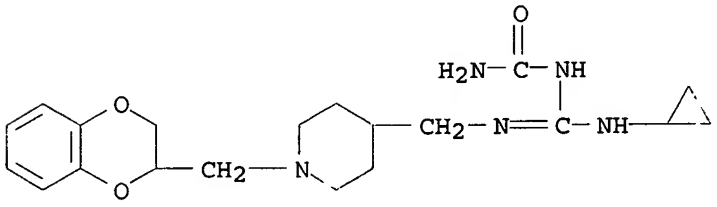
Double bond geometry as shown.



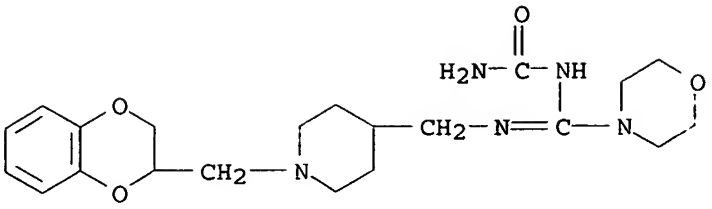
RN 89483-55-6 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](2-propenylamino)methylene]- (9CI) (CA INDEX NAME)



RN 89483-57-8 CAPLUS
CN Urea, [(cyclopropylamino)[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]methylene]- (9CI) (CA INDEX NAME)



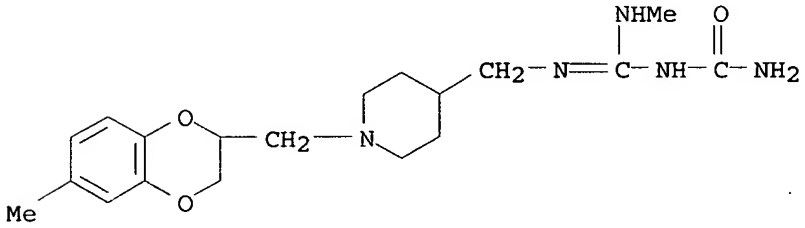
RN 89483-59-0 CAPLUS
CN 4-Morpholinecarboximidamide, N-(aminocarbonyl)-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 89483-64-7 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](methylamino)methylene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

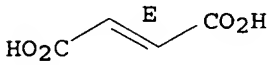
CRN 89483-61-4
CMF C19 H29 N5 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

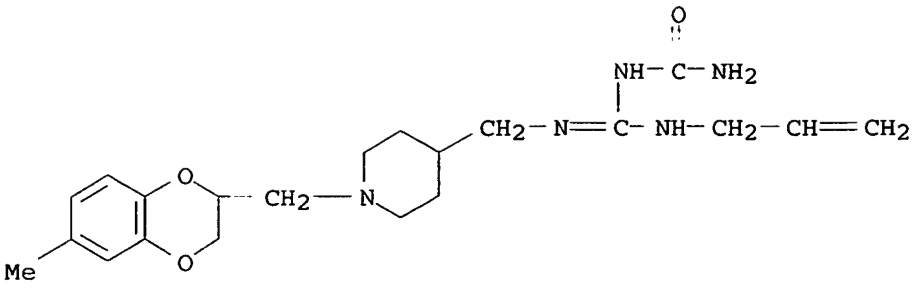
Double bond geometry as shown.



RN 89483-65-8 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino](2-propenylamino)methylene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

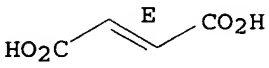
CRN 89483-62-5
CMF C21 H31 N5 O3



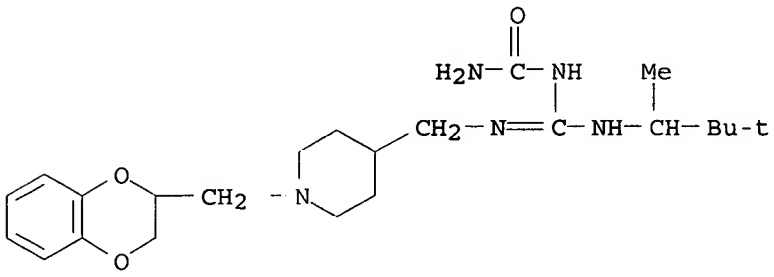
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 89499-25-2 CAPLUS
CN Urea, [[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino][(1,2,2-trimethylpropyl)amino]methylene]- (9CI) (CA INDEX NAME)



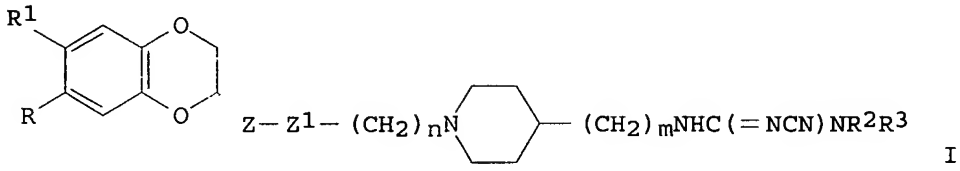
L6 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:174667 CAPLUS
DOCUMENT NUMBER: 100:174667
TITLE: 4-(Cyanoguanidino)- and -[(cyanoguanidino)methyl]piperidines
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles
PATENT ASSIGNEE(S): Bouchara, Emile, Fr.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8303607	A1	19831027	WO 1983-FR66	19830408
W: AU, DK, HU, JP, US				

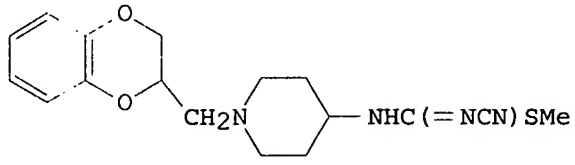
RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, NL, SE, SN, TD, TG					
FR 2524887	A1	19831014	FR 1982-6128		19820408
FR 2524887	B3	19850118			
AU 8313741	A1	19831104	AU 1983-13741		19830408
ES 521346	A1	19840116	ES 1983-521346		19830408
JP 59500518	T2	19840329	JP 1983-501212		19830408
EP 105881	A1	19840425	EP 1983-901083		19830408
EP 105881	B1	19871223			
R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE					
HU 34020	O	19850128	HU 1983-2236		19830408
CA 1209142	A1	19860805	CA 1983-425466		19830408
AT 31534	E	19880115	AT 1983-901083		19830408
DK 8305643	A	19831208	DK 1983-5643		19831208
US 4579845	A	19860401	US 1983-565030		19831208
PRIORITY APPLN. INFO.:			FR 1982-6128	A	19820408
			EP 1983-901083	A	19830408
			WO 1983-FR66	A	19830408

OTHER SOURCE(S): CASREACT 100:174667

GI



I



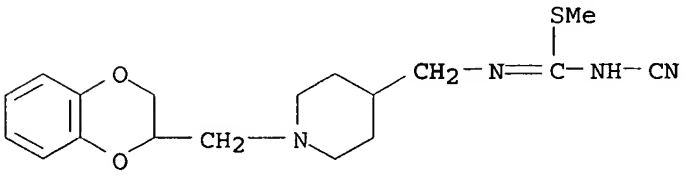
II

AB Piperidines I [R and R1 are H, alkyl, alkoxy, halo, CF3; R2 = H, alkyl, acyl; R3 = alkyl, alkenyl, cycloalkyl, heterocyclic group; NR2R3 = heterocycle; one of Z and Z1 is CH2, direct bond, and the other is CH(OH), CO; n and m are 0, 1] were prepared, and they are useful as antihypertensives and sedatives (no data). Isothiourea derivative II in MeOH was treated with MeNH2 at 25° to give I (R = R1 = R2 = H, Z = direct bond, Z1 = CH2, n = m = 0, R3 = Me).

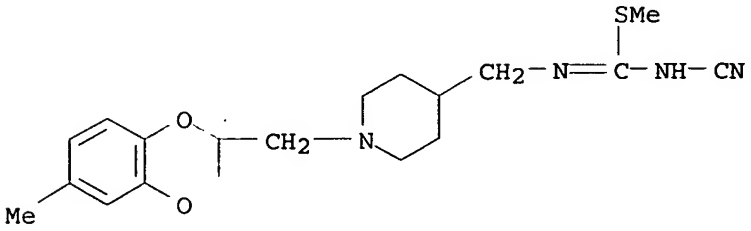
IT **89483-76-1P 89483-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation of, with amines)

RN 89483-76-1 CAPLUS

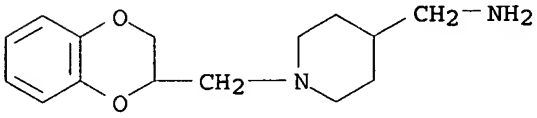
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



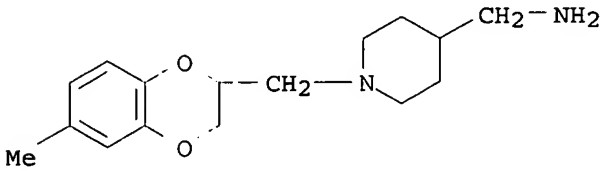
RN 89483-82-9 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



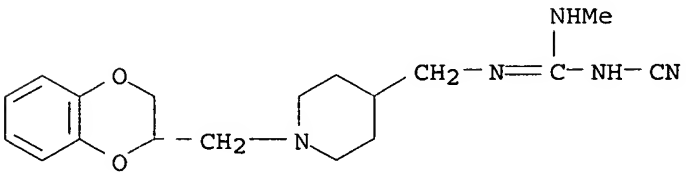
IT **89483-75-0P 89483-81-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of, by dithiocarbonimidate ester derivative)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 89483-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

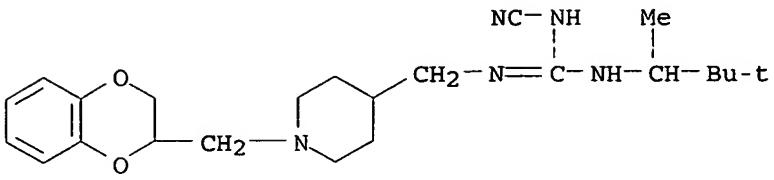


IT **89483-53-4P 89483-54-5P 89483-56-7P**
89483-58-9P 89483-60-3P 89483-77-2P
89483-78-3P 89483-83-0P 89483-84-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89483-53-4 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-methyl- (9CI) (CA INDEX NAME)

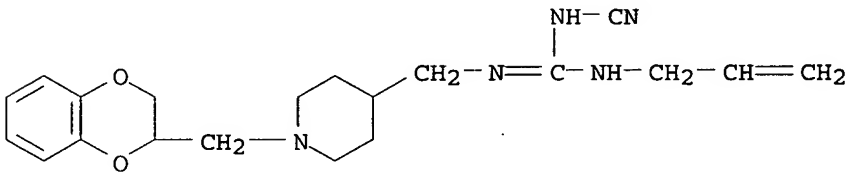


RN 89483-54-5 CAPLUS

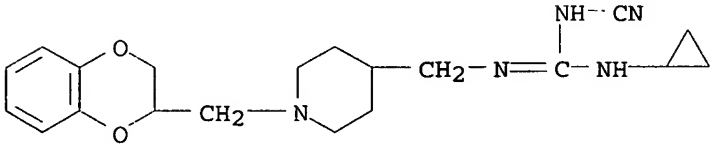
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)



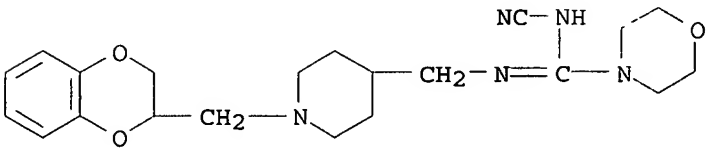
RN 89483-56-7 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-2-propenyl- (9CI) (CA INDEX NAME)



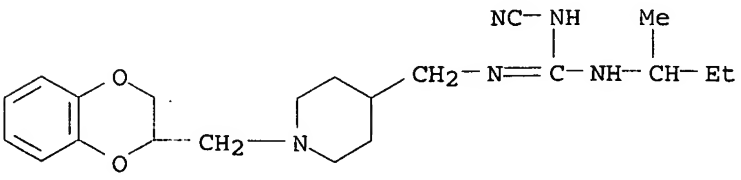
RN 89483-58-9 CAPLUS
CN Guanidine, N-cyano-N'-cyclopropyl-N''-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 89483-60-3 CAPLUS
CN 4-Morpholinecarboximidamide, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

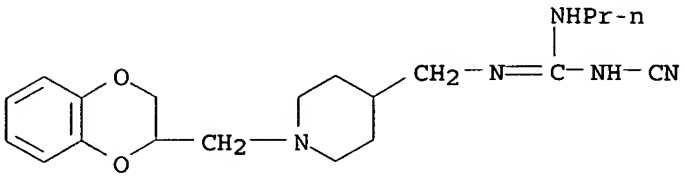


RN 89483-77-2 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-(1-methylpropyl)- (9CI) (CA INDEX NAME)

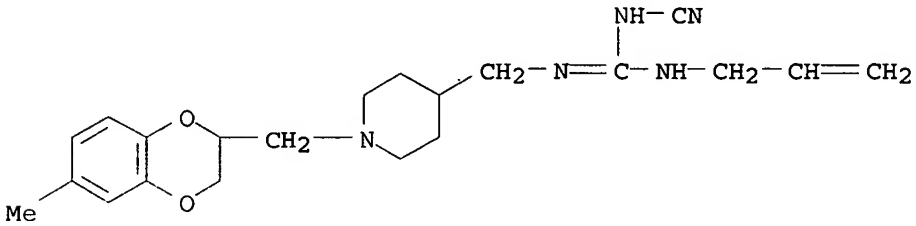


RN 89483-78-3 CAPLUS

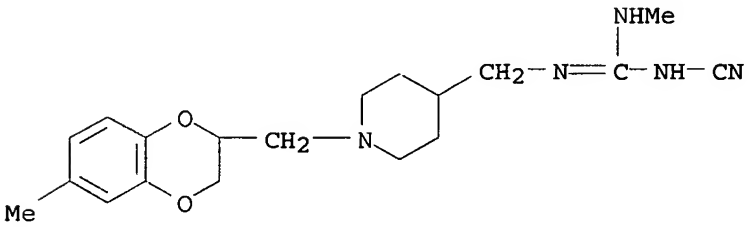
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-propyl- (9CI) (CA INDEX NAME)



RN 89483-83-0 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-2-propenyl- (9CI) (CA INDEX NAME)



RN 89483-84-1 CAPLUS
CN Guanidine, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N''-methyl- (9CI) (CA INDEX NAME)



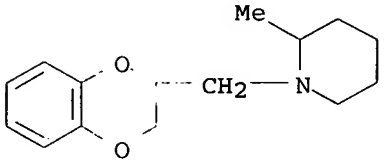
L6 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1937:38602 CAPLUS
DOCUMENT NUMBER: 31:38602
ORIGINAL REFERENCE NO.: 31:5435d-f
TITLE: Sympatholytic activity of derivatives of aminomethyl-benzodioxane
AUTHOR(S): Bovet, Daniel; Simon, Annette
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1937), 55, 15-51
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The pharmacol. behavior of diethylaminomethylbenzodioxane (883 F) is reviewed. The properties of 17 new derivs. were studied with regard to their toxicity and sedative action in the rabbit, and their effect on the normal dog and the dog anesthetized with chloralose. The antagonism to adrenaline hyperglucemia was measured in rabbits. Aminomethyl-3-benzodioxane (946 F), 7 secondary amines, 4 tertiary amines and 5 piperidine derivs. were used. They all showed a central action as well as

the adrenaline-antagonizing action. With the secondary amines, the toxicity rises with the mol. weight, but the adrenaline-antagonizing action reaches a maximum between 2 and 3 C atoms. In the tertiary series, the diethyl derivative is the most toxic and has the most anti-adrenaline action. Piperidine substitution increases the former and diminishes the latter property.

IT **860185-26-8**, 1,4-Benzodioxan, 2-(2-methyl-1-piperidylmethyl)- (preparation of)

RN 860185-26-8 CAPLUS

CN 1,4-Benzodioxan, 2-(2-methyl-1-piperidylmethyl)- (4CI) (CA INDEX NAME)



=> d ibib abs hitstr 1-24

L6 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:325699 CAPLUS

DOCUMENT NUMBER: 142:392292

TITLE: Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters for treating drug addiction or drug dependence

INVENTOR(S): Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike S.; Wang, Fengjiang; Shao, Liming

PATENT ASSIGNEE(S): Sepracor, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 607,457.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005080078	A1	20050414	US 2004-771519	20040204
US 2003050309	A1	20030313	US 2001-951130	20010912
US 2004077706	A1	20040422	US 2003-607457	20030626
WO 2005077463	A2	20050825	WO 2005-US3629	20050204

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
US 2001-273530P	P	20010305
US 2001-298057P	P	20010613

US 2001-951130 A3 20010912
US 2003-607457 A2 20030626
US 2000-231667P P 20000911
US 2004-771519 A 20040204

OTHER SOURCE(S): MARPAT 142:392292
GI

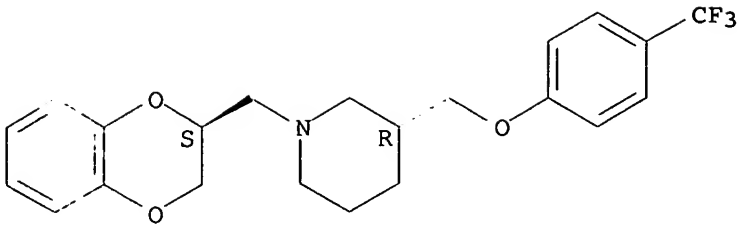
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR,SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of cocaine addiction or methamphetamine addiction.

IT **405089-42-1P 405089-43-2P 405089-44-3P 405089-46-5P**
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

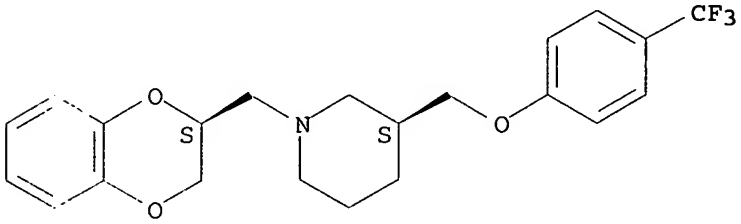
RN 405089-42-1 CAPLUS
CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



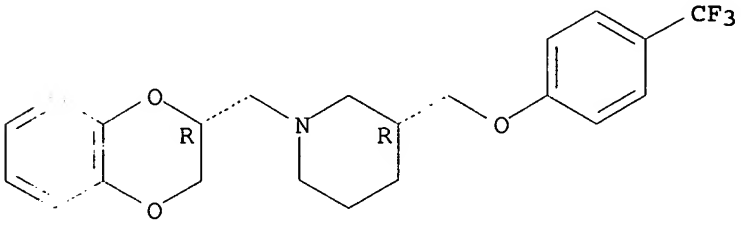
RN 405089-43-2 CAPLUS
CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



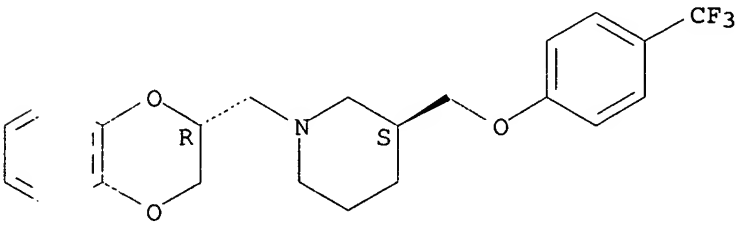
RN 405089-44-3 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



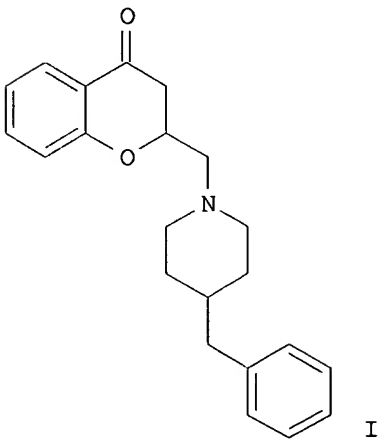
RN 405089-46-5 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1106820 CAPLUS
DOCUMENT NUMBER: 142:198025

TITLE: Synthesis and structure-activity relationships of 1-aralkyl-4-benzylpiperidine and 1-aralkyl-4-benzylpiperazine derivatives as potent σ ligands
AUTHOR(S): Costantino, Luca; Gandolfi, Francesca; Sorbi, Claudia; Franchini, Silvia; Prezzavento, Orazio; Vittorio, Franco; Ronsisvalle, Giuseppe; Leonardi, Amedeo; Poggesi, Elena; Brasili, Livio
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Modena and Reggio Emilia, Modena, 41100, Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(1), 266-273
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:198025
GI



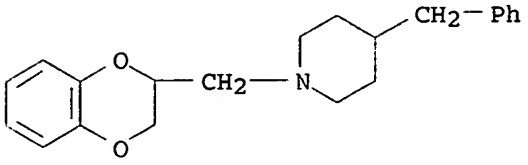
I

AB In the attempt to define more accurately structure-affinity relationships for σ1 and σ2 ligands, a series of aralkyl derivs. of 4-benzylpiperidine, e.g., I, were synthesized and tested on σ subtype receptors, in which the effect of modifications on the aralkyl moiety was studied in a systematic way. The affinity of the compds. varied to a great extent, with a σ2/σ1 selectivity ranging from 0.1 to 9. Thus, to confirm the ability of the piperazine derivative to bind to σ1 receptors in a different way than piperidines, a series of piperazine compds. were synthesized and tested; the comparison of their affinity with that of the corresponding piperidines strongly supported the possibility of a different binding mode. While the compds. were selective for σ vs serotonin 5-HT1A and dopamine D2 receptors, some compds. possessed a remarkable affinity for both σ and 5-HT1A receptors, with Ki in the nanomolar range, and were selective with respect to D2 receptors. They displayed also a partial agonist profile in a human 5-HT1A [35S]GTPγS binding assay, suggesting their potential use as atypical antipsychotic agents.

IT **835872-03-2P**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of σ1, σ2, 5-HT1A, and D2 receptor binding affinity, and structure-activity relationship of aralkyl(benzyl)piperidines and aralkyl(benzyl)piperazines starting from alcs. or ketones)

RN 835872-03-2 CAPLUS

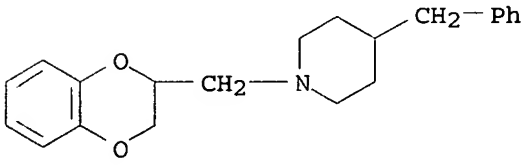
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(phenylmethyl)-
(9CI) (CA INDEX NAME)



IT **835872-14-5P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of σ1, σ2, 5-HT1A, and D2 receptor binding affinity, and structure-activity relationship of aralkyl(benzyl)piperidines and aralkyl(benzyl)piperazines starting from alcs. or ketones)
RN 835872-14-5 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

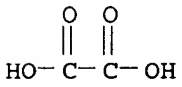
CM 1

CRN 835872-03-2
CMF C21 H25 N O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



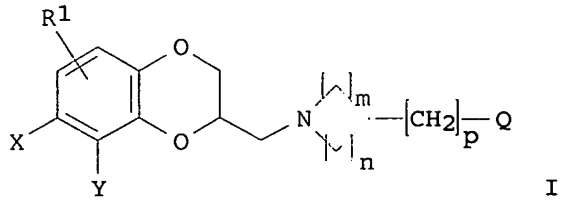
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:252514 CAPLUS
DOCUMENT NUMBER: 140:287395
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004024730	A1	20040325	WO 2003-US28413		20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2004132714	A1	20040708	US 2003-659167		20030910
CA 2498134	AA	20040325	CA 2003-2498134		20030911
EP 1537119	A1	20050608	EP 2003-752213		20030911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014429	A	20050823	BR 2003-14429		20030911
NO 2005001769	A	20050525	NO 2005-1769		20050411
PRIORITY APPLN. INFO.:			US 2002-410168P	P	20020912
			US 2003-659167	A	20030910
			WO 2003-US28413	W	20030911

OTHER SOURCE(S): MARPAT 140:287395
GI



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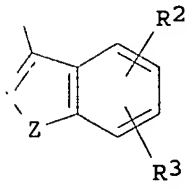
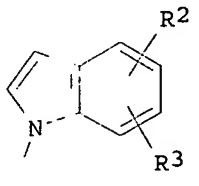


II



III

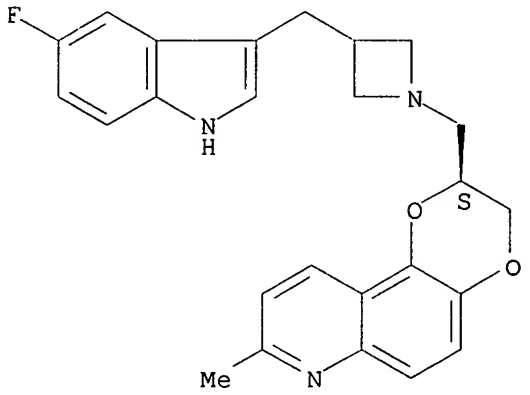
AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as

anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676125-36-3P 676125-37-4P 676125-38-5P**
676125-39-6P 676125-40-9P 676125-41-0P
676125-42-1P 676125-43-2P 676125-44-3P
676125-45-4P 676125-46-5P 676125-47-6P
676125-48-7P 676125-49-8P 676125-50-1P
676125-51-2P 676125-52-3P 676125-53-4P
676125-54-5P 676125-55-6P 676125-56-7P
676125-57-8P 676125-86-3P 676125-88-5P
676125-89-6P 676125-91-0P 676125-92-1P
676125-94-3P 676125-95-4P 676125-96-5P
676125-97-6P 676125-98-7P 676125-99-8P
676126-00-4P 676126-03-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans)

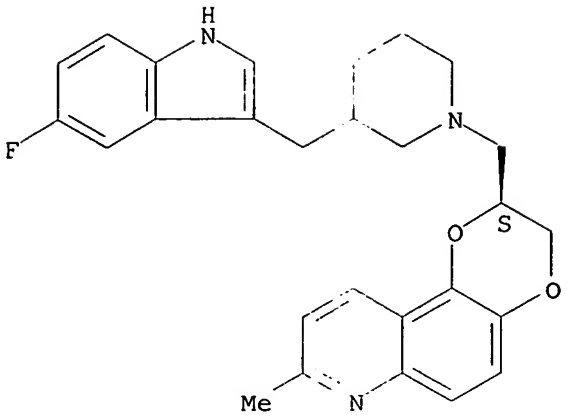
RN 676125-36-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



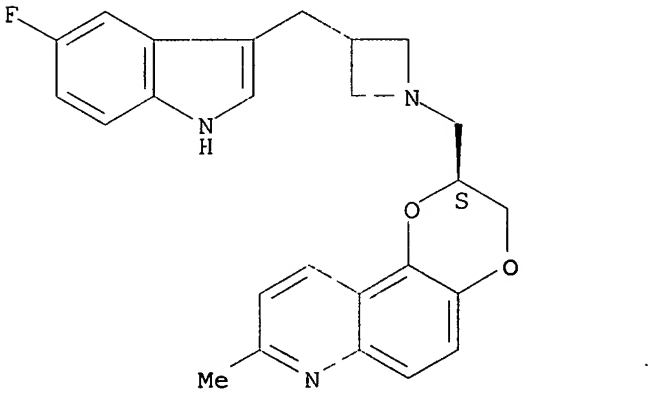
RN 676125-37-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

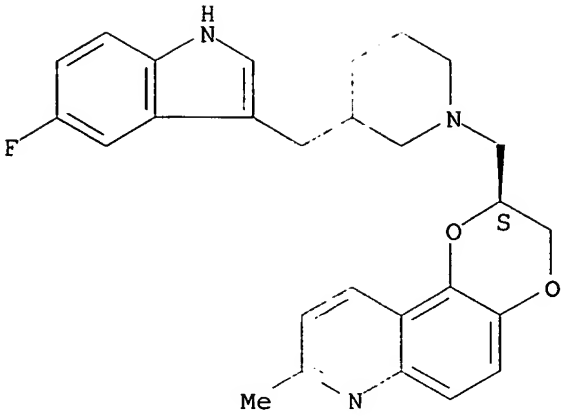
Absolute stereochemistry.



●2 HCl

RN 676125-39-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

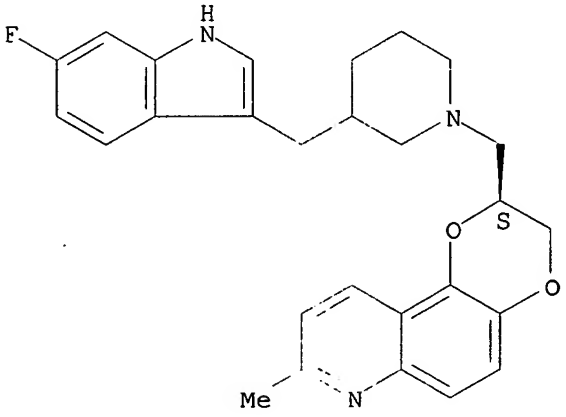
Absolute stereochemistry.



●2 HCl

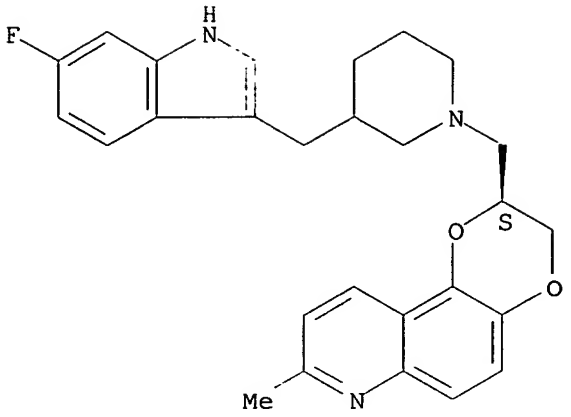
RN 676125-40-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-41-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

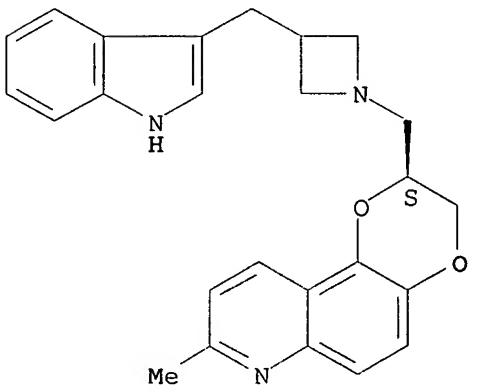
Absolute stereochemistry.



● 2 HCl

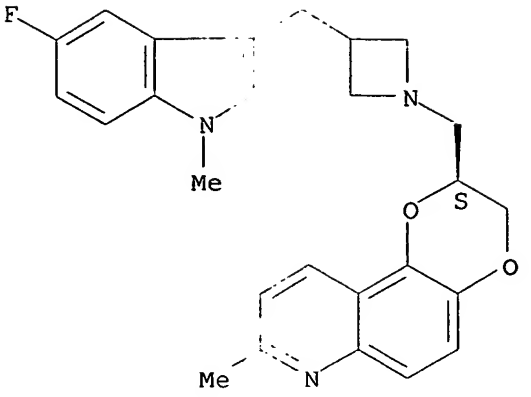
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

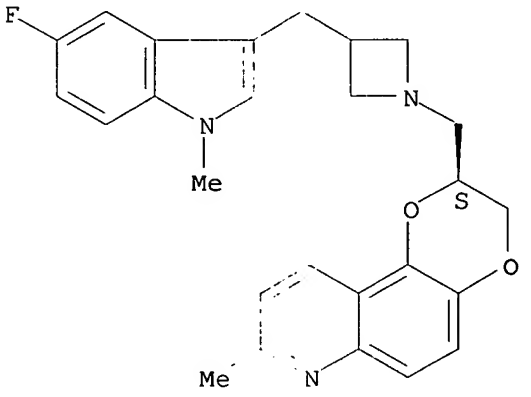


RN 676125-44-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

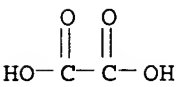
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



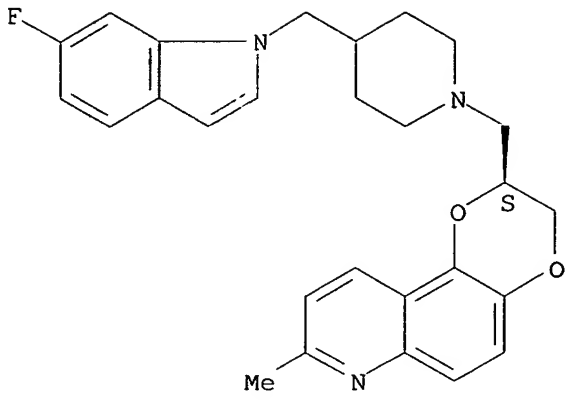
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 676125-45-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

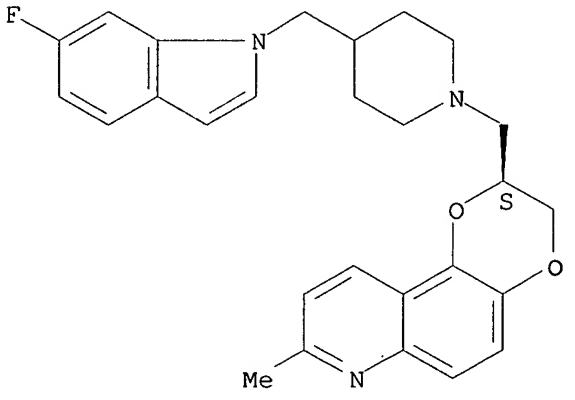


RN 676125-46-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676125-45-4
CMF C27 H28 F N3 O2

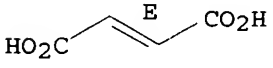
Absolute stereochemistry.



CM 2

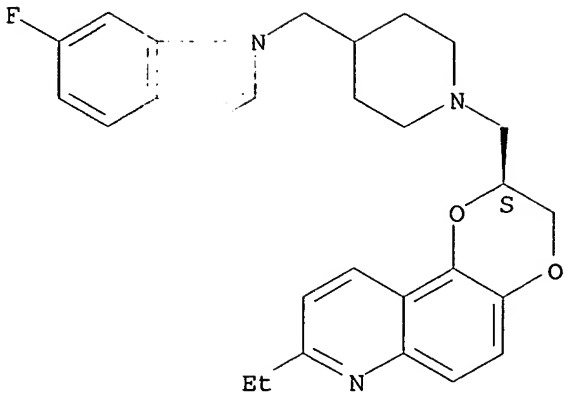
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 676125-47-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

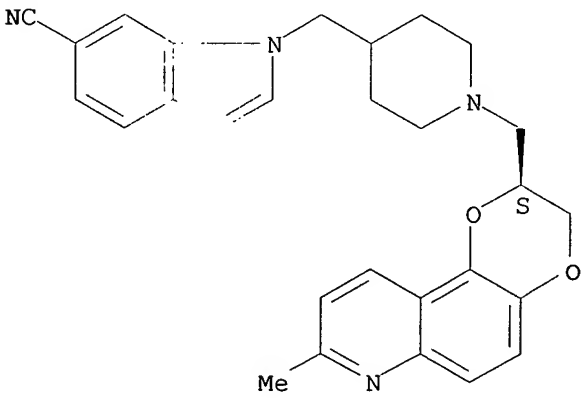
Absolute stereochemistry.



● 2 HCl

RN 676125-48-7 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

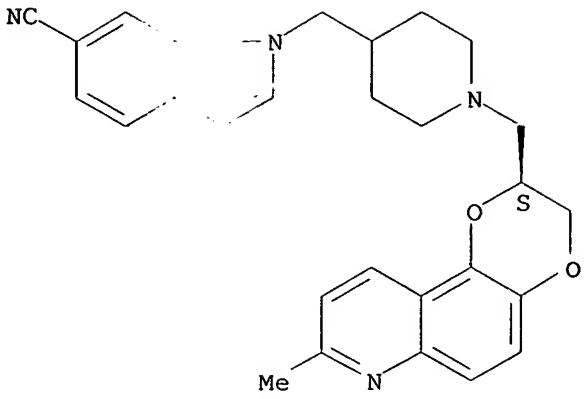


RN 676125-49-8 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-4-piperidinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676125-48-7
CMF C28 H28 N4 O2

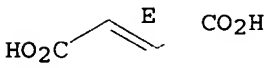
Absolute stereochemistry.



CM 2

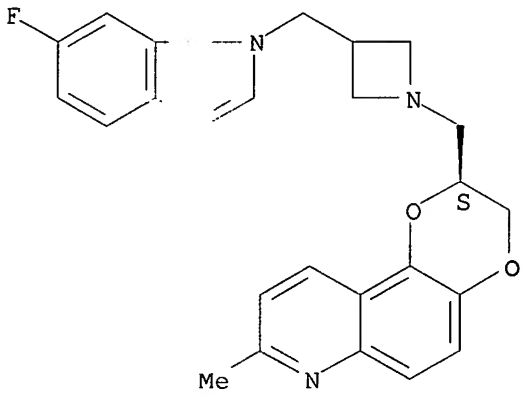
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



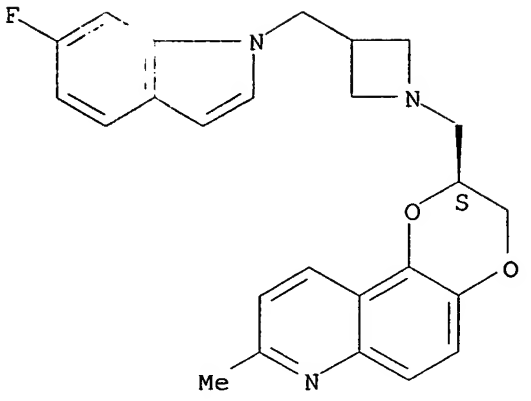
RN 676125-50-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-51-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

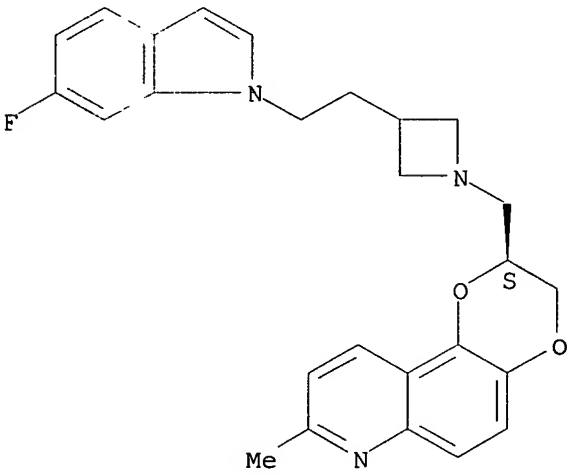
Absolute stereochemistry.



●2 HCl

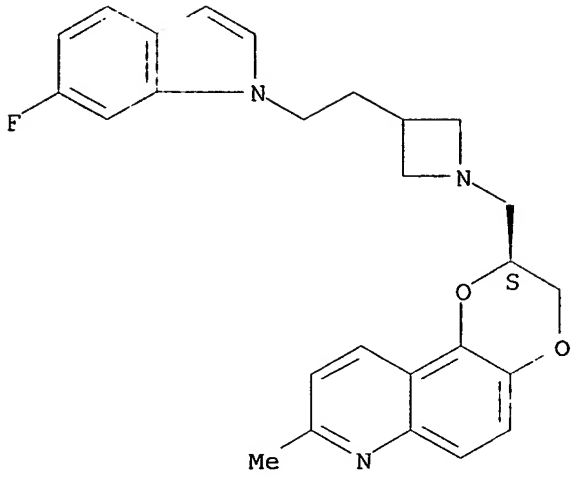
RN 676125-52-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-53-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

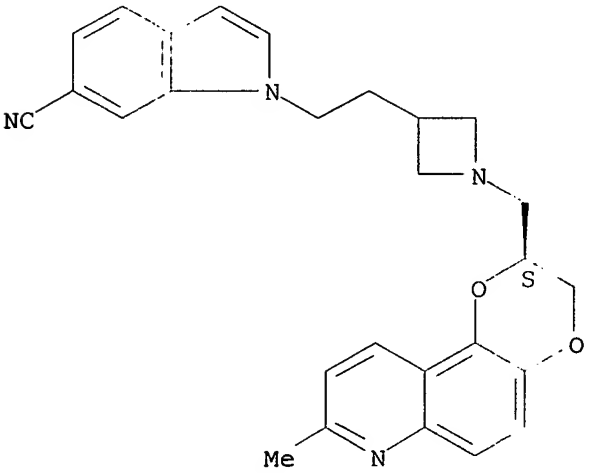
Absolute stereochemistry.



●2 HCl

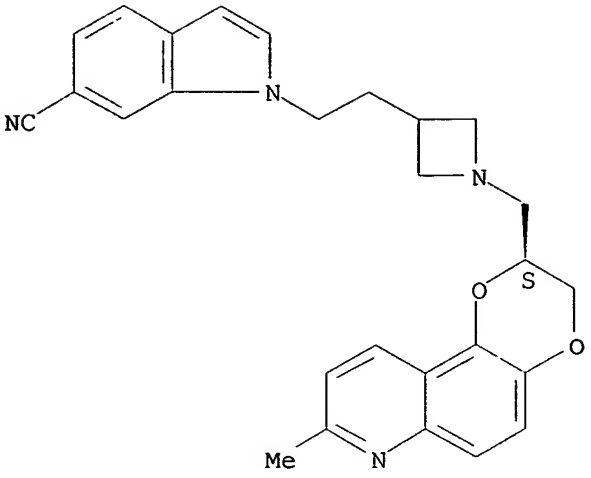
RN 676125-54-5 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-azetidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-55-6 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-azetidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

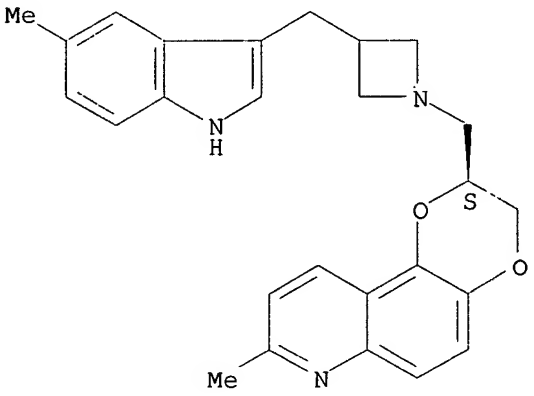
Absolute stereochemistry.



● 2 HCl

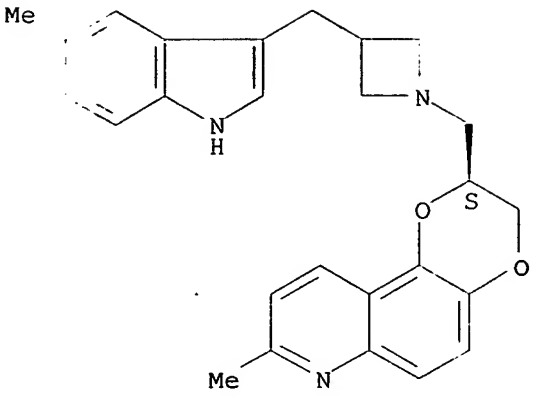
RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



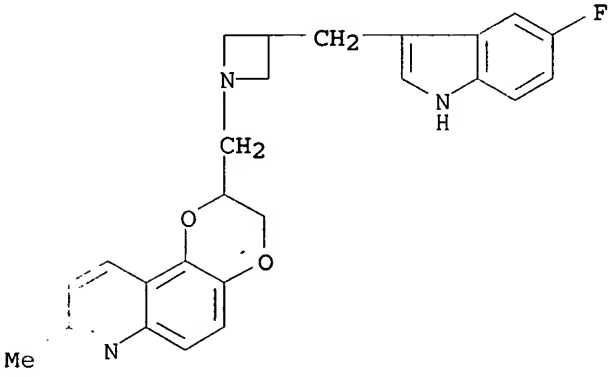
RN 676125-57-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

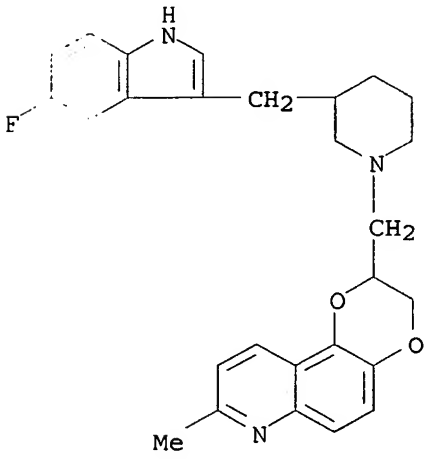


●2 HCl

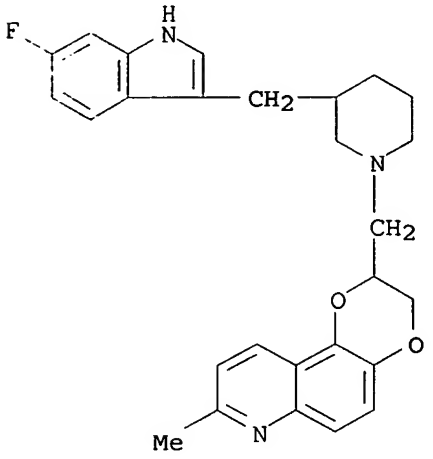
RN 676125-86-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



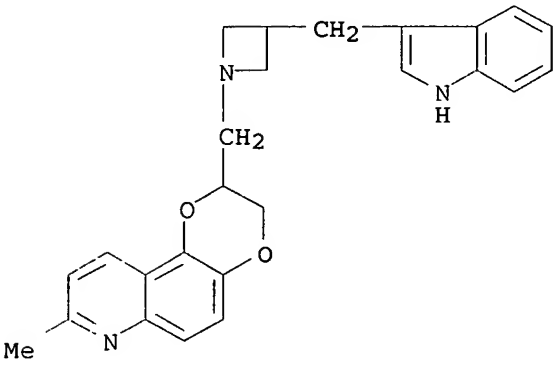
RN 676125-88-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



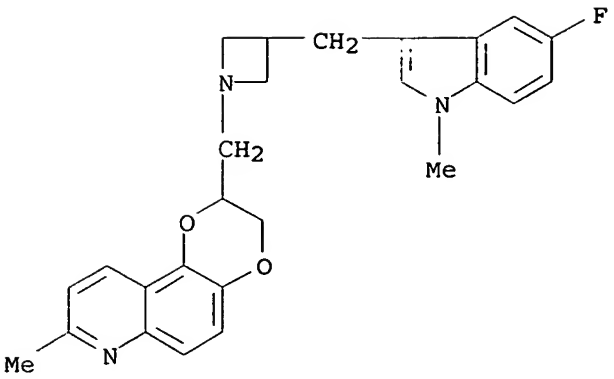
RN 676125-89-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



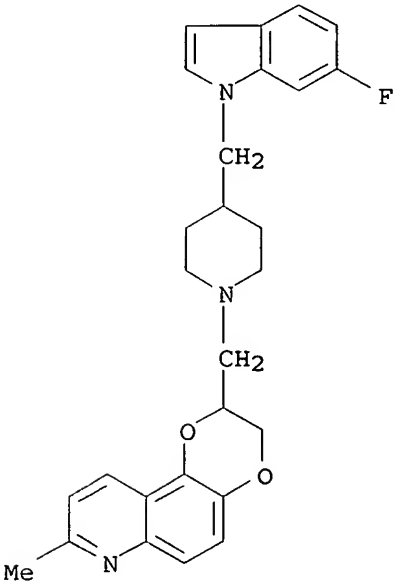
RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)



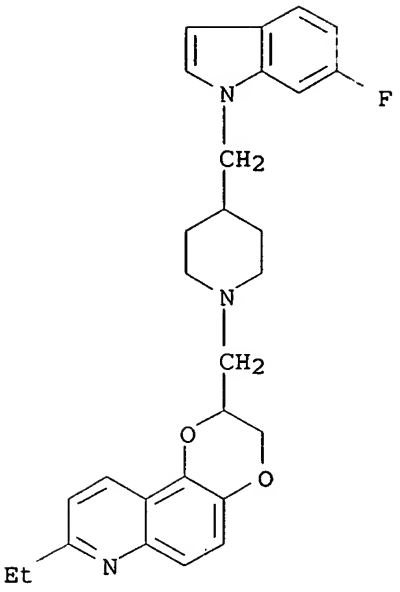
RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



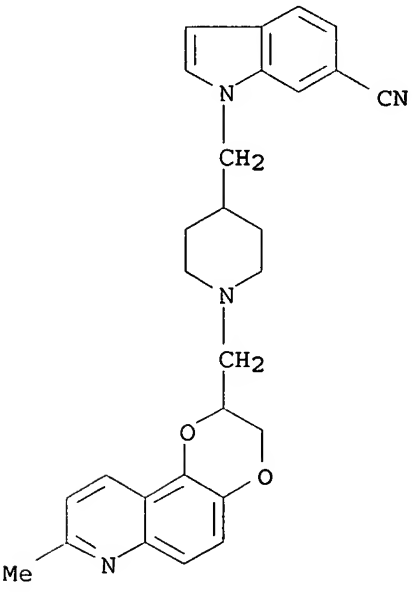
RN 676125-94-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



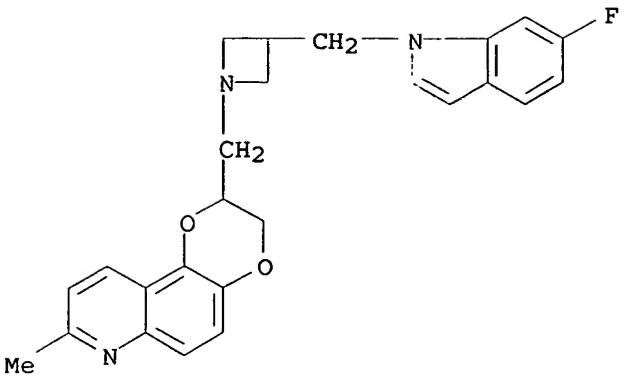
RN 676125-95-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



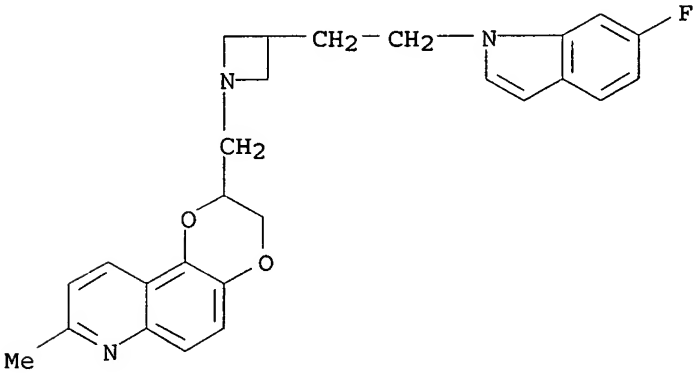
RN 676125-96-5 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[[1-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



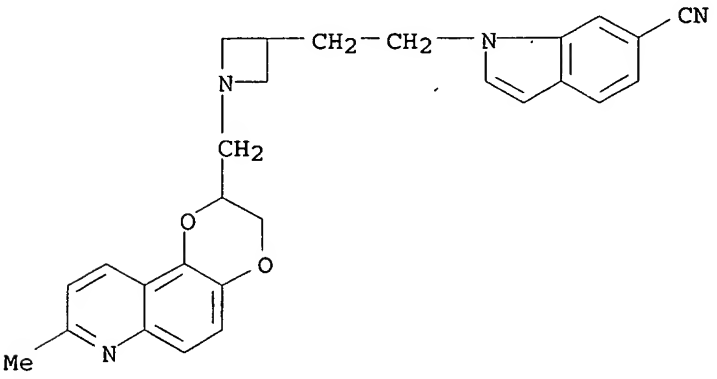
RN 676125-97-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



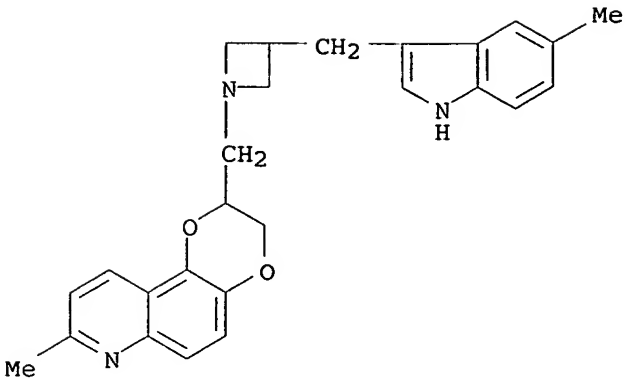
RN 676125-98-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676125-99-8 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-azetidinyl]ethyl]- (9CI) (CA INDEX NAME)

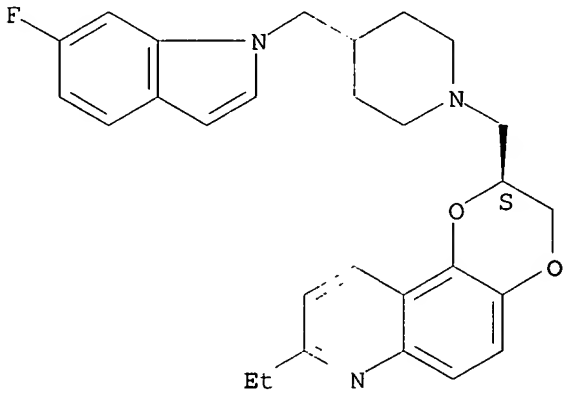


RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 676126-03-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:550738 CAPLUS
DOCUMENT NUMBER: 141:89093
TITLE: Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,168.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

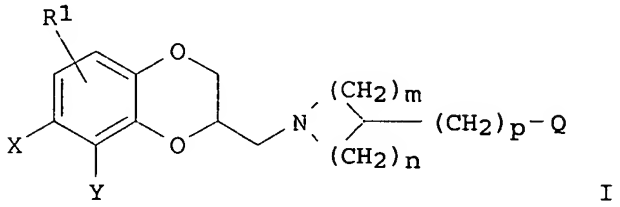
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004132714	A1	20040708	US 2003-659167	20030910
CA 2498134	AA	20040325	CA 2003-2498134	20030911
WO 2004024730	A1	20040325	WO 2003-US28413	20030911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1537119	A1	20050608	EP 2003-752213 20030911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003014429	A	20050823	BR 2003-14429 20030911
NO 2005001769	A	20050525	NO 2005-1769 20050411
PRIORITY APPLN. INFO.:			US 2002-410168P P 20020912
			US 2003-659167 A 20030910
			WO 2003-US28413 W 20030911

OTHER SOURCE(S): MARPAT 141:89093

GI



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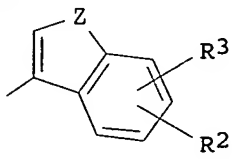

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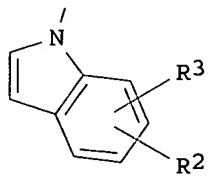


AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-

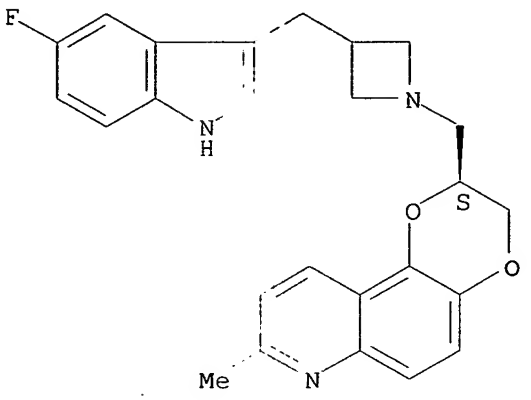
bromobenzenesulfonic acid (8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester (0.35 g, 0.80 mmol), 3-[(azetidin-3-yl)methyl]-5-fluoro-1H-indole (0.19 g, 0.96 mmol), and Et3N (0.16 mL, 1.2 mmol) in DMSO (20 mL) was heated at 90° under nitrogen overnight to give, after workup and silica gel chromatog., (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline (II) as a brown oil which was converted into the dihydrochloride. II.2HCl and (S)-1-[2-[1-[(8-Methyl-2,3-dihydro-[1,4]-dioxino[2,3-f]quinolin-2-yl)methyl]azetidin-3-yl]ethyl]-1H-indole-6-carbonitrile showed an affinity to 5-HT1A serotonin receptor in displacing [3H]8-OHDPAT (dipropylaminotetralin) from 5-HT1A serotonin receptor in CHO cells with Ki of 2.50 and 1.52 nM, resp.

IT **676125-36-3P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-37-4P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-38-5P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-39-6P**, (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-40-9P**, (S)-2-[[3-[(6-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-42-1P**, (S)-2-[[3-(1H-Indol-3-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-43-2P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-46-5P 676125-47-6P**, (S)-2-[[4-[(6-Fluoro-1H-indol-1-yl)methyl]piperidin-1-yl]methyl]-8-ethyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline dihydrochloride **676125-50-1P**, (S)-2-[[3-(6-Fluoroindol-1-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-52-3P**, (S)-2-[[3-[2-(6-Fluoroindol-1-yl)ethyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-56-7P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-86-3P**, 2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-88-5P**, 2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-89-6P**, 2-[[3-[(6-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-91-0P**, 2-[3-[3-[(1H-Indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-92-1P**, 2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-97-6P**, 2-[[3-(6-Fluoroindol-1-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-98-7P**, 2-[[3-[2-(6-Fluoroindol-1-yl)ethyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **676125-99-8P** **676126-00-4P**, 8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline **716323-02-3P**, (S)-2-[[3-[(6-Fluoro-1H-indol-3-yl)methyl]piperidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride **716323-03-4P**, (S)-2-[[3-[(5-Fluoro-1-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline oxalate **716323-06-7P**, (S)-2-[[3-(6-Fluoroindol-1-ylmethyl)azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride **716323-07-8P**, (S)-2-[[3-[2-(6-Fluoroindol-1-yl)ethyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride **716323-11-4P**, (S)-8-Methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (azaheterocyclylmethyl)heterocycle-fused benzodioxans having affinity to 5-HT1A serotonin receptor as antidepressants)

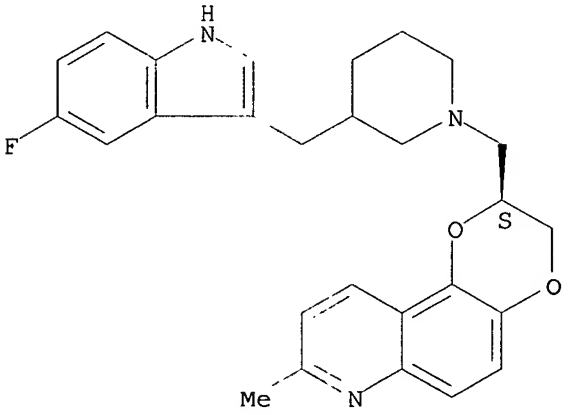
RN 676125-36-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



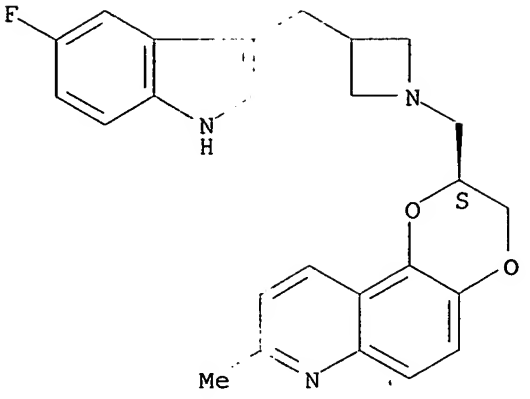
RN 676125-37-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-38-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

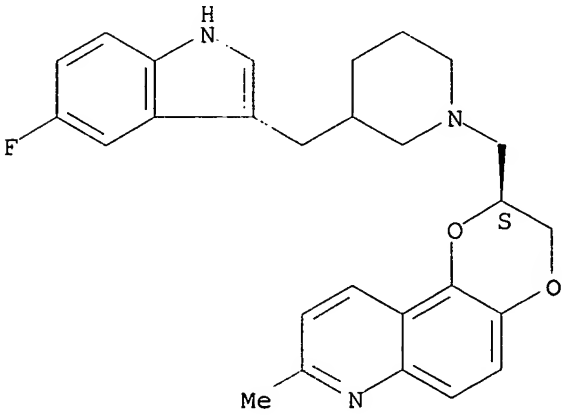
Absolute stereochemistry.



●2 HCl

RN 676125-39-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

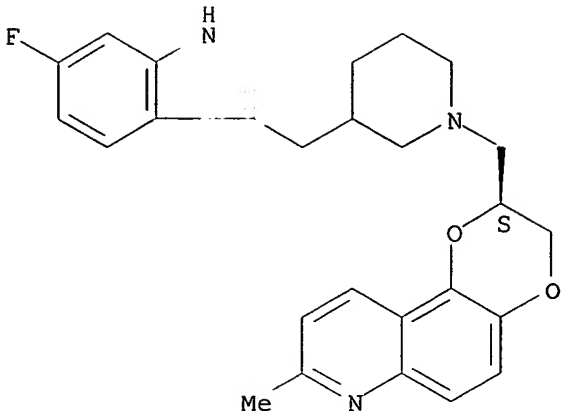
Absolute stereochemistry.



●2 HCl

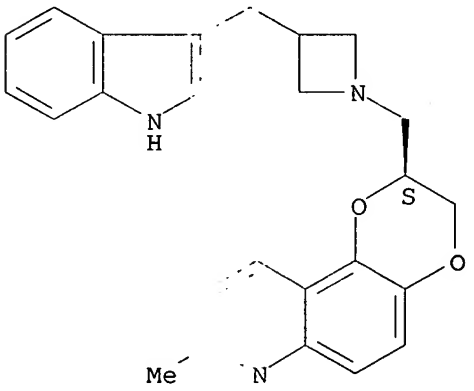
RN 676125-40-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



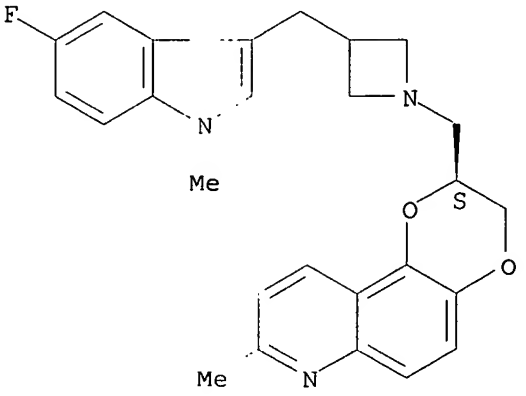
RN 676125-42-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676125-43-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

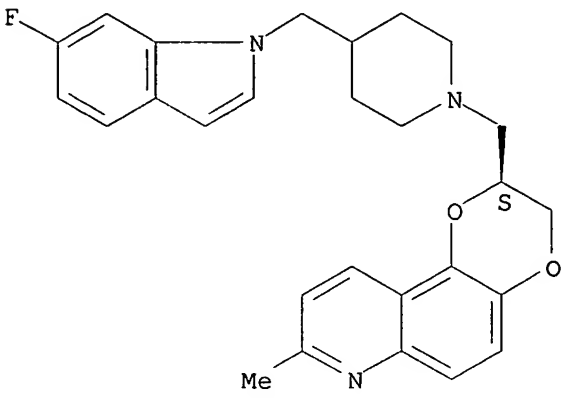


RN 676125-46-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676125-45-4
CMF C27 H28 F N3 O2

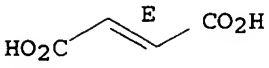
Absolute stereochemistry.



CM 2

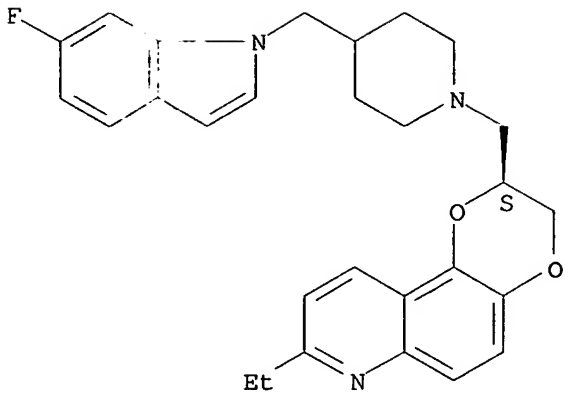
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 676125-47-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-[(6-fluoro-1H-indol-1-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)-(9CI) (CA INDEX NAME)

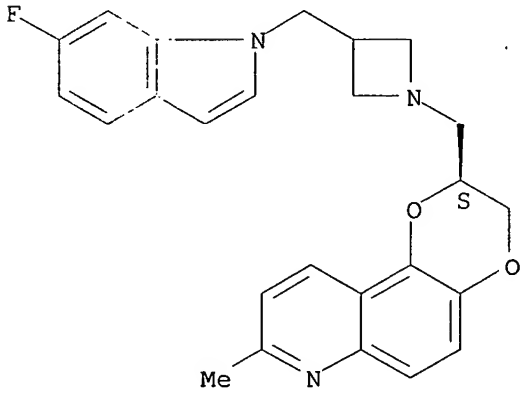
Absolute stereochemistry.



● 2 HCl

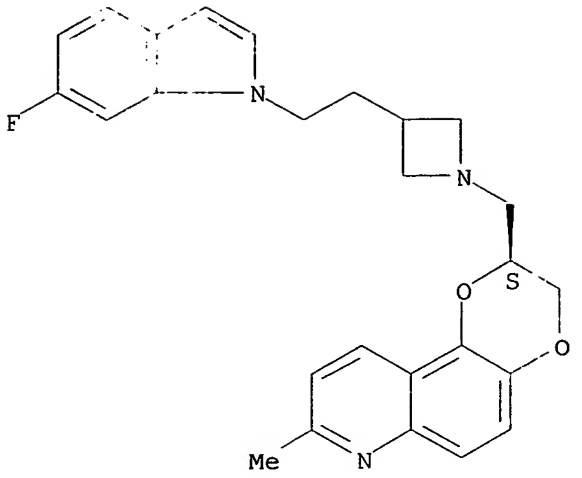
RN 676125-50-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



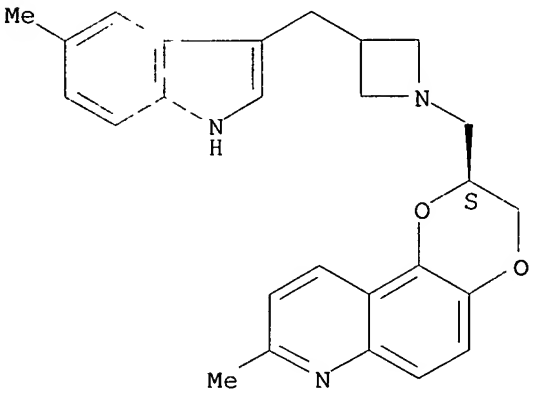
RN 676125-52-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

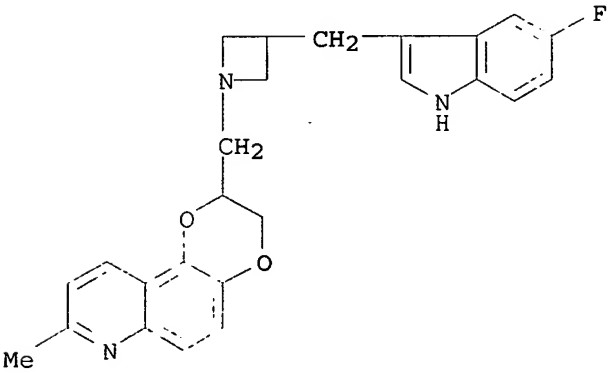


RN 676125-56-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

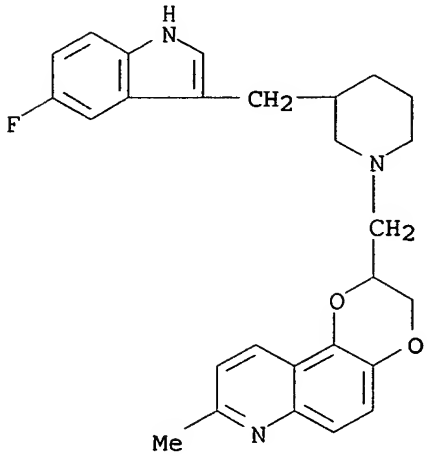


RN 676125-86-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

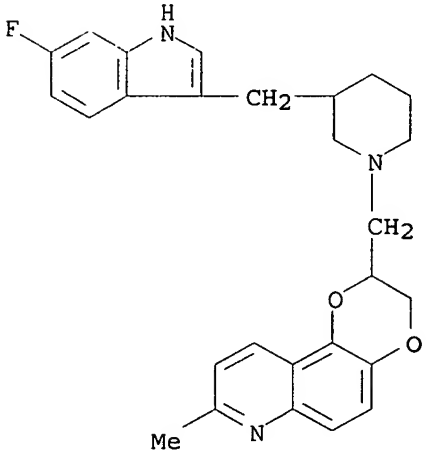


RN 676125-88-5 CAPLUS

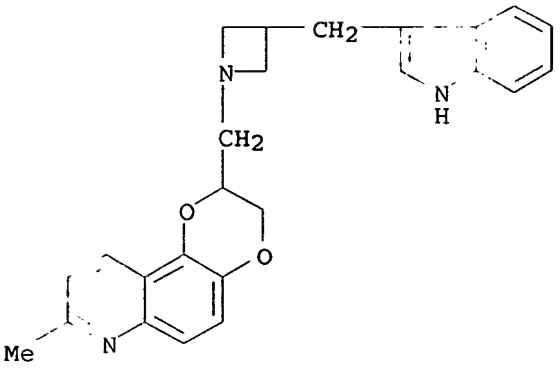
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



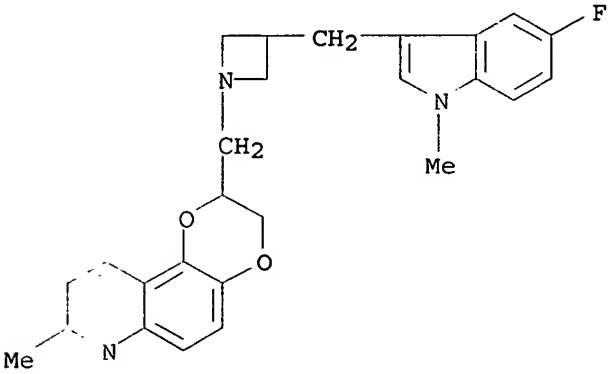
RN 676125-89-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



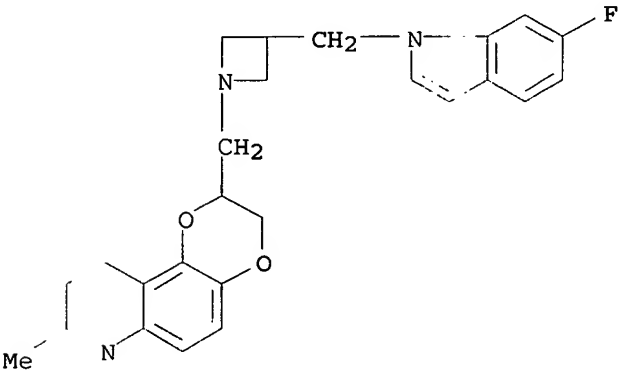
RN 676125-91-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[3-(1H-indol-3-ylmethyl)-1-azetidinyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)



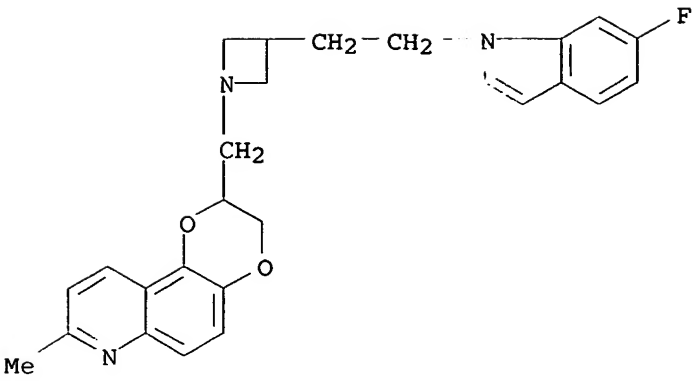
RN 676125-92-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



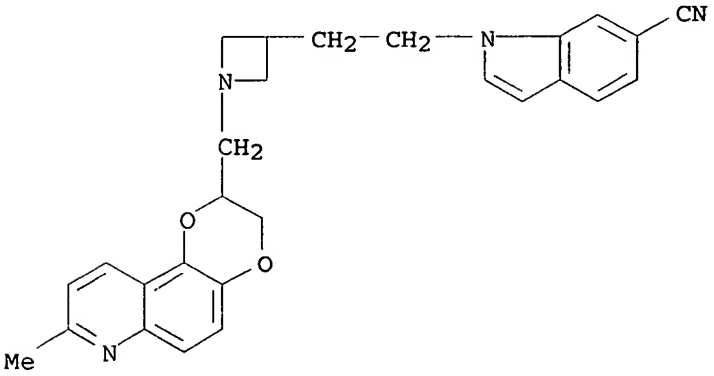
RN 676125-97-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



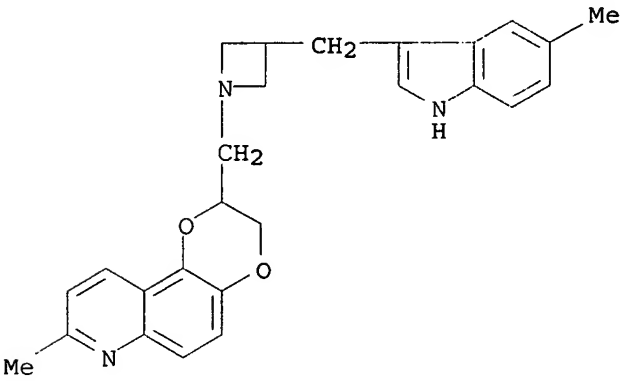
RN 676125-98-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676125-99-8 CAPLUS
CN 1H-Indole-6-carbonitrile, 1-[2-[1-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-azetidinyl]ethyl]- (9CI) (CA INDEX NAME)

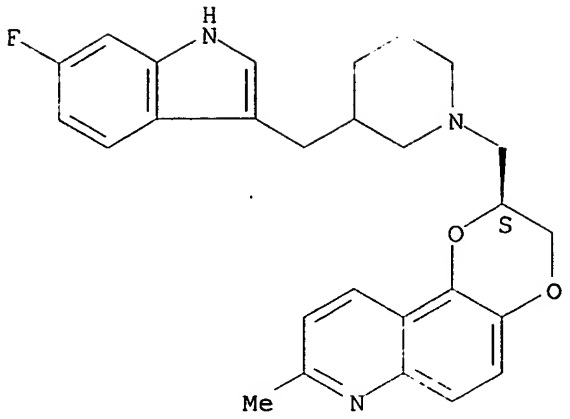


RN 676126-00-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 716323-02-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



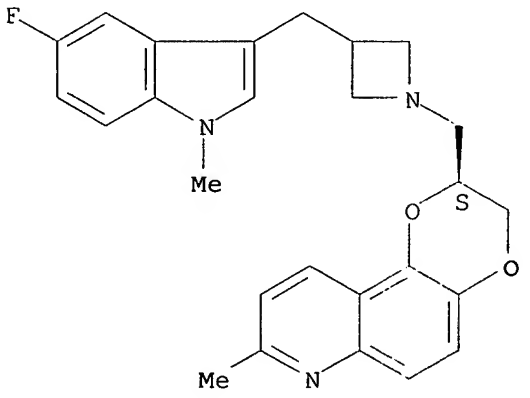
● HCl

RN 716323-03-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(5-fluoro-1-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

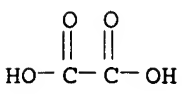
CRN 676125-43-2
CMF C26 H26 F N3 O2

Absolute stereochemistry.



CM 2

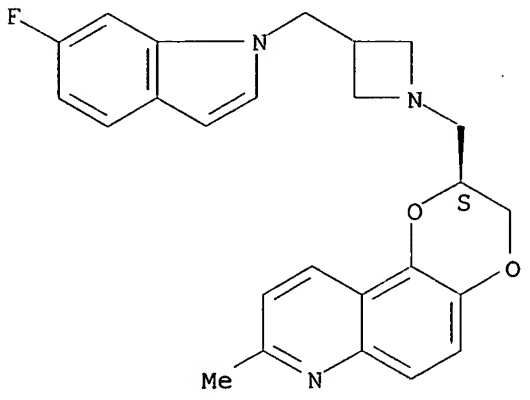
CRN 144-62-7
CMF C2 H2 O4



RN 716323-06-7 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[(6-fluoro-1H-indol-1-yl)methyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

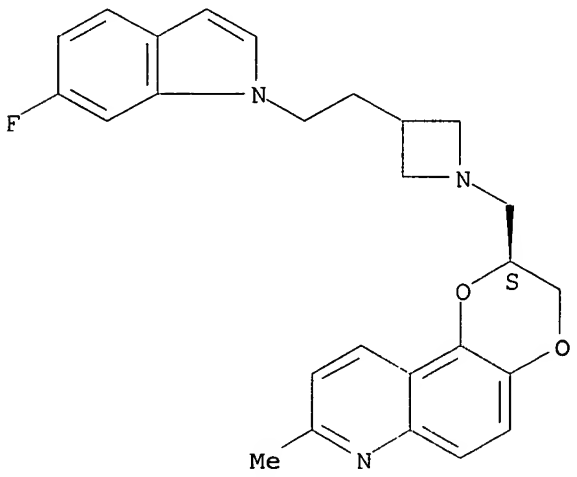
Absolute stereochemistry.



● HCl

RN 716323-07-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3-[2-(6-fluoro-1H-indol-1-yl)ethyl]-1-azetidinyl]methyl]-2,3-dihydro-8-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

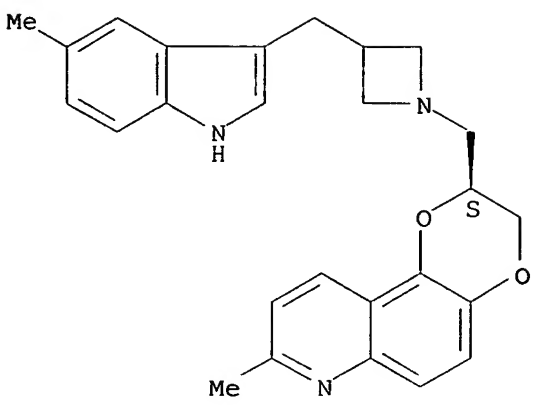
Absolute stereochemistry.



● HCl

RN 716323-11-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[3-[(5-methyl-1H-indol-3-yl)methyl]-1-azetidinyl]methyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

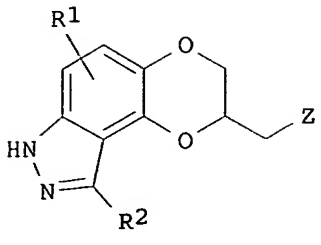


● HCl

L6 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:849636 CAPLUS
DOCUMENT NUMBER: 137:353036
TITLE: Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene
INVENTOR(S): Stack, Gary Paul; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088133	A1	20021107	WO 2002-US13284	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183331	A1	20021205	US 2002-128748	20020423
US 6800641	B2	20041005		

PRIORITY APPLN. INFO.: US 2001-286568P P 20010426
OTHER SOURCE(S): MARPAT 137:353036
GI



I

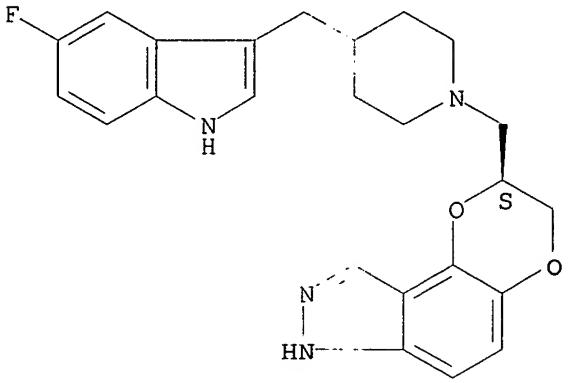
AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; Z = (un)substituted piperazino, piperidino, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indazol-2-ylmethyl 4-methylbenzenesulfonate (multi-step preparation given) with PhCH2NH2 in DMSO afforded 84% (S)-I [R1, R2 = H; Z = NHCH2Ph] which showed IC50 of 0.45 nM against D2 receptor binding.

IT **474383-13-6P 474383-14-7P 474383-24-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[a]naphthalene)

RN 474383-13-6 CAPLUS

CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



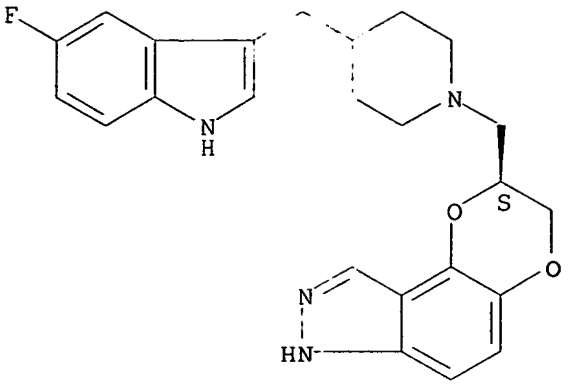
RN 474383-14-7 CAPLUS

CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

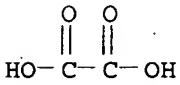
CRN 474383-13-6
CMF C24 H25 F N4 O2

Absolute stereochemistry.

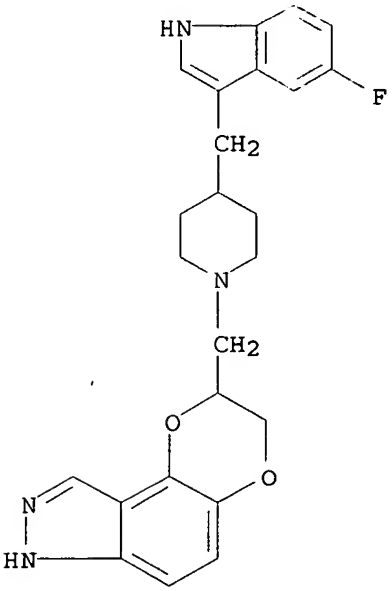


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 474383-24-9 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

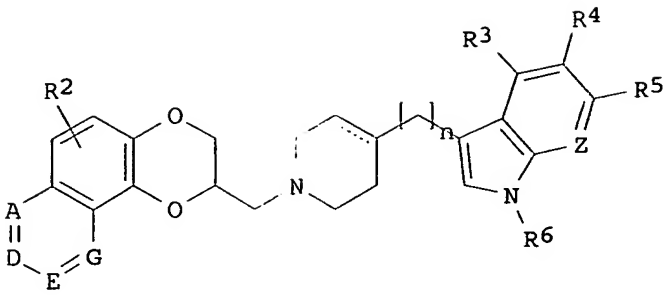
L6 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706

TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

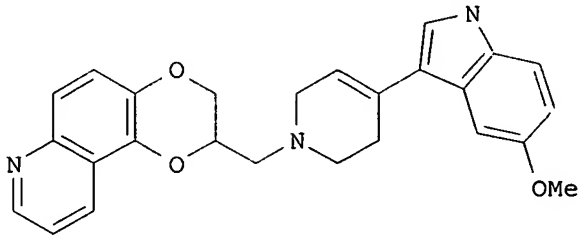
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072587	A1	20020919	WO 2002-US7192	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6458802	B2	20021001	US 2002-95505	20020312
US 2002165245	A1	20021107		
EP 1392697	A1	20040303	EP 2002-721325	20020312
EP 1392697	B1	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 281459	E	20041115	AT 2002-721325	20020312
PT 1392697	T	20050131	PT 2002-721325	20020312
ES 2230484	T3	20050501	ES 2002-2721325	20020312
US 2003045542	A1	20030306	US 2002-228744	20020827
US 6599915	B2	20030729		

PRIORITY APPLN. INFO.:		
US 2001-275564P	P	20010314
US 2002-95505	A1	20020312
WO 2002-US7192	W	20020312

OTHER SOURCE(S): MARPAT 137:247706
GI



I



II

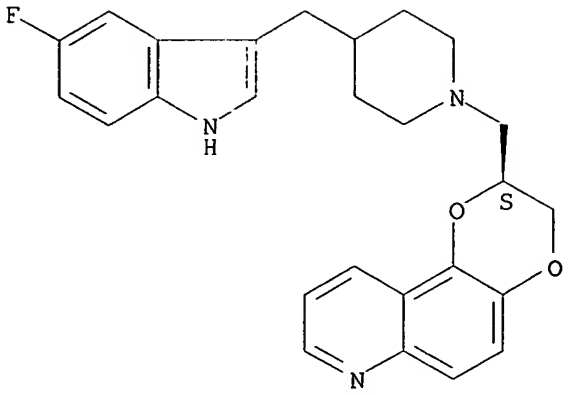
AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HT1A receptor activity (biol. data given).

IT **460353-59-7P 460353-64-4P 460353-84-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

RN 460353-59-7 CAPLUS

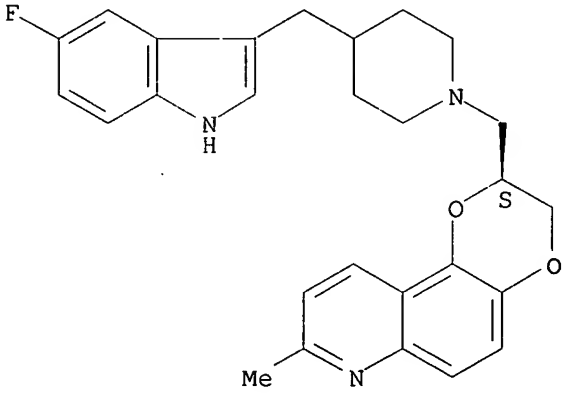
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 460353-64-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

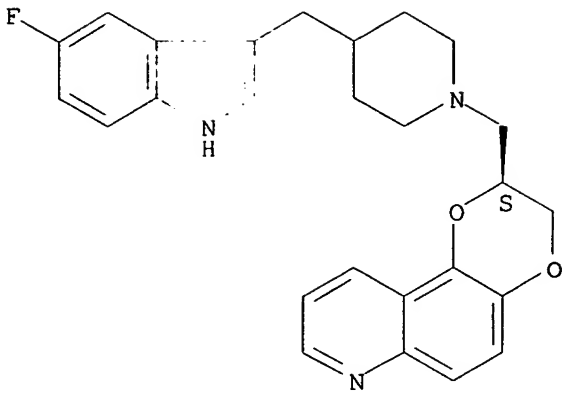


RN 460353-84-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

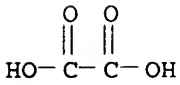
CRN 460353-59-7
CMF C26 H26 F N3 O2

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220550 CAPLUS
DOCUMENT NUMBER: 136:263097
TITLE: Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters.
INVENTOR(S): Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike; Wang, Fengjian; Shao, Liming
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022572	A2	20020321	WO 2001-US28654	20010912
WO 2002022572	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422055	AA	20020321	CA 2001-2422055	20010912

AU 2001090873	A5	20020326	AU 2001-90873		20010912
EP 1318988	A2	20030618	EP 2001-970926		20010912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004509103	T2	20040325	JP 2002-526825		20010912
PRIORITY APPLN. INFO.:			US 2000-231667P	P	20000911
			US 2001-273530P	P	20010305
			US 2001-298057P	P	20010613
			US 2000-273530P	P	20010305
			US 2000-298057P	P	20010613
			WO 2001-US28654	W	20010912

OTHER SOURCE(S): MARPAT 136:263097

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR,SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of depression, sexual dysfunction, Alzheimer's disease, anxiety, etc.

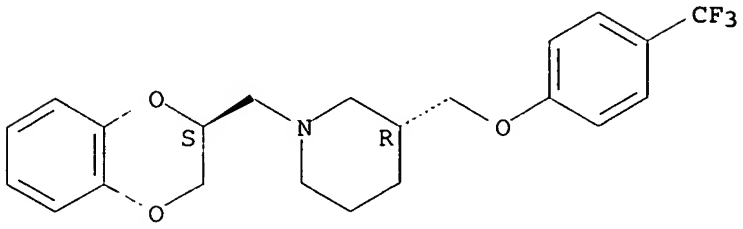
IT **405089-42-1P 405089-43-2P 405089-44-3P**
405089-46-5P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

RN 405089-42-1 CAPLUS

CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-

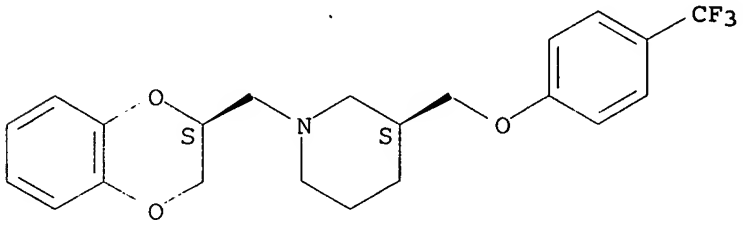
(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



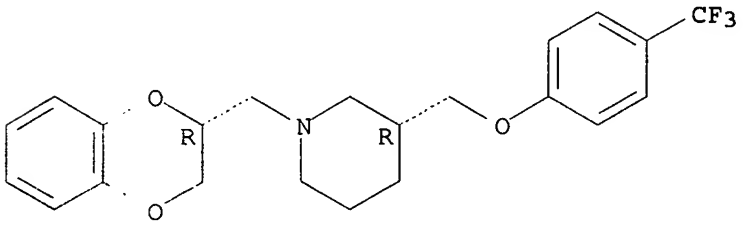
RN 405089-43-2 CAPLUS
CN Piperidine, 1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



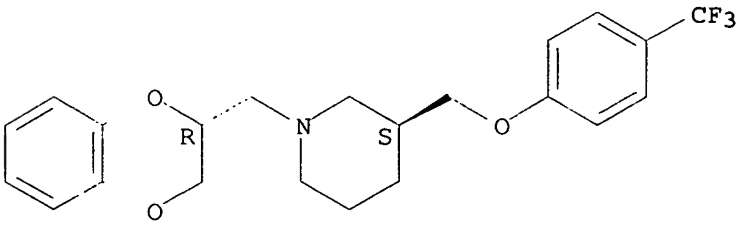
RN 405089-44-3 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 405089-46-5 CAPLUS
CN Piperidine, 1-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[[4-(trifluoromethyl)phenoxy]methyl]-, (3S)- (9CI) (CA INDEX NAME)

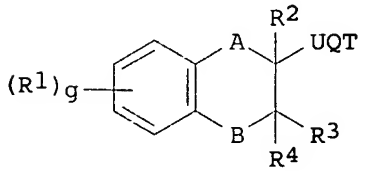
Absolute stereochemistry.



L6 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:31495 CAPLUS
DOCUMENT NUMBER: 134:95527
TITLE: Tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivatives for reducing cravings to food or an addictive substance
INVENTOR(S): Luscombe, Graham Paul; Needham, Patricia Lesley
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002391	A2	20010111	WO 2000-EP5735	20000621
WO 2001002391	A3	20010712		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2378389	AA	20010111	CA 2000-2378389	20000621
EP 1198234	A2	20020424	EP 2000-943852	20000621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503491	T2	20030128	JP 2001-507828	20000621
PRIORITY APPLN. INFO.:			GB 1999-15616 A	19990705
			WO 2000-EP5735 W	20000621

OTHER SOURCE(S): MARPAT 134:95527
GI



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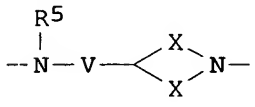
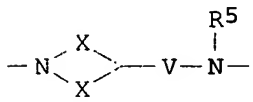


Q1



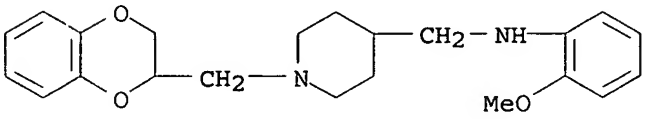
Q2

AB Compds. I [A, B = CH2, O; g = 0-4; R1 = halo, (substituted) alkyl, (substituted) alkoxy, etc.; R2 = H, alkyl, alkoxy; R3, R4 = H, alkyl; U =

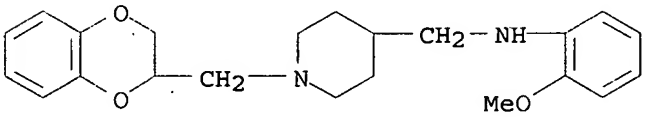
(alkyl-substituted) alkylene; Q = N(R5)V'NH, Q1, Q2; V = bond, (alkyl-substituted) alkylene; V' = (alkyl-substituted) alkylene; X = bond, alkylene; X' = alkylene; provided that total number of C atoms in X and X' amts. to 3 or 4; R5 = H, alkyl; T = (substituted) aromatic group which optionally contains ≥1 N atoms, provided that T is not 2-pyrimidinyl when A is O], and pharmaceutically acceptable salts thereof, have utility in reducing cravings to food or an addictive substance.

IT **170352-81-5 170352-81-5D**, enantiomers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivs. for reducing cravings to food or addictive substance)

RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



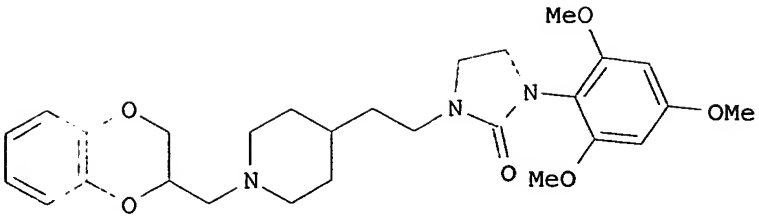
RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2000:653176 CAPLUS
DOCUMENT NUMBER:	133:362741
TITLE:	New Substituted 1-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)piperidin-4-yl Derivatives with α2-Adrenoceptor Antagonist Activity
AUTHOR(S):	Mayer, Patrice; Brunel, Pascale; Chaplain, Celine; Piedecoq, Christel; Calmel, Francis; Schambel, Philippe; Chopin, Philippe; Wurch, Thierry; Pauwels, Petrus J.; Marien, Marc; Vidaluc, Jean-Louis; Imbert, Thierry
CORPORATE SOURCE:	Division of Medicinal Chemistry Department of Analytical Chemistry Division of Neurobiology and Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, 81100, Fr.
SOURCE:	Journal of Medicinal Chemistry (2000), 43(20), 3653-3664
	CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 133:362741

GI



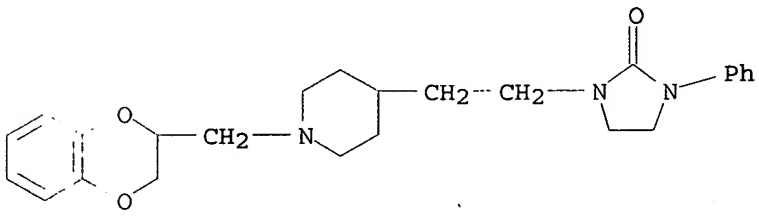
I

AB The emergence of a novel theory concerning the role of noradrenaline in the progression and the treatment of neurodegenerative diseases such as Parkinson's and Alzheimer's diseases has provided a new impetus toward the discovery of novel compds. acting at α2-adrenoceptors. A series of substituted 1-(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)piperidin-4-yl derivs., e.g., I, bearing an amide, urea, or imidazolidinone moiety was studied. Some members of this series of compds. proved to be potent α2-adrenoceptor antagonists with good selectivity vs. α1-adrenergic and D2-dopamine receptors. Particular emphasis is given to compound I which displays potent α2-adrenoceptor binding affinity in vitro and central effects in vivo following oral administration.

IT **194611-91-1P 194612-13-0P 202002-17-3P 202002-19-5P 202002-21-9P 202002-25-3P 306967-85-1P 306968-03-6P 306968-12-7P 306968-23-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

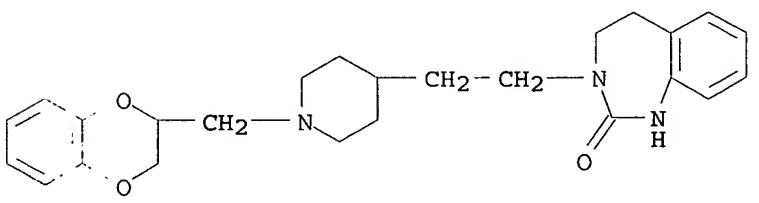
RN 194611-91-1 CAPLUS

CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

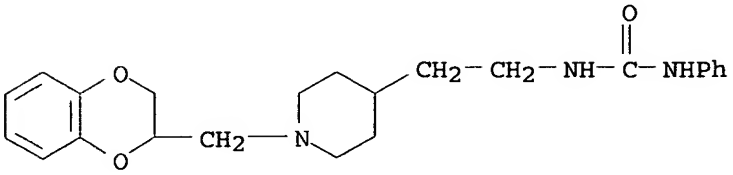


RN 194612-13-0 CAPLUS

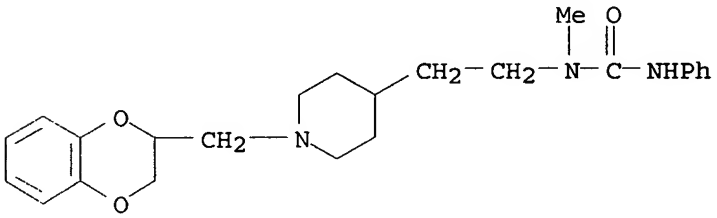
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



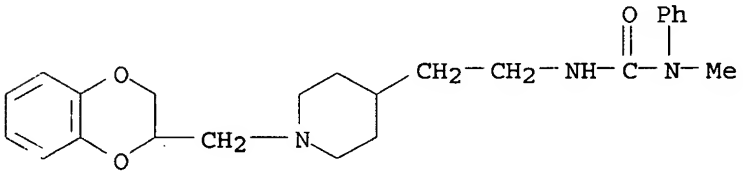
RN 202002-17-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



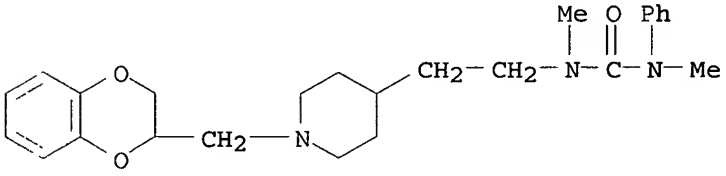
RN 202002-19-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl- (9CI) (CA INDEX NAME)



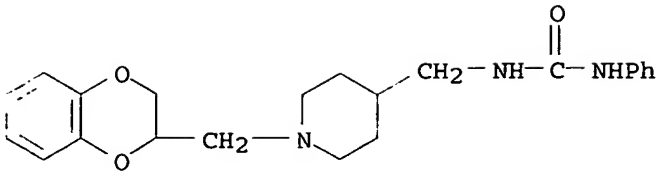
RN 202002-21-9 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



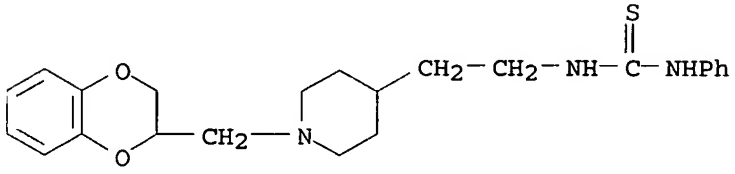
RN 202002-25-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl- (9CI) (CA INDEX NAME)



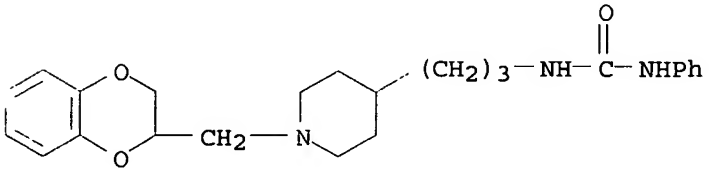
RN 306967-85-1 CAPLUS
CN Urea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-phenyl- (9CI) (CA INDEX NAME)



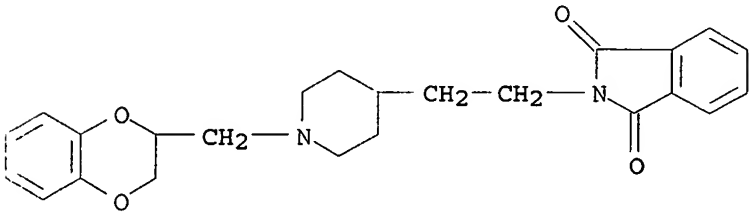
RN 306968-03-6 CAPLUS
CN Thiourea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 306968-12-7 CAPLUS
CN Urea, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 306968-23-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

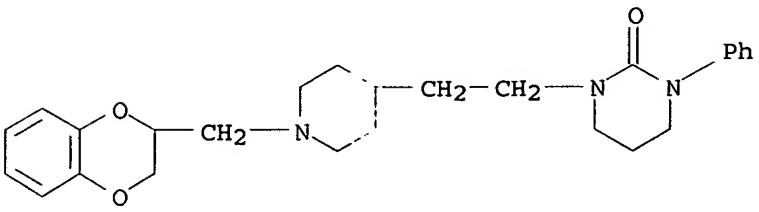


IT **194611-90-0P 194612-00-5P 194612-03-8P**
194612-04-9P 194612-05-0P 194612-07-2P
194612-08-3P 194612-09-4P 194612-10-7P
202002-33-3P 202002-36-6P 202002-38-8P
202002-43-5P 202002-51-5P 202002-53-7P
306967-91-9P 306967-92-0P 306967-94-2P
306967-96-4P 306967-97-5P 306967-99-7P
306968-00-3P 306968-05-8P 306968-14-9P
306968-25-2P 306968-28-5P 306968-32-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, binding affinity and bioactivity of substituted

dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

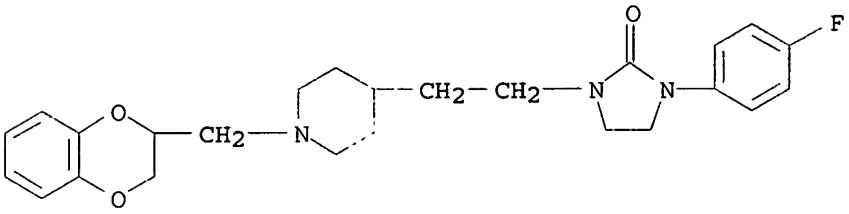
RN 194611-90-0 CAPLUS

CN 2(1H)-Pyrimidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



RN 194612-00-5 CAPLUS

CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



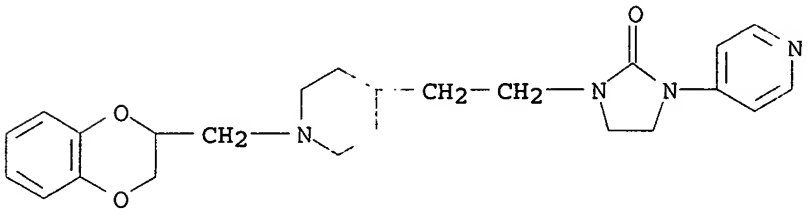
RN 194612-03-8 CAPLUS

CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 194612-02-7

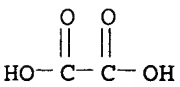
CMF C24 H30 N4 O3



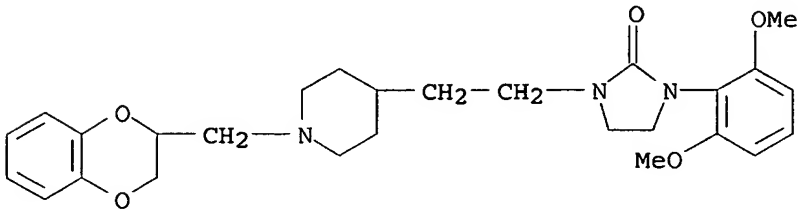
CM 2

CRN 144-62-7

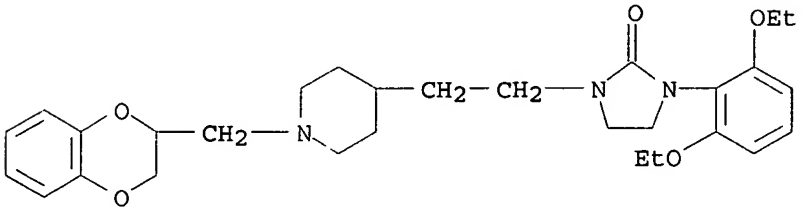
CMF C2 H2 O4



RN 194612-04-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



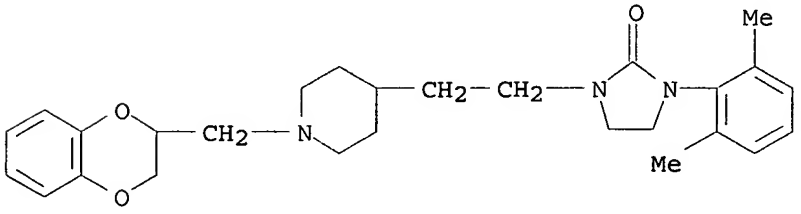
RN 194612-05-0 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-diethoxyphenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 194612-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

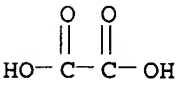
CM 1

CRN 194612-06-1
CMF C27 H35 N3 O3

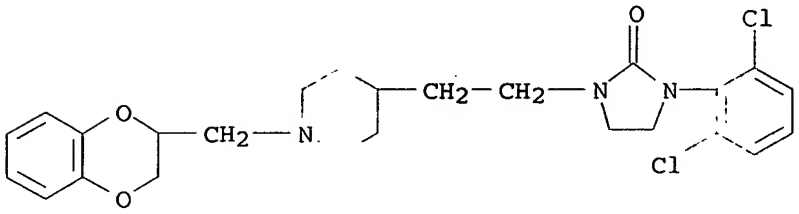


CM 2

CRN 144-62-7
CMF C2 H2 O4

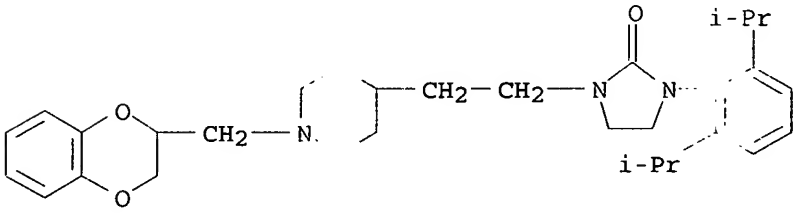


RN 194612-08-3 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

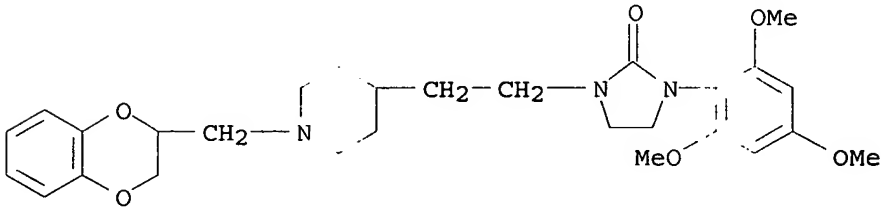


● HCl

RN 194612-09-4 CAPLUS
CN 2-Imidazolidinone, 1-[2,6-bis(1-methylethyl)phenyl]-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



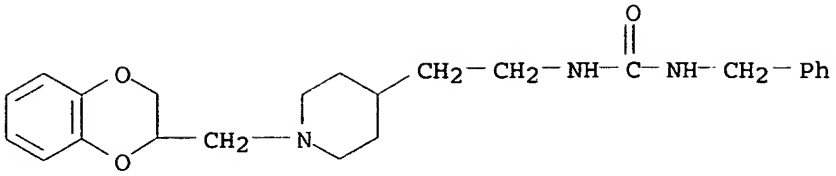
RN 194612-10-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 202002-33-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

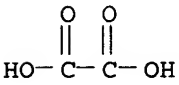
CM 1

CRN 202002-32-2
CMF C24 H31 N3 O3



CM 2

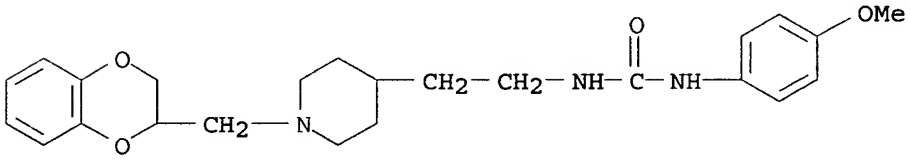
CRN 144-62-7
CMF C2 H2 O4



RN 202002-36-6 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

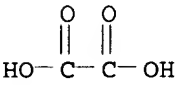
CM 1

CRN 202002-35-5
CMF C24 H31 N3 O4



CM 2

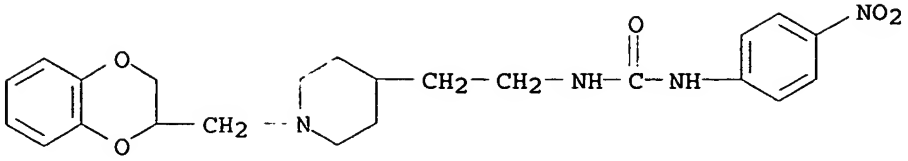
CRN 144-62-7
CMF C2 H2 O4



RN 202002-38-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-nitrophenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

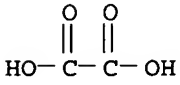
CM 1

CRN 202002-37-7
CMF C23 H28 N4 O5

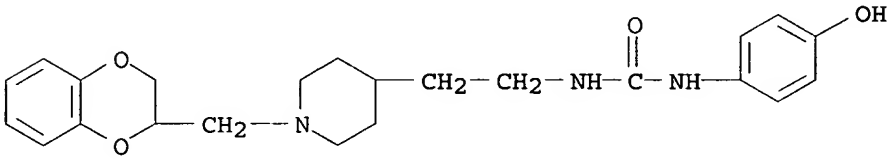


CM 2

CRN 144-62-7
CMF C2 H2 O4



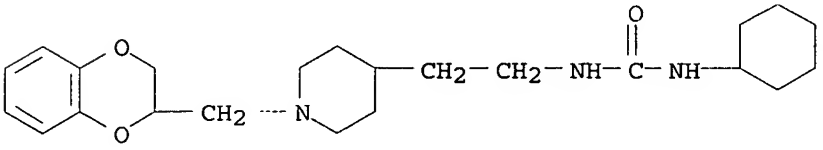
RN 202002-43-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 202002-51-5 CAPLUS
CN Urea, N-cyclohexyl-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

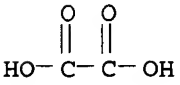
CM 1

CRN 202002-50-4
CMF C23 H35 N3 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

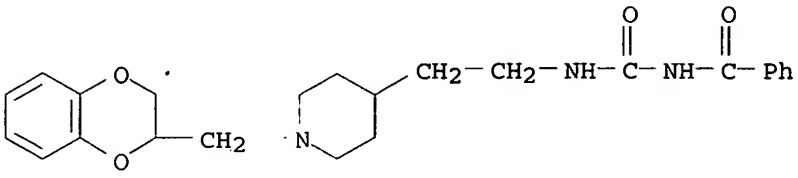


RN 202002-53-7 CAPLUS

CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]carbonyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

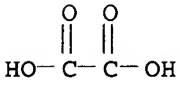
CM 1

CRN 202002-52-6
CMF C24 H29 N3 O4

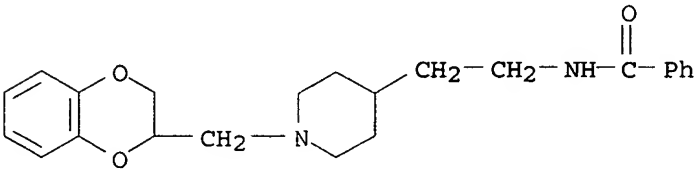


CM 2

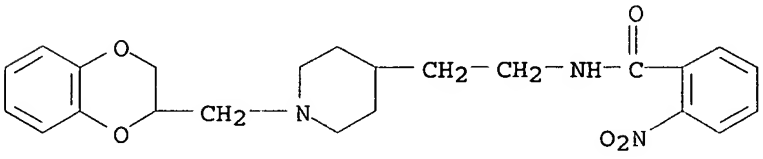
CRN 144-62-7
CMF C2 H2 O4



RN 306967-91-9 CAPLUS
CN Benzamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 306967-92-0 CAPLUS
CN Benzamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-nitro- (9CI) (CA INDEX NAME)

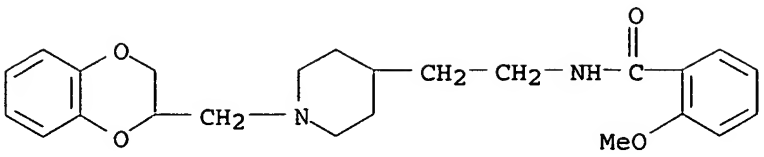


RN 306967-94-2 CAPLUS
CN Benzamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-methoxy-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

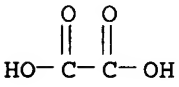
CRN 306967-93-1

CMF C24 H30 N2 O4



CM 2

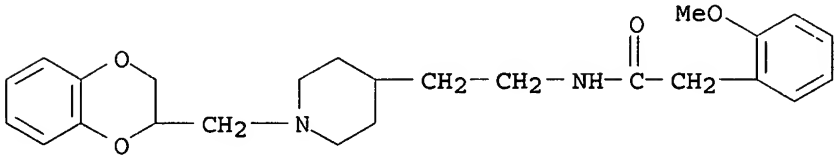
CRN 144-62-7
CMF C2 H2 O4



RN 306967-96-4 CAPLUS
CN Benzeneacetamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-methoxy-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

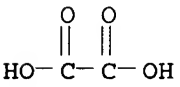
CM 1

CRN 306967-95-3
CMF C25 H32 N2 O4

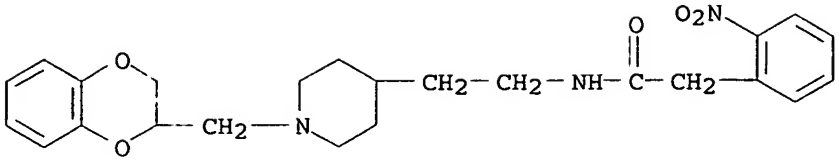


CM 2

CRN 144-62-7
CMF C2 H2 O4



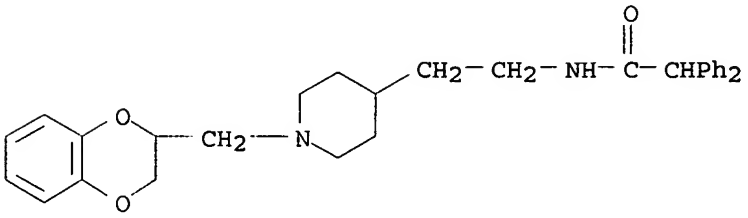
RN 306967-97-5 CAPLUS
CN Benzeneacetamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2-nitro- (9CI) (CA INDEX NAME)



RN 306967-99-7 CAPLUS
CN Benzeneacetamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-α-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

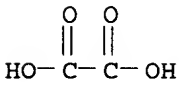
CM 1

CRN 306967-98-6
CMF C30 H34 N2 O3

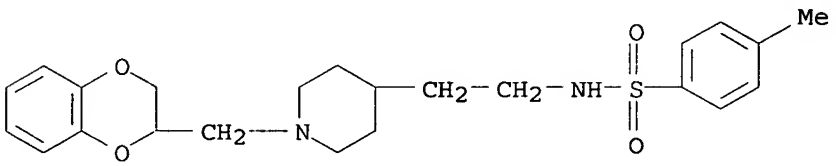


CM 2

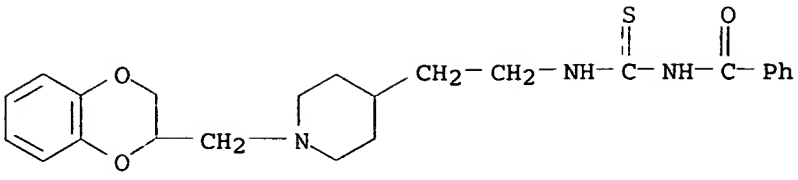
CRN 144-62-7
CMF C2 H2 O4



RN 306968-00-3 CAPLUS
CN Benzenesulfonamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

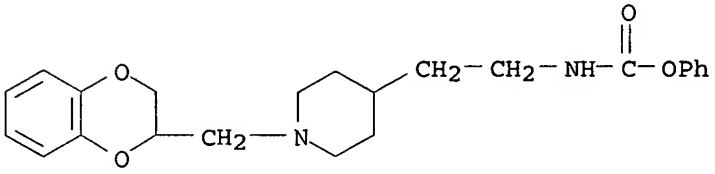


RN 306968-05-8 CAPLUS
CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]thioxomethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

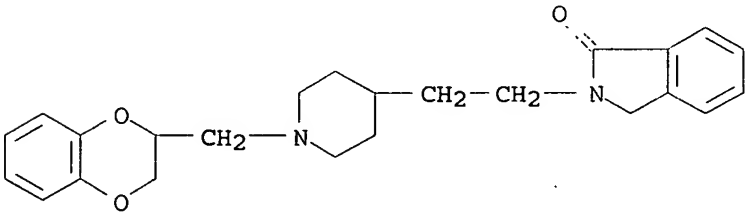


● HCl

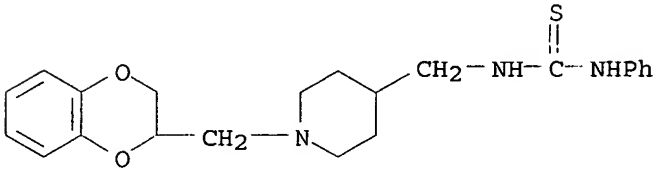
RN 306968-14-9 CAPLUS
CN Carbamic acid, [2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 306968-25-2 CAPLUS
CN 1H-Isoindol-1-one, 2-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 306968-28-5 CAPLUS
CN Thiourea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

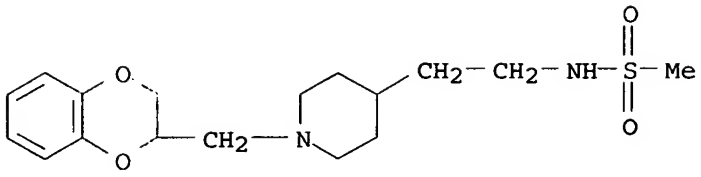


● HCl

RN 306968-32-1 CAPLUS
CN Methanesulfonamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

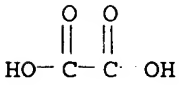
CM 1

CRN 306968-31-0
CMF C17 H26 N2 O4 S



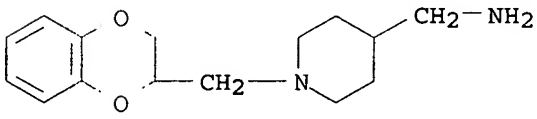
CM 2

CRN 144-62-7
CMF C2 H2 O4

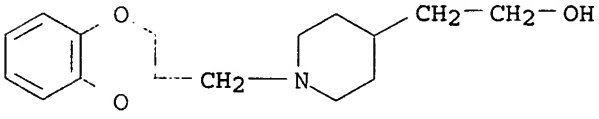


IT **89483-75-0P 194612-27-6P 194612-28-7P**
194612-30-1P 194612-31-2P 306967-87-3P
306967-88-4P 306967-89-5P 306968-06-9P
306968-07-0P 306968-10-5P 306968-11-6P
306968-21-8P 306968-22-9P 306968-26-3P
306968-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

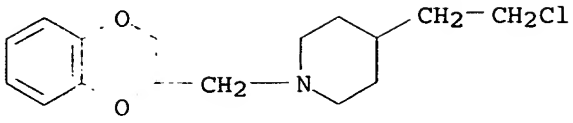
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



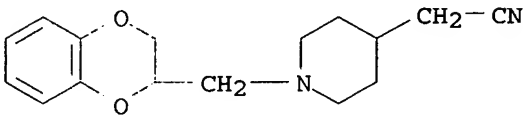
RN 194612-27-6 CAPLUS
CN 4-Piperidineethanol, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



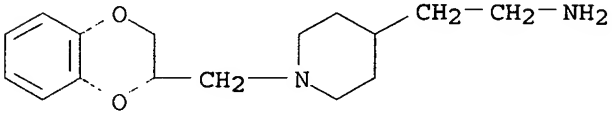
RN 194612-28-7 CAPLUS
CN Piperidine, 4-(2-chloroethyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



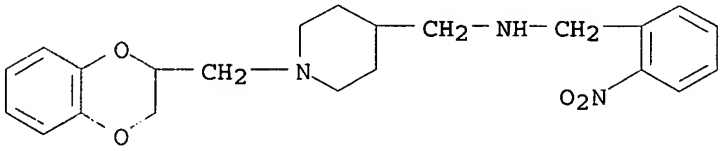
RN 194612-30-1 CAPLUS
CN 4-Piperidineacetonitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



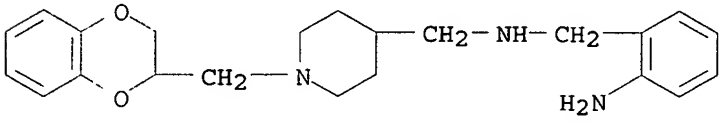
RN 194612-31-2 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



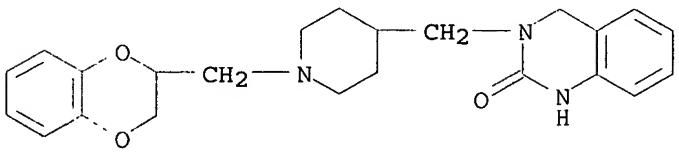
RN 306967-87-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[(2-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



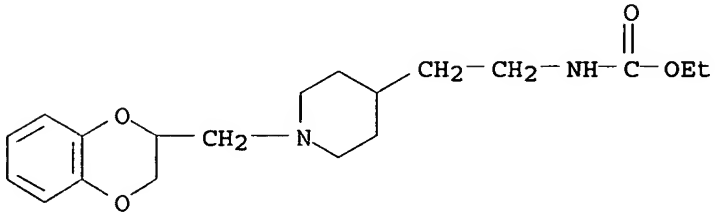
RN 306967-88-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(2-aminophenyl)methyl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



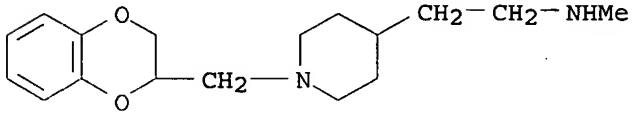
RN 306967-89-5 CAPLUS
CN 2(1H)-Quinazolinone, 3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



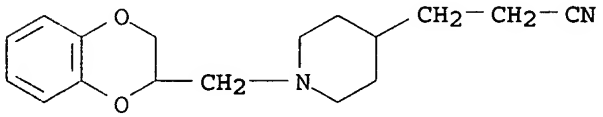
RN 306968-06-9 CAPLUS
CN Carbamic acid, [2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



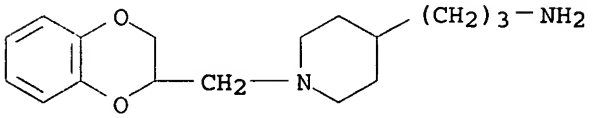
RN 306968-07-0 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl- (9CI) (CA INDEX NAME)



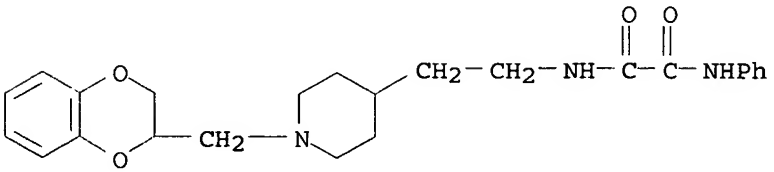
RN 306968-10-5 CAPLUS
CN 4-Piperidinepropanenitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 306968-11-6 CAPLUS
CN 4-Piperidinepropanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

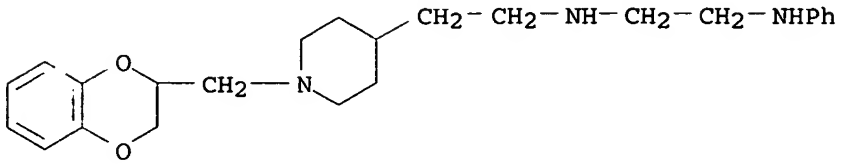


RN 306968-21-8 CAPLUS
CN Ethanediamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)

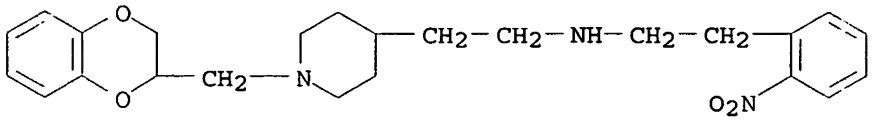


RN 306968-22-9 CAPLUS
CN 1,2-Ethanediamine, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

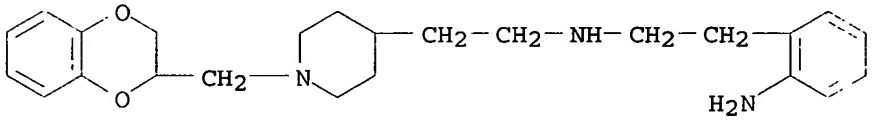
piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 306968-26-3 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[2-(2-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 306968-27-4 CAPLUS
CN 4-Piperidineethanamine, N-[2-(2-aminophenyl)ethyl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

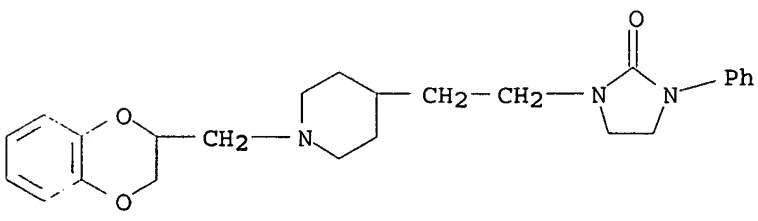


IT **194611-92-2P 194612-14-1P 194612-16-3P**
202002-18-4P 202002-26-4P 306967-86-2P
306967-90-8P 306968-02-5P 306968-04-7P
306968-08-1P 306968-13-8P 306968-16-1P
306968-24-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as α2-adrenoceptor antagonists)

RN 194611-92-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

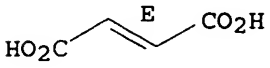
CRN 194611-91-1
CMF C25 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

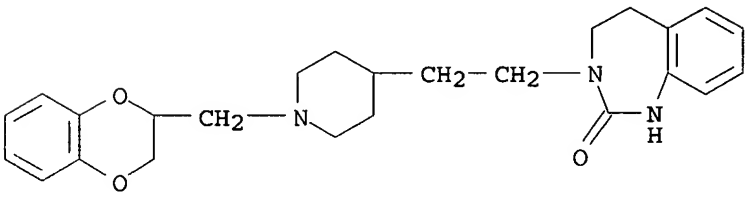
Double bond geometry as shown.



RN 194612-14-1 CAPLUS
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

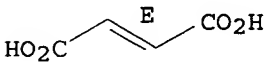
CRN 194612-13-0
CMF C25 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

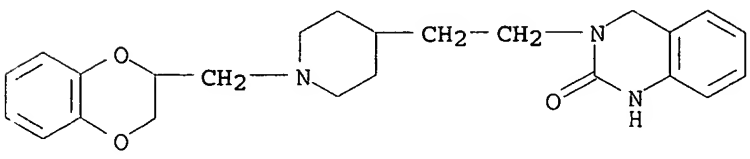
Double bond geometry as shown.



RN 194612-16-3 CAPLUS
CN 2(1H)-Quinazolinone, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

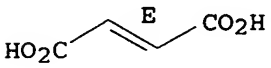
CRN 194612-15-2
CMF C24 H29 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

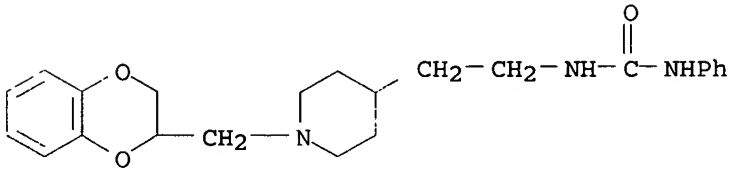
Double bond geometry as shown.



RN 202002-18-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

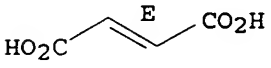
CRN 202002-17-3
CMF C23 H29 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

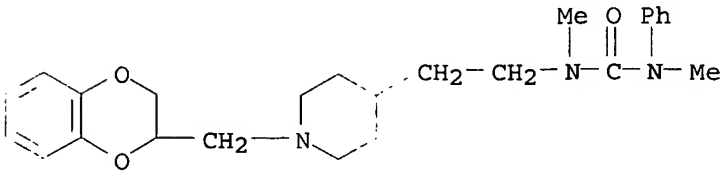
Double bond geometry as shown.



RN 202002-26-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

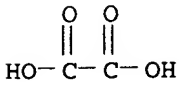
CM 1

CRN 202002-25-3
CMF C25 H33 N3 O3

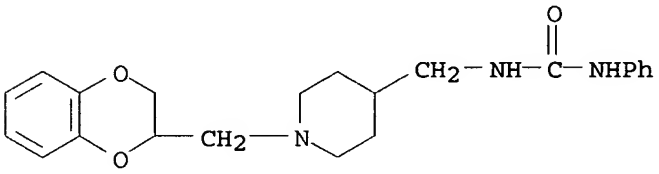


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 306967-86-2 CAPLUS
CN Urea, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

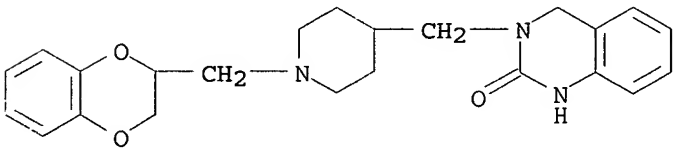


● HCl

RN 306967-90-8 CAPLUS
CN 2(1H)-Quinazolinone, 3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

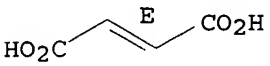
CRN 306967-89-5
CMF C23 H27 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

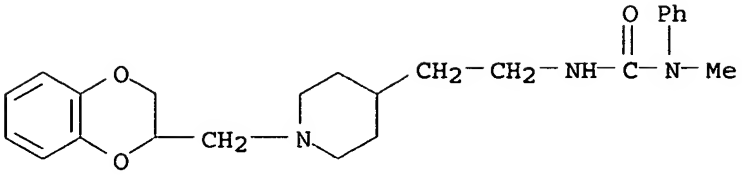
Double bond geometry as shown.



RN 306968-02-5 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

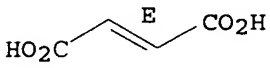
CRN 202002-21-9
CMF C24 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

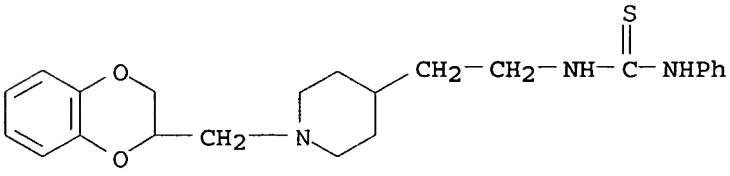
Double bond geometry as shown.



RN 306968-04-7 CAPLUS
CN Thiourea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

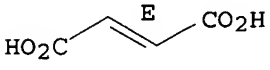
CRN 306968-03-6
CMF C23 H29 N3 O2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

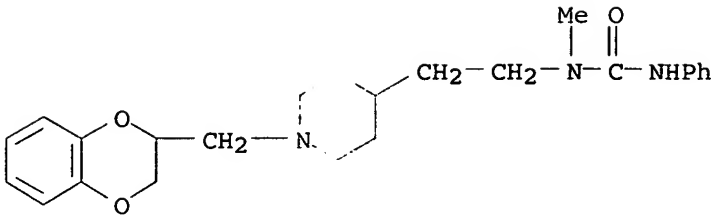
Double bond geometry as shown.



RN 306968-08-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

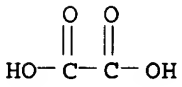
CM 1

CRN 202002-19-5
CMF C24 H31 N3 O3



CM 2

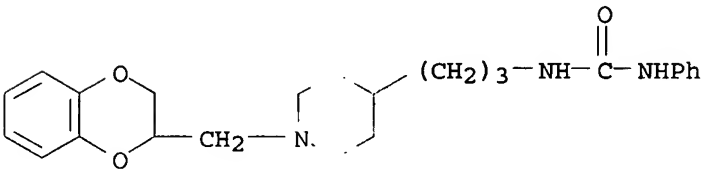
CRN 144-62-7
CMF C2 H2 O4



RN 306968-13-8 CAPLUS
CN Urea, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

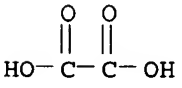
CM 1

CRN 306968-12-7
CMF C24 H31 N3 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

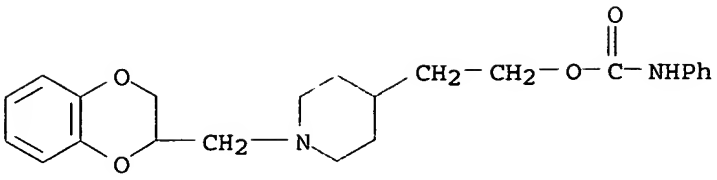


RN 306968-16-1 CAPLUS
CN 4-Piperidineethanol, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, phenylcarbamate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

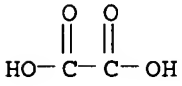
CRN 306968-15-0

CMF C23 H28 N2 O4

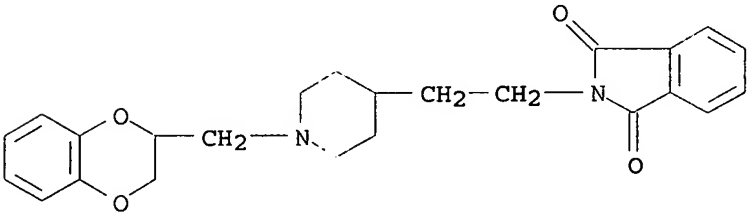


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 306968-24-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



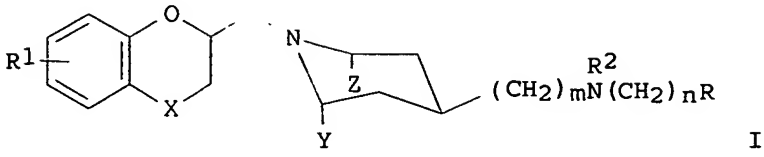
● HCl

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:420927 CAPLUS
DOCUMENT NUMBER: 131:102028
TITLE: Preparation of cyclic amine derivatives
INVENTOR(S): Kato, Hideo; Iwasaki, Nobuhiko; Ikeda, Yoshitaka; Azuma, Teijiro
PATENT ASSIGNEE(S): Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 11180979	A2	19990706	JP 1998-80369		19980312
PRIORITY APPLN. INFO.:			JP 1997-303800	A	19971017

OTHER SOURCE(S): MARPAT 131:102028
GI



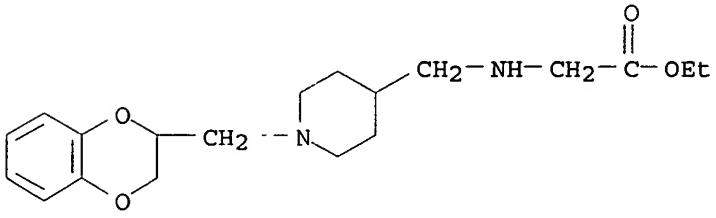
I

AB Title compds. [I; R1 = H, 7-Cl, 7-CH3; Z = H; Y = H; Y-Z = -CH2CH2, -CH2CH2CH2; m = 0-2; n = 1-4; X = CH, O; R = CO2Et, CO2H, CONHPr-i, CONHPh, CONH2, NHSO2Me, etc.; R2 = CH3, H, CH3(CH2)5, CH3(CH2)2, CH3(CH2)5, etc.], stereoisomers, and pharmaceutical acceptable salts as α2b adrenaline inhibitors are prepared in treatment of central nervous system diseases, such as, emothion induced digestive hypofunction, hypertension, obesity, etc. Thus, the title compound I (R1 = H; X = O; Y = H; Z = H; m = 0; n = 4; R = CO2Et; R2 = H) was prepared

IT **230314-14-4P 230314-15-5P 230314-16-6P**
230314-17-7P 230314-18-8P 230314-20-2P
230314-21-3P 230314-63-3P 230314-64-4P
230314-84-8P 230314-85-9P 230314-86-0P
230314-87-1P 230314-89-3P 230314-90-6P
230315-15-8P 230315-16-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylamino aliphatic acid derivs.)

RN 230314-14-4 CAPLUS

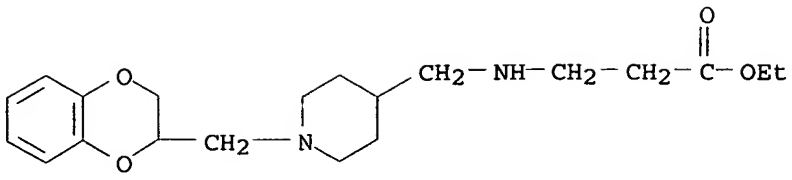
CN Glycine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

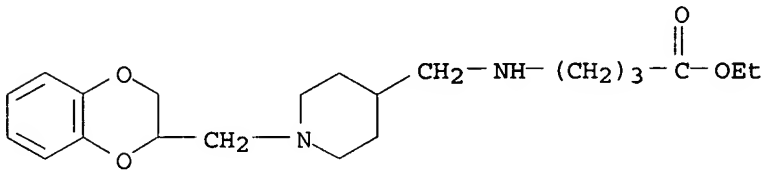
RN 230314-15-5 CAPLUS

CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



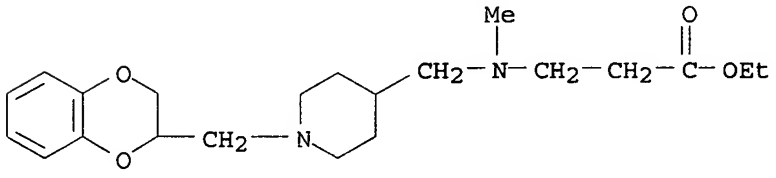
● 2 HCl

RN 230314-16-6 CAPLUS
CN Butanoic acid, 4-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



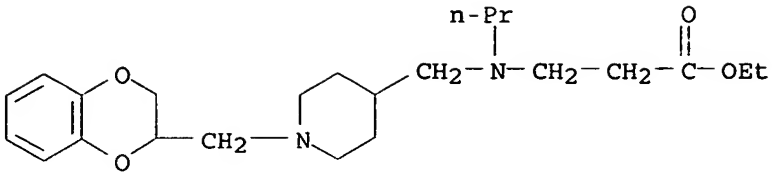
● 2 HCl

RN 230314-17-7 CAPLUS
CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-methyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 230314-18-8 CAPLUS
CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-propyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

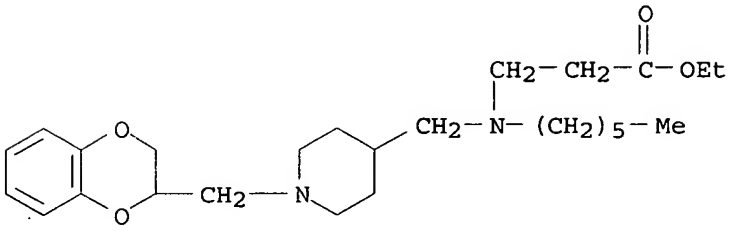


●2 HCl

RN 230314-20-2 CAPLUS
CN β-Alanine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-N-hexyl-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

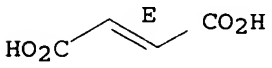
CRN 230314-19-9
CMF C26 H42 N2 O4



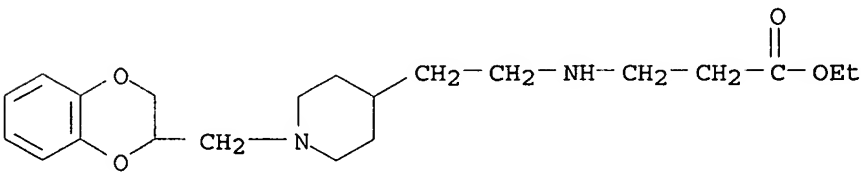
CM 2

CRN 110-17-8
CMF C4 H4 O4

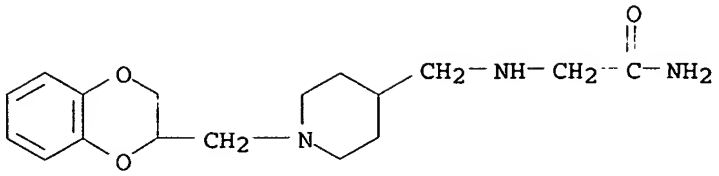
Double bond geometry as shown.



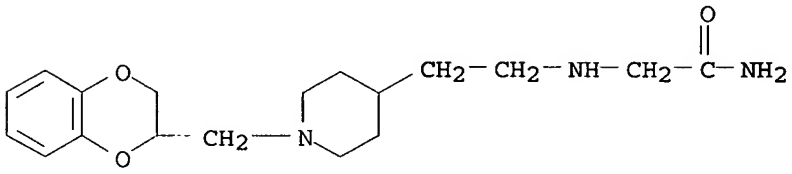
RN 230314-21-3 CAPLUS
CN β-Alanine, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



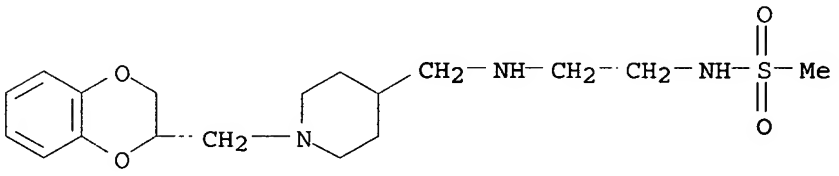
RN 230314-63-3 CAPLUS
CN Acetamide, 2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 230314-64-4 CAPLUS
CN Acetamide, 2-[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)

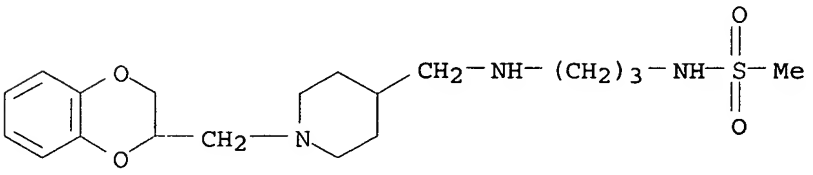


RN 230314-84-8 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



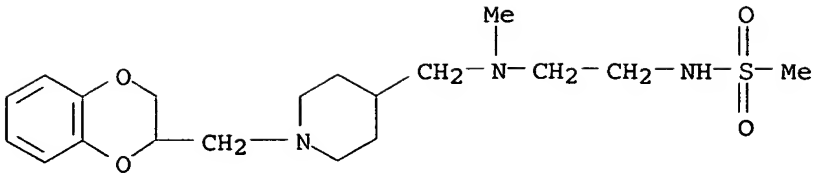
●2 HCl

RN 230314-85-9 CAPLUS
CN Methanesulfonamide, N-[3-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

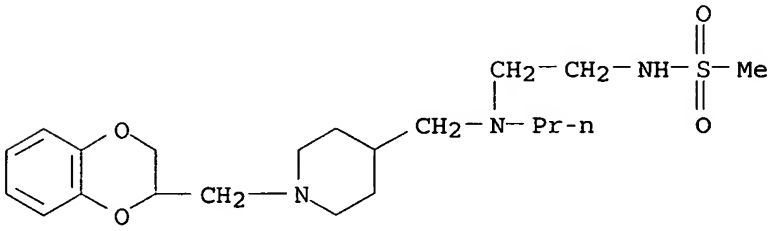


●2 HCl

RN 230314-86-0 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]methylamino]ethyl]- (9CI) (CA INDEX NAME)



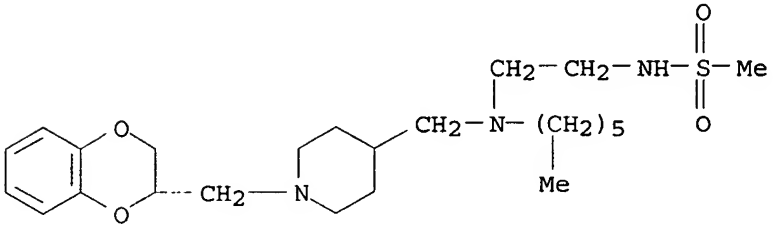
RN 230314-87-1 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]propylamino]ethyl]- (9CI) (CA INDEX NAME)



RN 230314-89-3 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]hexylamino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

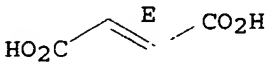
CRN 230314-88-2
CMF C24 H41 N3 O4 S



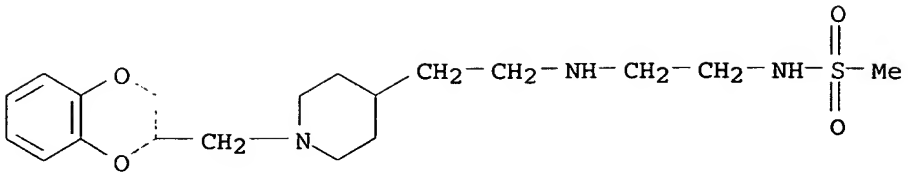
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 230314-90-6 CAPLUS
CN Methanesulfonamide, N-[2-[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

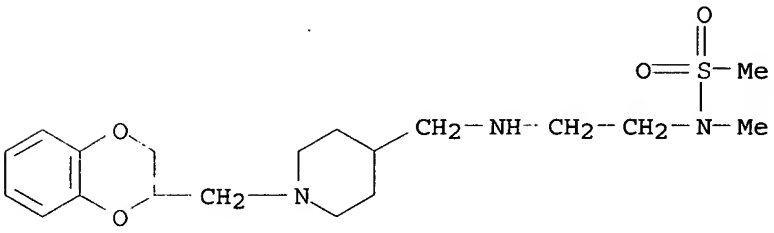


● 2 HCl

RN 230315-15-8 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]ethyl]-N-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

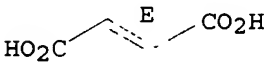
CRN 230315-14-7
CMF C19 H31 N3 O4 S



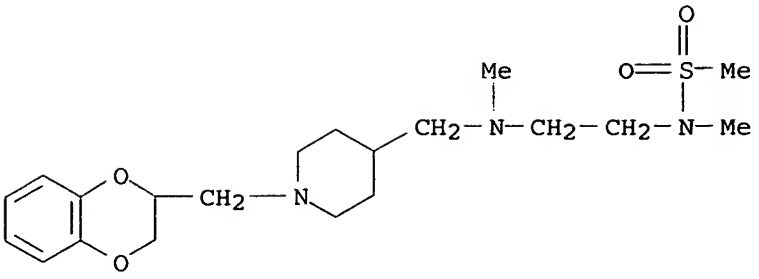
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

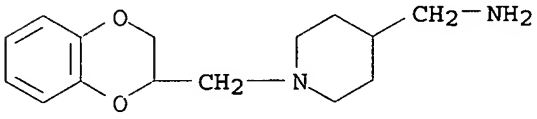


RN 230315-16-9 CAPLUS
CN Methanesulfonamide, N-[2-[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]methylamino]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

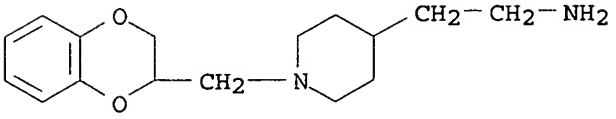
IT **89483-75-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylamino aliphatic acid derivs.)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-
(9CI) (CA INDEX NAME)



IT **230315-44-3P 230315-53-4P 230315-54-5P**
230315-55-6P 230315-71-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of arylamino aliphatic acid derivs.)
RN 230315-44-3 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

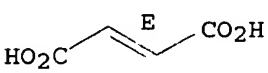
CRN 194612-31-2
CMF C16 H24 N2 O2



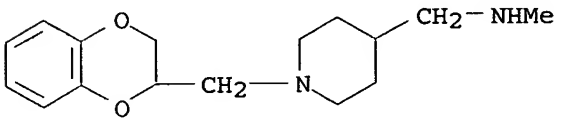
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

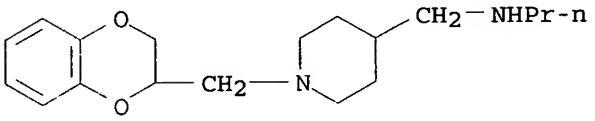


RN 230315-53-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



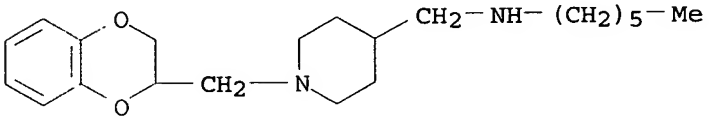
●2 HCl

RN 230315-54-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



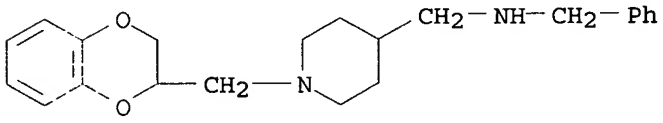
●2 HCl

RN 230315-55-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-hexyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 230315-71-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:499944 CAPLUS
DOCUMENT NUMBER: 131:280998
TITLE: N-Substituted (2,3-Dihydro-1,4-benzodioxin-2-yl)methylamine Derivatives as D2 Antagonists/5-HT1A

Partial Agonists with Potential as Atypical Antipsychotic Agents

AUTHOR(S): Birch, Alan M.; Bradley, Paul A.; Gill, Julie C.; Kerrigan, Frank; Needham, Pat L.

CORPORATE SOURCE: Research and Development Department, Knoll Pharmaceuticals, Nottingham, NG1 1GF, UK

SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3342-3355
CODEN: JMCMAR; ISSN: 0022-2623

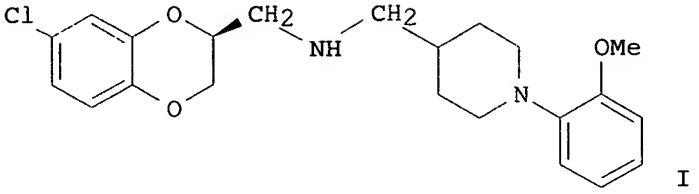
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:280998

GI



I

AB A series of N-substituted 1-(2,3-dihydro-1,4-benzodioxin-2-yl)methylamine derivs. with D2 antagonist/5-HT1A partial agonist activity has been prepared as potential atypical antipsychotic agents. Optimization of in vitro receptor binding activity and in vivo activity in rodent models of psychosis has led to a compound (I) which showed good affinities for human D2, D3, and 5-HT1A receptors but significantly less affinity for human α1 adrenoceptors and rat H1 and muscarinic receptors. In rodents, I showed functional D2-like antagonism and 5-HT1A partial agonism. After oral dosing, I showed good activity in rodent antipsychotic tests and very little potential to cause extrapyramidal side effects (EPS), as measured by its ability to induce catalepsy in rats only at very high doses. In the light of this promising profile of activity, I has been selected for clin. investigation as a novel antipsychotic agent with a predicted low propensity to cause EPS.

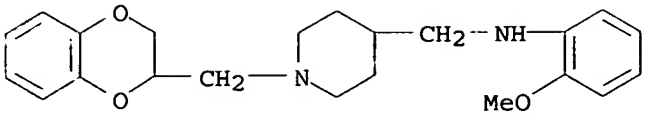
IT **170352-82-6P 246265-92-9P 246265-93-0P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for α1 adrenoceptors)

RN 170352-82-6 CAPLUS

CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

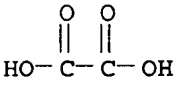
CM 1

CRN 170352-81-5
CMF C22 H28 N2 O3



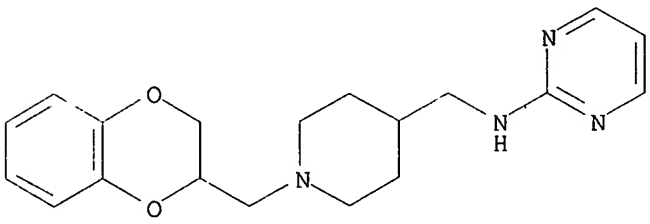
CM 2

CRN 144-62-7
CMF C2 H2 O4



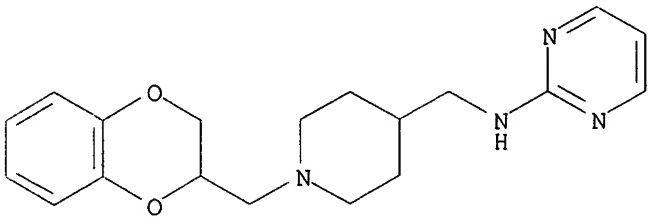
RN 246265-92-9 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



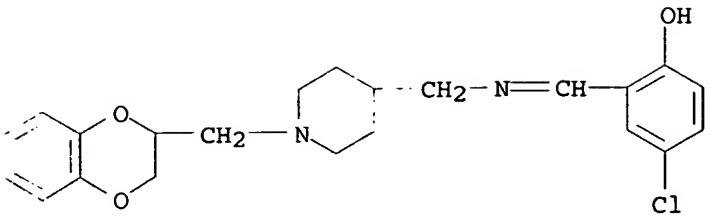
RN 246265-93-0 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

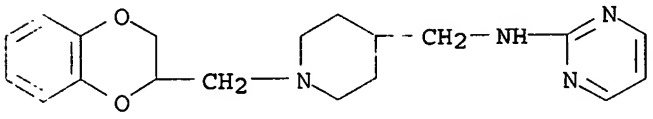


IT **246266-07-9P 246266-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for α1 adrenoceptors)

RN 246266-07-9 CAPLUS
CN Phenol, 4-chloro-2-[[[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]imino]methyl]- (9CI) (CA INDEX NAME)



RN 246266-08-0 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

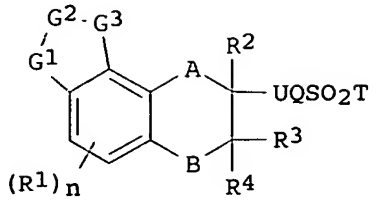


REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:485058 CAPLUS
DOCUMENT NUMBER: 129:109093
TITLE: Preparation of heteroarylsulfonamides as 5-HT1A and/or D2-like receptor ligands.
INVENTOR(S): Birch, Alan Martin; Bradley, Paul Anthony
PATENT ASSIGNEE(S): Knoll A.-G. Chemische Fabriken, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9829415	A1	19980709	WO 1997-EP7035		19971215
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9858568	A1	19980731	AU 1998-58568		19971215
EP 948499	A1	19991013	EP 1997-954403		19971215
EP 948499	B1	20050302			
R: DE, FR, GB, IT					
JP 2001508420	T2	20010626	JP 1998-529575		19971215
US 6218405	B1	20010417	US 1999-331064		19990616
PRIORITY APPLN. INFO.:			GB 1996-27005	A	19961227
			WO 1997-EP7035	W	19971215

OTHER SOURCE(S): MARPAT 129:109093
GI



I

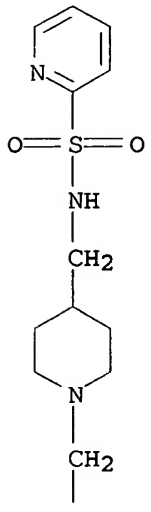
AB Title compds. [I; A, B = CH2, O; G1G2G3 = NR'CR'':N, ON:CR'', OC(R')2O, SCR'':N, etc.; R' = H, alkyl; R'' = H, halo, alkyl, haloalkyl, CO2H, alkanoyl, alkoxycarbonyl, carbamoyl, etc.; R1 = alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio; R2-R4 = H, alkyl; n = 0, 1, 2; U = (alkyl-substituted) alkylene; Q = specified divalent group containing N atoms; T = (substituted) aryl, heteroaryl], were prepared Thus, N-[(1-tert-butoxycarbonylpiperid-4-yl)methyl]pyridine-2-sulfonamide in CH2Cl2 was treated with CF3CO2H, to give a residue which was refluxed with (S)-9-chloro-2,3-dihydrothieno[1,4]benzodioxin-2-ylmethyl tosylate (preparation given) and K2CO3 in MeCN to give (S)-N-[[1-(9-chloro-2,3-dihydrothieno[3,2-f][1,4]benzodioxin-2-ylmethyl)piperid-4-yl]methyl]pyridine-2-sulfonamide.

IT **210042-92-5P 210042-93-6P 210042-94-7P**
210042-95-8P 210042-97-0P 210042-98-1P
210042-99-2P 210043-00-8P 210043-18-8P
210043-19-9P 210043-20-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylsulfonamides as 5-HT1A and/or D2-like receptor ligands)

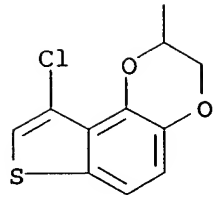
RN 210042-92-5 CAPLUS

CN 2-Pyridinesulfonamide, N-[[1-[(9-chloro-2,3-dihydrothieno[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

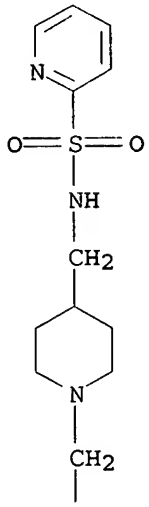


PAGE 2-A

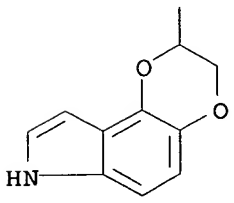


RN 210042-93-6 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

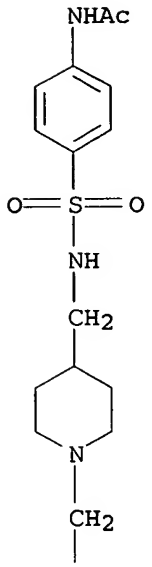


PAGE 2-A



RN 210042-94-7 CAPLUS
CN Acetamide, N-[4-[[[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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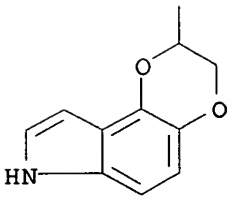
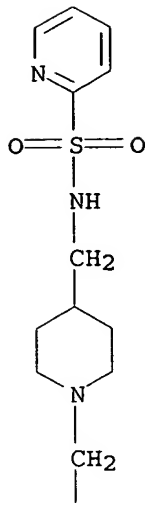


RN 210042-95-8 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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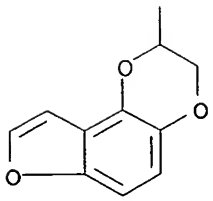
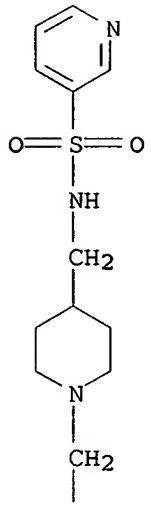


RN 210042-97-0 CAPLUS
CN 3-Pyridinesulfonamide, N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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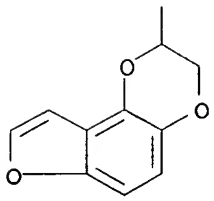
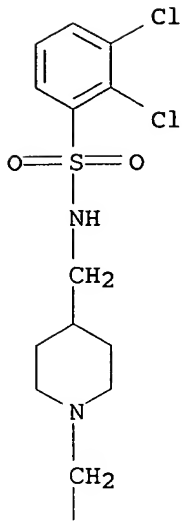


RN 210042-98-1 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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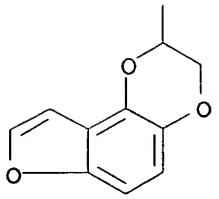

RN 210042-99-2 CAPLUS
CN Benzenesulfonamide, N-[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

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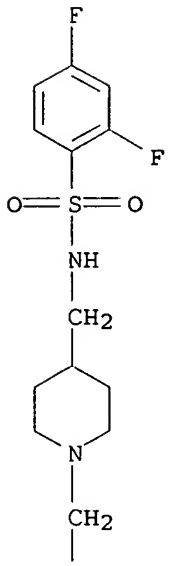

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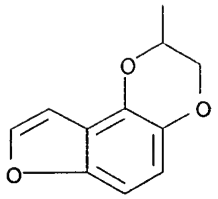
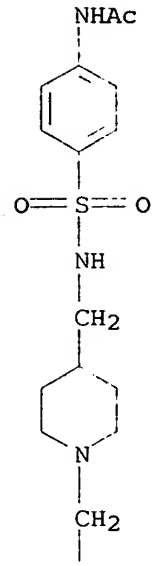


RN 210043-00-8 CAPLUS
CN Acetamide, N-[4-[[[[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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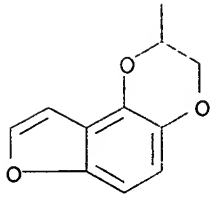
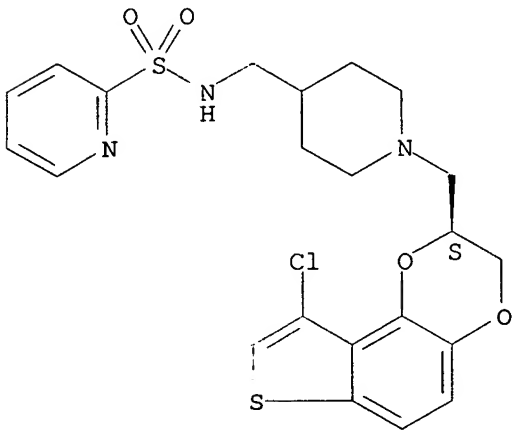


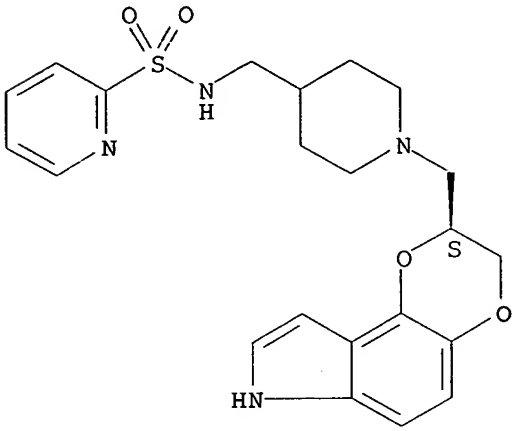
RN 210043-18-8 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-9-chloro-2,3-dihydrothieno[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



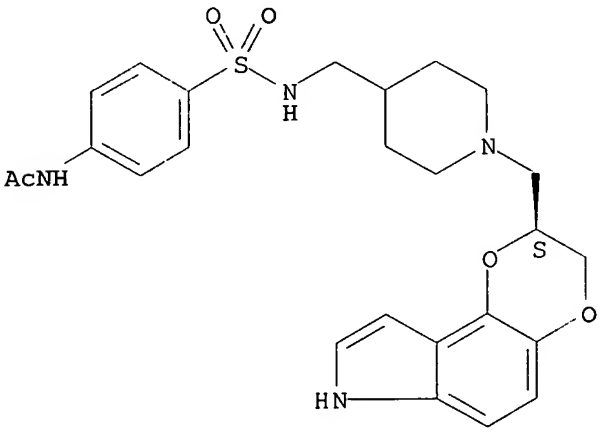
RN 210043-19-9 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 210043-20-2 CAPLUS
CN Acetamide, N-[4-[[[[1-[[(2S)-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:485055 CAPLUS
DOCUMENT NUMBER: 129:109092
TITLE: Preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compounds having 5-HT1A and/or D2-like activity.
INVENTOR(S): Wishart, Neil; Birch, Alan Martin
PATENT ASSIGNEE(S): Knoll A.-G. Chemische Fabriken, Germany
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829411	A1	19980709	WO 1997-EP7034	19971215
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RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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AU 9857580	A1	19980731	AU 1998-57580	19971215
AU 747545	B2	20020516		
EP 948498	A1	19991013	EP 1997-953819	19971215
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BR 9714237	A	20000418	BR 1997-14237	19971215
JP 2001508419	T2	20010626	JP 1998-529574	19971215
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US 6136825	A	20001024	US 1999-331066	19990616
MX 9905997	A	20000228	MX 1999-5997	19990624
NO 9903172	A	19990625	NO 1999-3172	19990625
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OTHER SOURCE(S): MARPAT 129:109092
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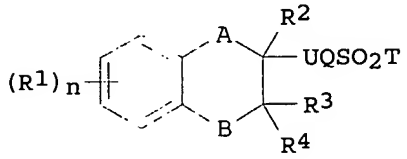

I

AB Title compds. [I; A, B = CH2, O; n = 0-4; U = (alkyl-substituted) alkylene; Q specified divalent group containing N atoms; T = (substituted) Ph, naphthyl, pyridyl, pyrimidinyl, isoxazolyl, oxazolyl, tetrazolyl, isothiazolyl, etc.; R1 = alkyl, haloalkyl, alkoxy, haloalkoxy, halo, etc.; R2 = H, alkyl, alkoxy; R3, R4 = H, alkyl], were prepared Thus, N-(4-piperidinylmethyl)pyridine-2-sulfonamide trifluoroacetate (preparation given) was refluxed with (R)-7-chloro-1,4-benzodioxan-2-ylmethyl tosylate, K2CO3, and KI in MeCN to give (S)-N-[[1-(7-chloro-1,4-benzodioxan-2-ylmethyl)-4-piperidyl]methyl]pyridine-2-sulfonamide hydrochloride. The latter showed Ki = 86.8 nM for inhibition of 3H-8-OH-DPAT binding to 5-HT1A receptors.

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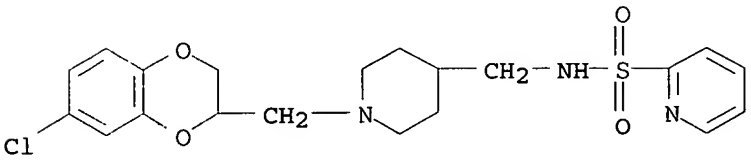
210039-56-8P 210039-57-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compds. having 5-HT1A and/or D2-like activity)

RN 210038-63-4 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



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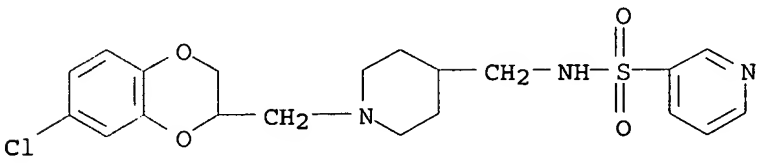
CN 3-Pyridinesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



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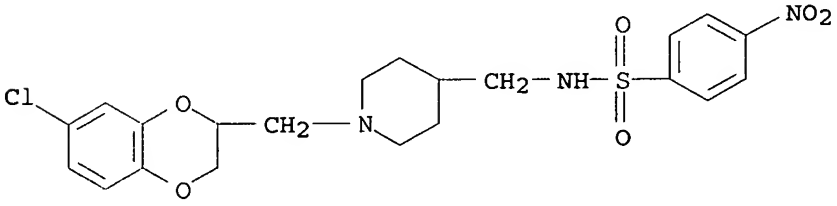
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-nitro- (9CI) (CA INDEX NAME)



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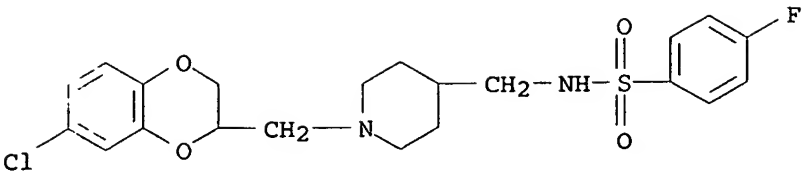
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



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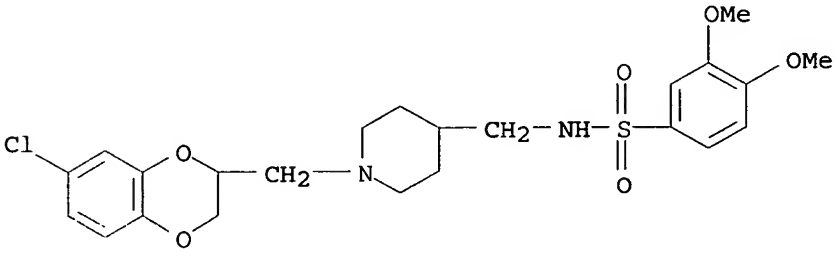
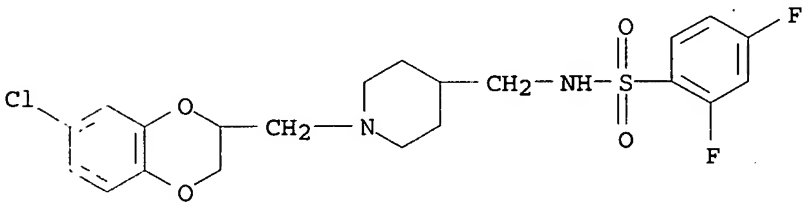
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)



RN 210038-69-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



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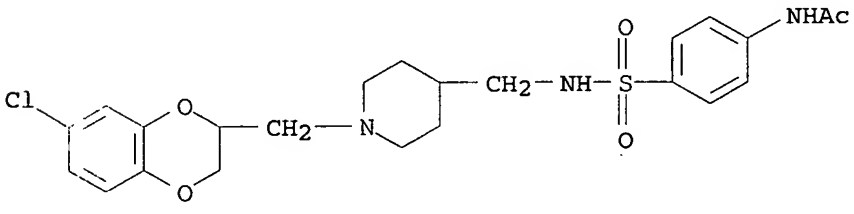
CN Acetamide, N-[4-[[[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



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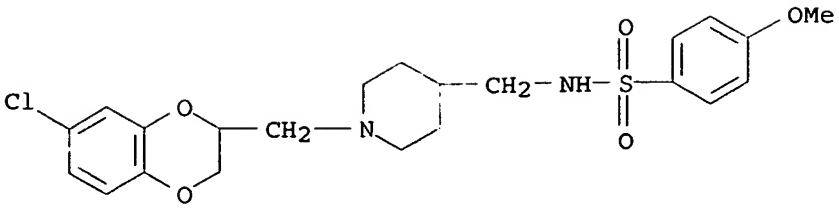
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



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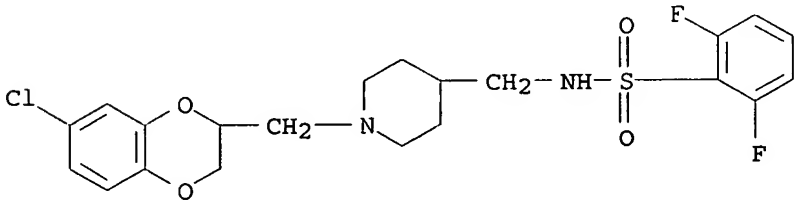
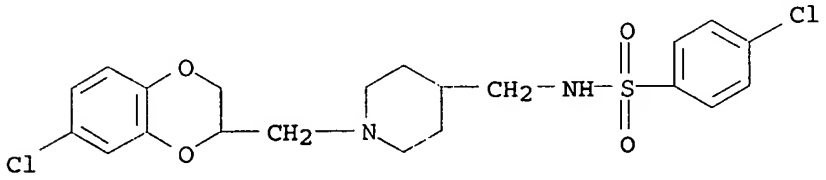
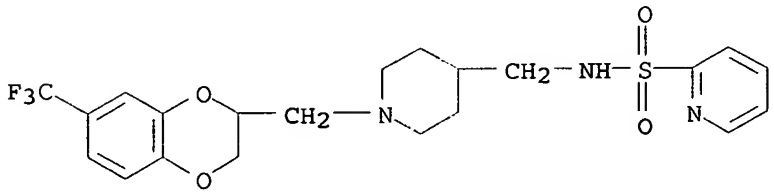
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



RN 210038-73-6 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 210038-74-7 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



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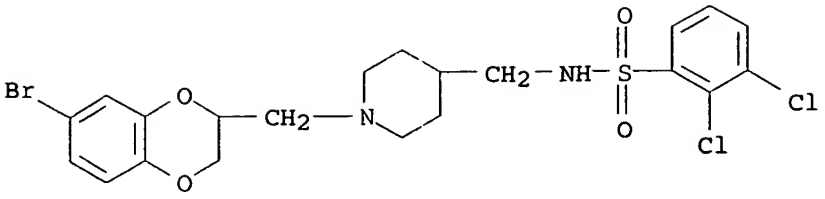
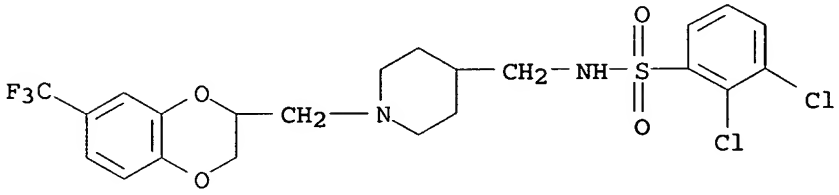
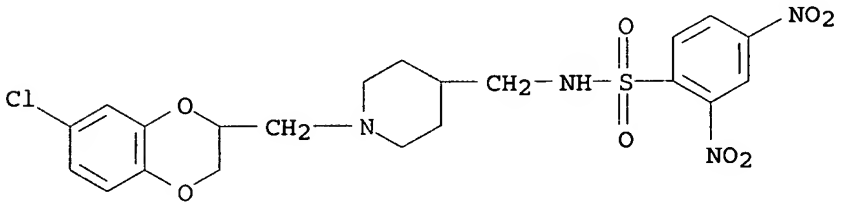
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,3-dichloro- (9CI) (CA INDEX NAME)



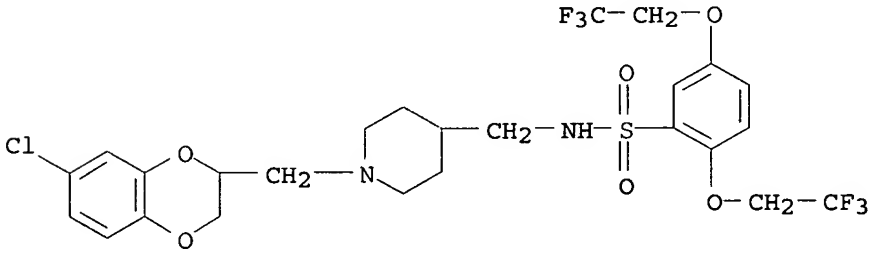
RN 210038-76-9 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



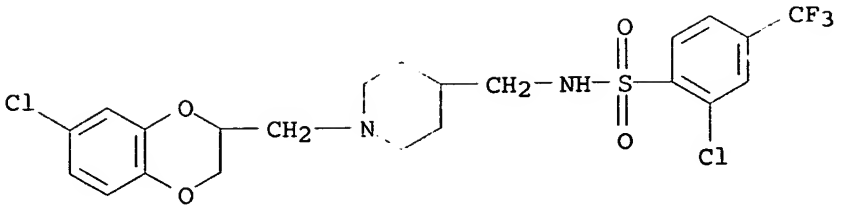
RN 210038-77-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-dinitro- (9CI) (CA INDEX NAME)



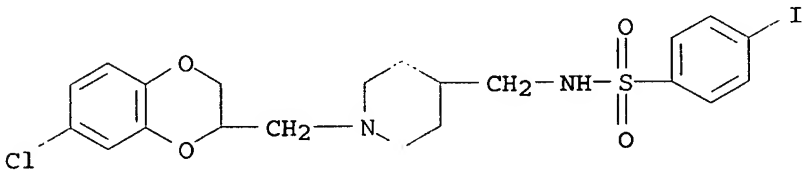
RN 210038-78-1 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,5-bis(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



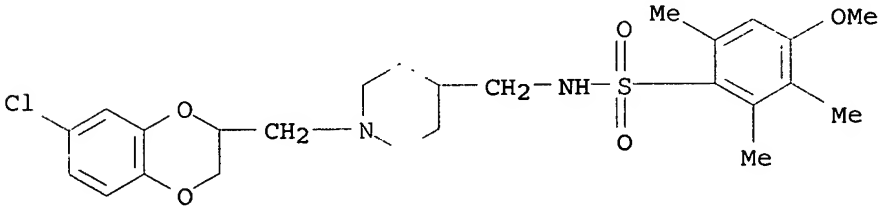
RN 210038-79-2 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



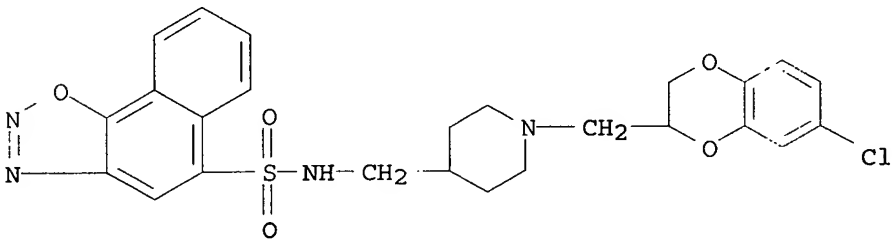
RN 210038-80-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-iodo- (9CI) (CA INDEX NAME)



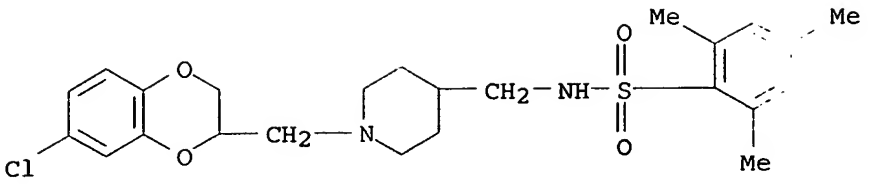
RN 210038-81-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methoxy-2,3,6-trimethyl- (9CI) (CA INDEX NAME)



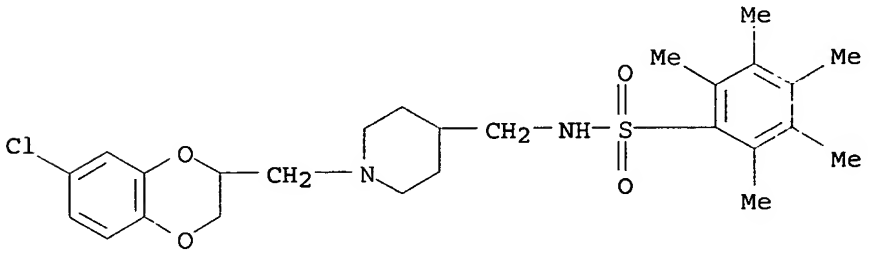
RN 210038-82-7 CAPLUS
CN Naphth[2,1-d]-1,2,3-oxadiazole-5-sulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



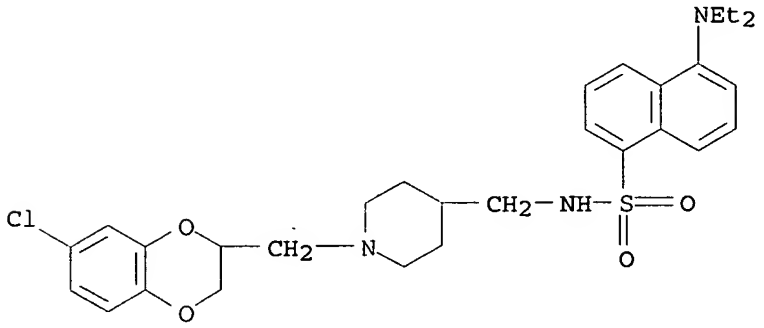
RN 210038-83-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)



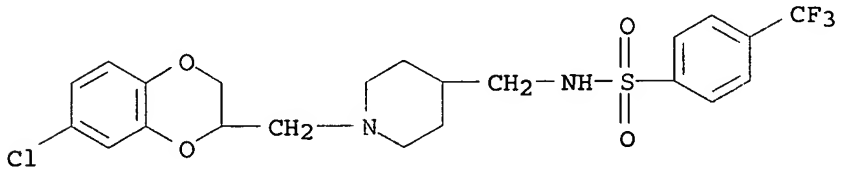
RN 210038-84-9 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,3,4,5,6-pentamethyl- (9CI) (CA INDEX NAME)



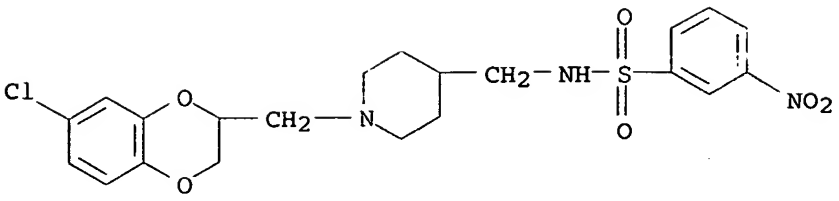
RN 210038-85-0 CAPLUS
CN 1-Naphthalenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5-(diethylamino)- (9CI) (CA INDEX NAME)



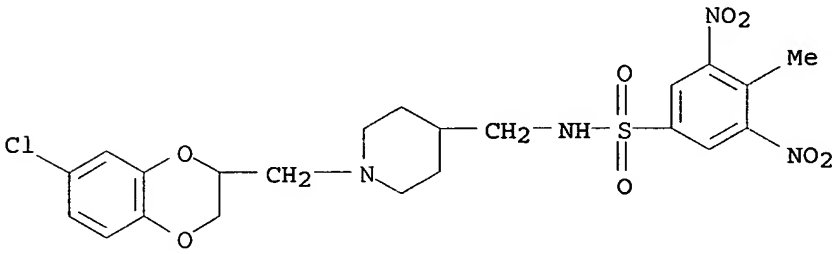
RN 210038-86-1 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



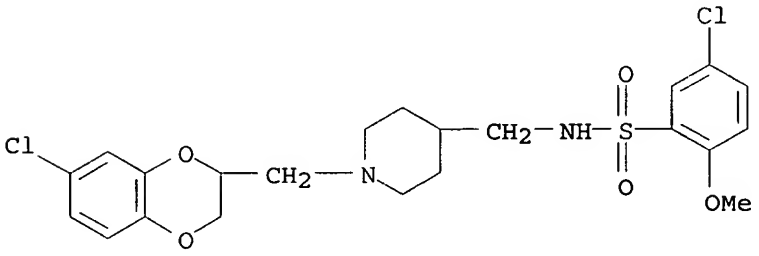
RN 210038-87-2 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-nitro- (9CI) (CA INDEX NAME)



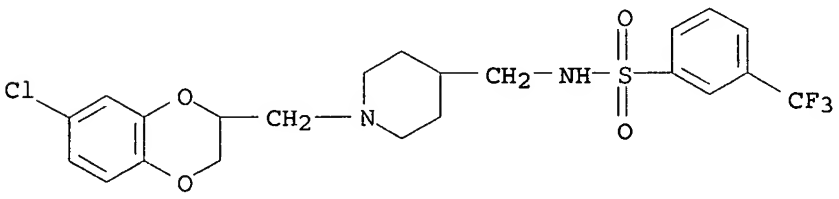
RN 210038-88-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl-3,5-dinitro- (9CI) (CA INDEX NAME)



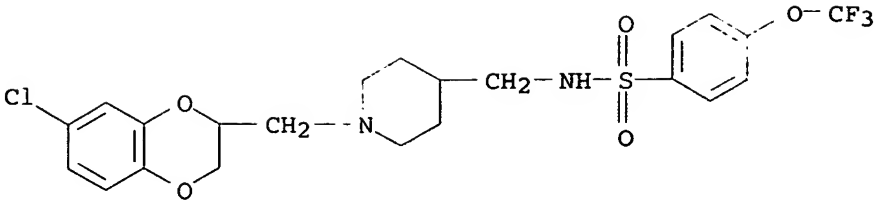
RN 210038-89-4 CAPLUS
CN Benzenesulfonamide, 5-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



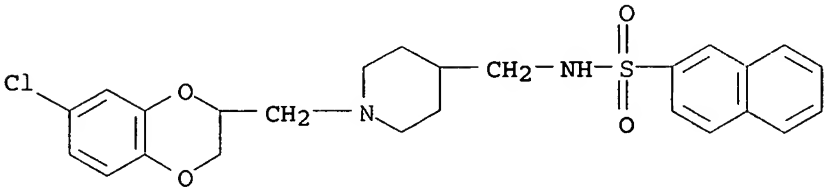
RN 210038-91-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



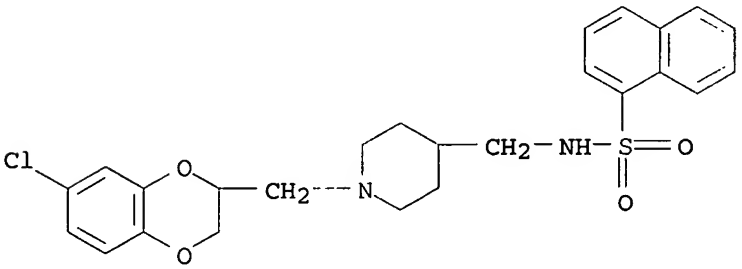
RN 210038-93-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



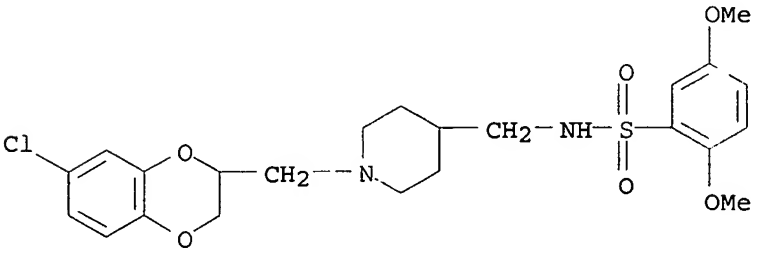
RN 210038-95-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



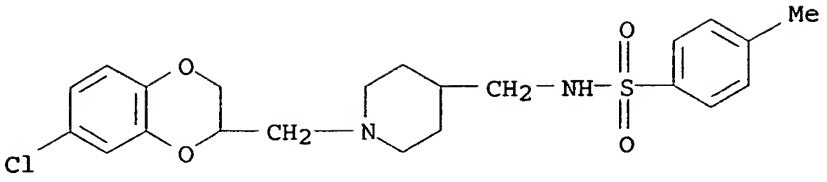
RN 210038-97-4 CAPLUS
CN 1-Naphthalenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



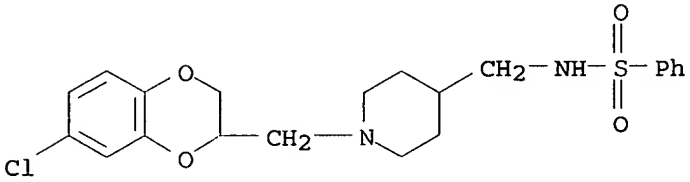
RN 210038-99-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)



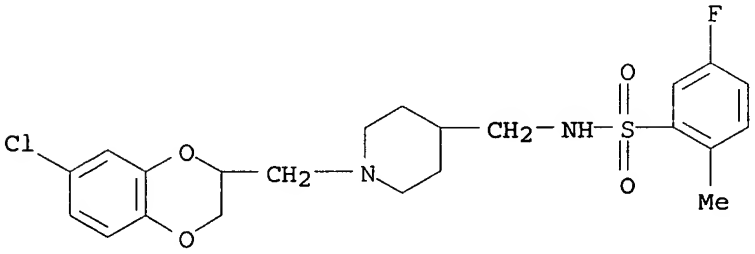
RN 210039-01-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



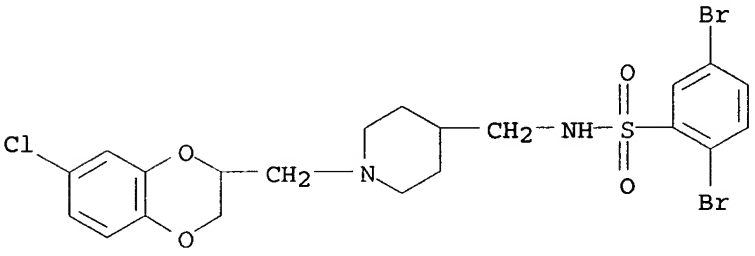
RN 210039-02-4 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



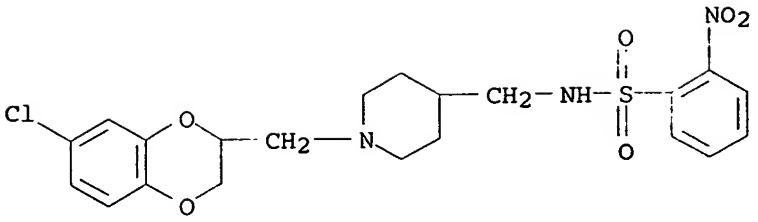
RN 210039-03-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-5-fluoro-2-methyl- (9CI) (CA INDEX NAME)



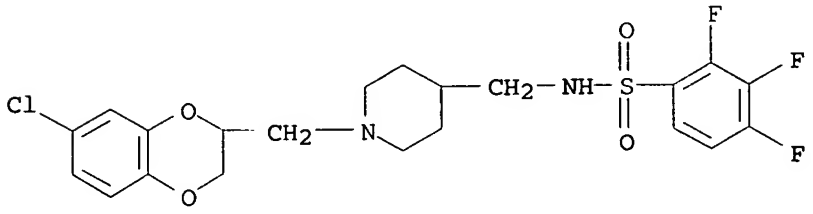
RN 210039-04-6 CAPLUS
CN Benzenesulfonamide, 2,5-dibromo-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



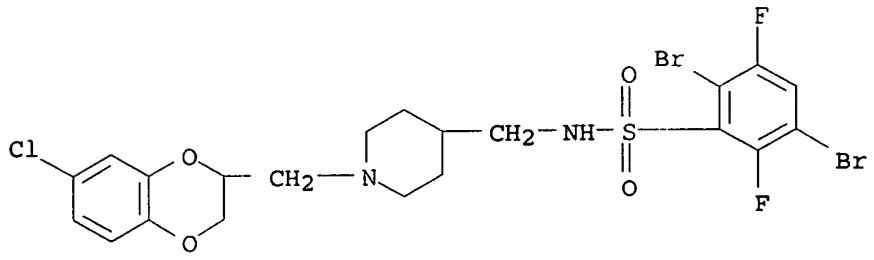
RN 210039-05-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-nitro- (9CI) (CA INDEX NAME)



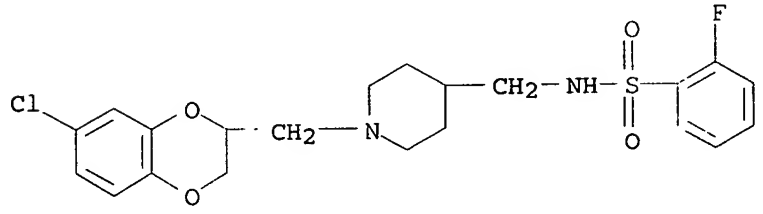
RN 210039-06-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)



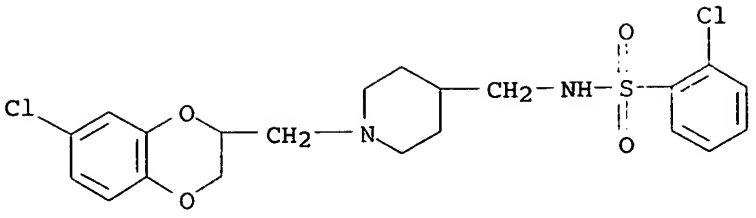
RN 210039-07-9 CAPLUS
CN Benzenesulfonamide, 2,5-dibromo-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3,6-difluoro- (9CI) (CA INDEX NAME)



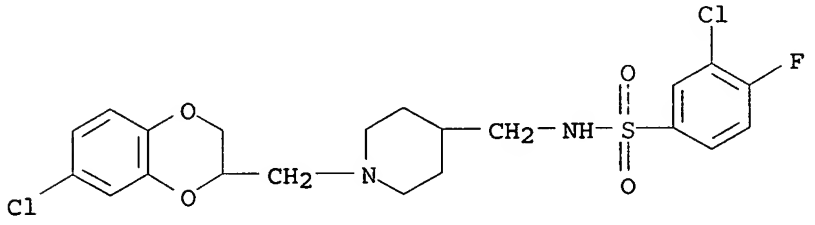
RN 210039-08-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



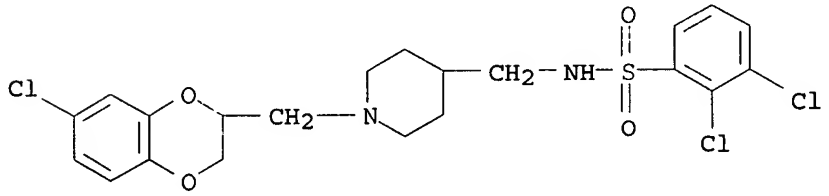
RN 210039-09-1 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



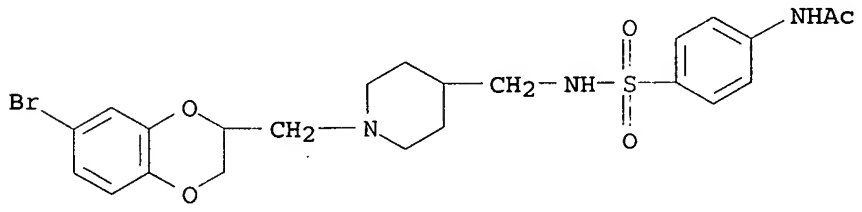
RN 210039-10-4 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)



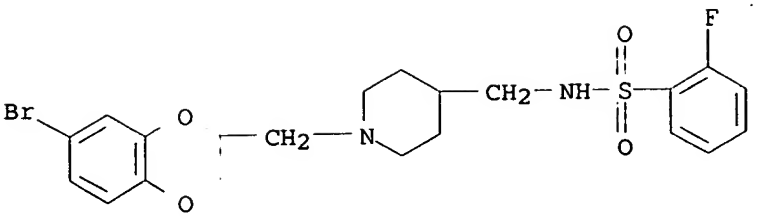
RN 210039-11-5 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



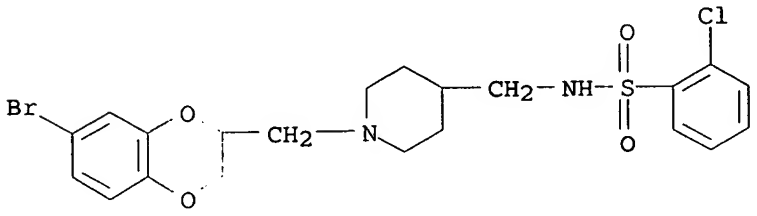
RN 210039-12-6 CAPLUS
CN Acetamide, N-[4-[[[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



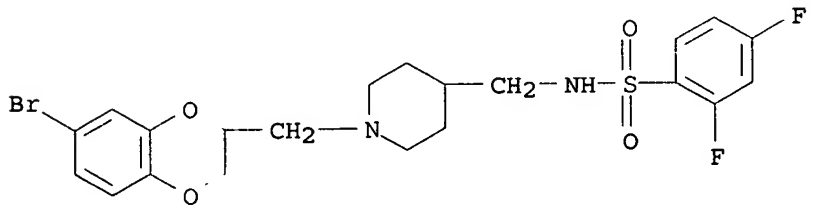
RN 210039-13-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



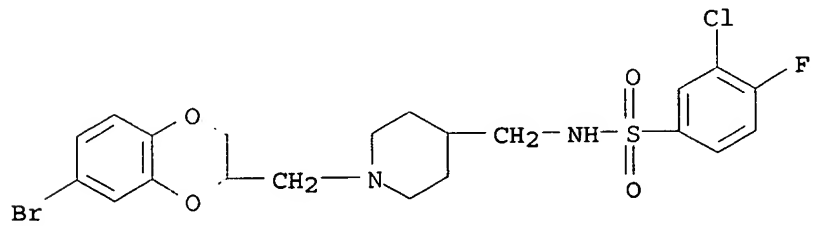
RN 210039-14-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-chloro- (9CI) (CA INDEX NAME)



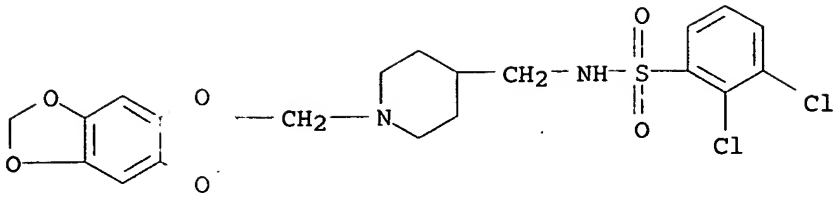
RN 210039-15-9 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



RN 210039-16-0 CAPLUS
CN Benzenesulfonamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-chloro-4-fluoro- (9CI) (CA INDEX NAME)

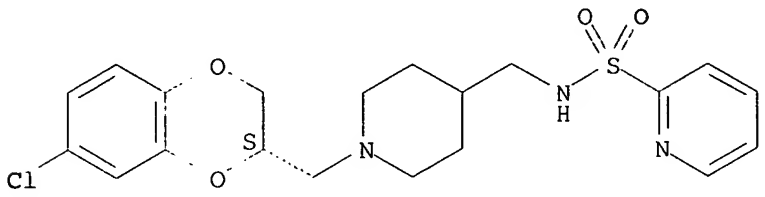


RN 210039-18-2 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[(6,7-dihydro-1,3-dioxolo[4,5-g][1,4]benzodioxin-6-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 210039-20-6 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

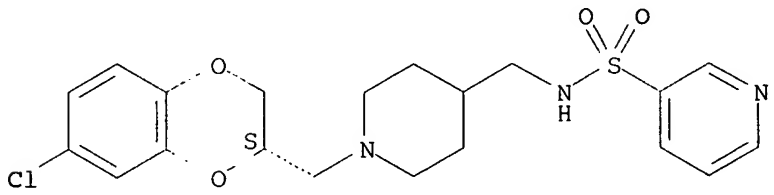
Absolute stereochemistry. Rotation (-).



● HCl

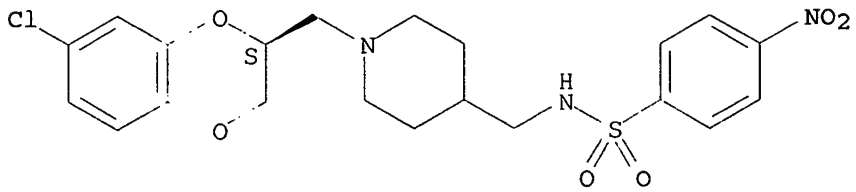
RN 210039-25-1 CAPLUS
CN 3-Pyridinesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



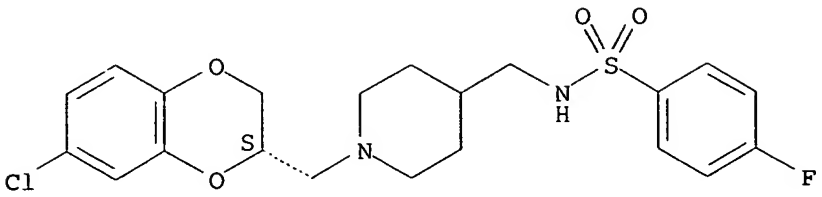
RN 210039-27-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



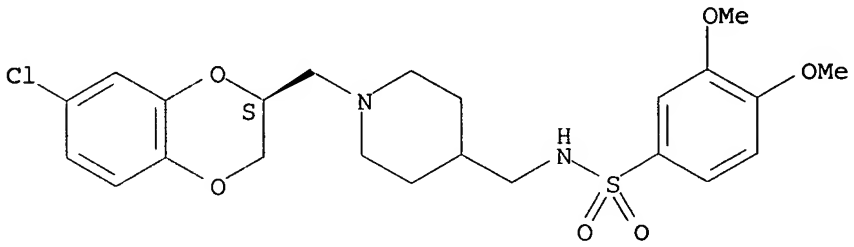
RN 210039-29-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



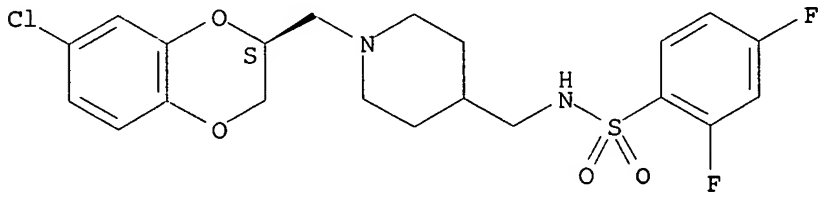
RN 210039-31-9 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



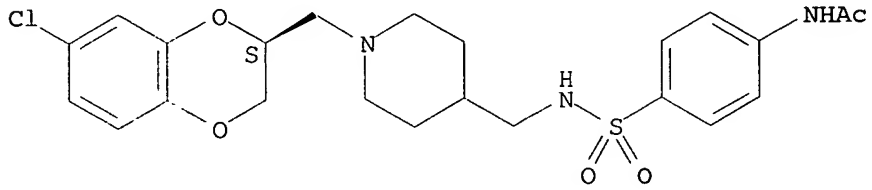
RN 210039-33-1 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



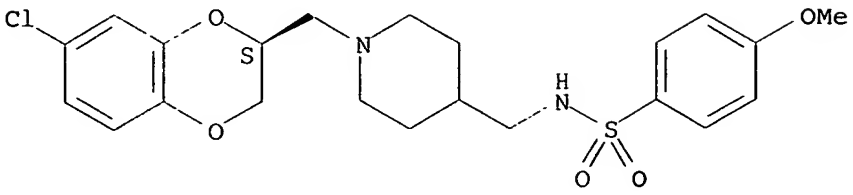
RN 210039-35-3 CAPLUS
CN Acetamide, N-[4-[[[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



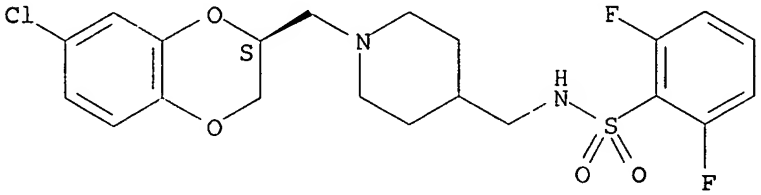
RN 210039-37-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



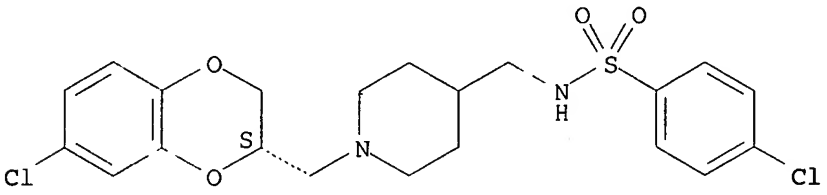
RN 210039-38-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,6-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



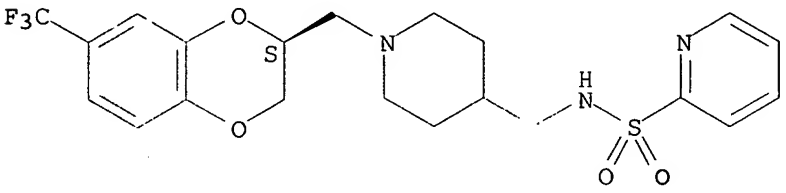
RN 210039-39-7 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



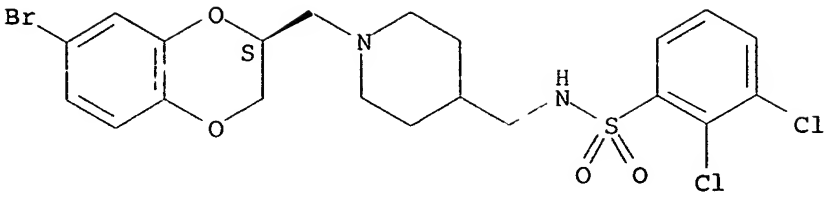
RN 210039-41-1 CAPLUS
CN 2-Pyridinesulfonamide, N-[[1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



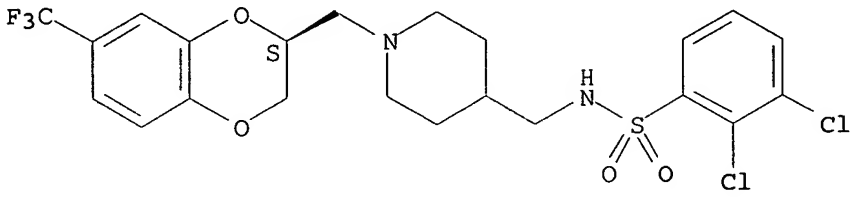
RN 210039-43-3 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,3-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



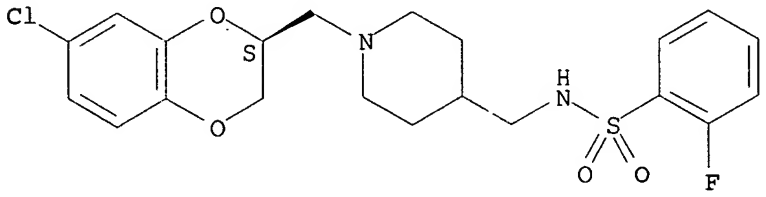
RN 210039-45-5 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



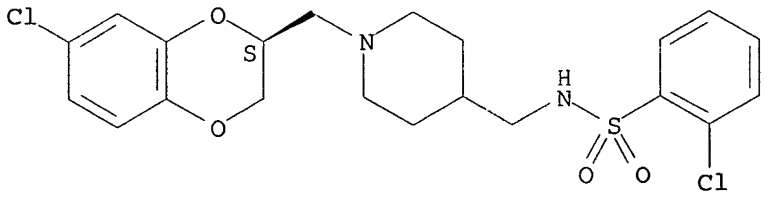
RN 210039-47-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



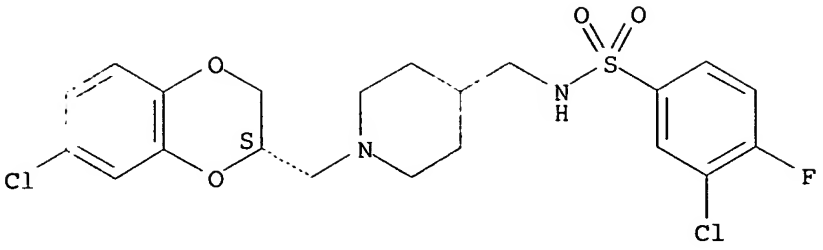
RN 210039-49-9 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



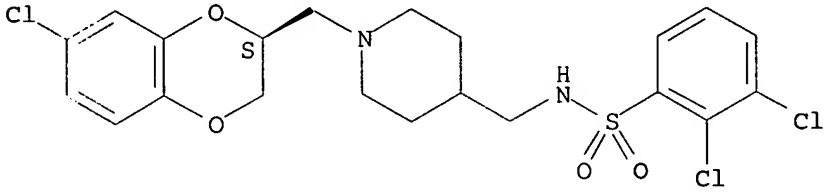
RN 210039-50-2 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



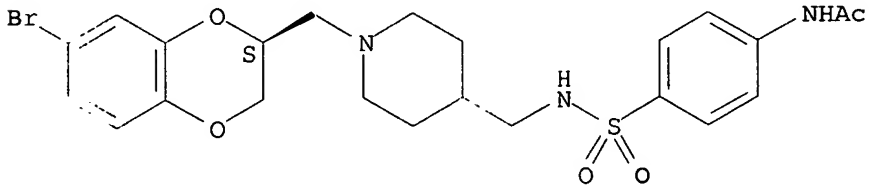
RN 210039-51-3 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



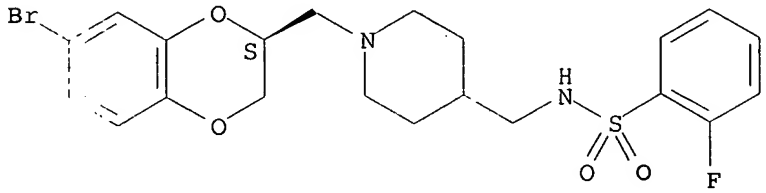
RN 210039-52-4 CAPLUS
CN Acetamide, N-[4-[[[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



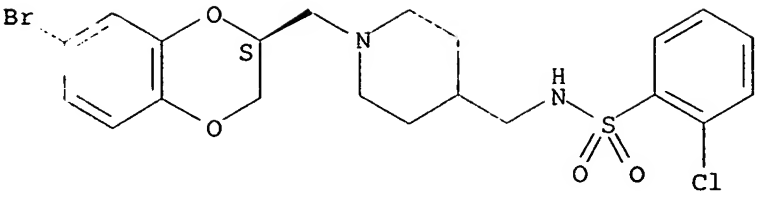
RN 210039-53-5 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



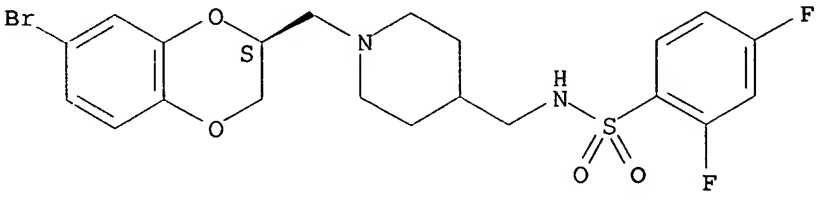
RN 210039-54-6 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



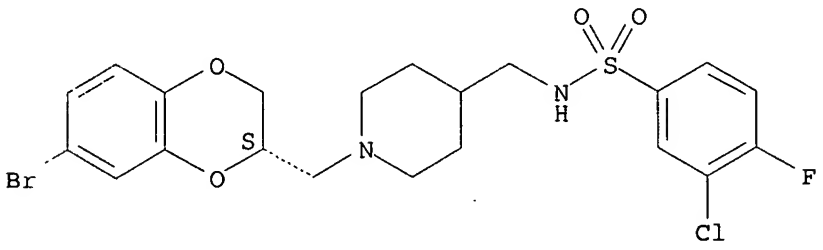
RN 210039-55-7 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



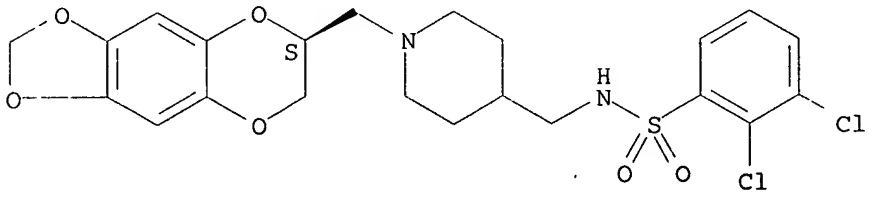
RN 210039-56-8 CAPLUS
CN Benzenesulfonamide, N-[[1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-3-chloro-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 210039-57-9 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[[1-[[(6S)-6,7-dihydro-1,3-dioxolo[4,5-g][1,4]benzodioxin-6-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

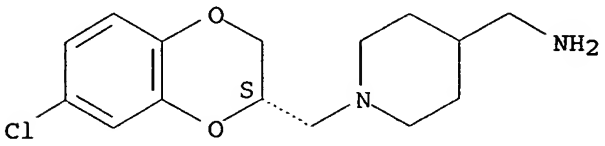
Absolute stereochemistry. Rotation (-).



IT **187543-43-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compds. having 5-HT1A and/or D2-like activity)
RN 187543-43-7 CAPLUS

CN 4-Piperidinemethanamine, 1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

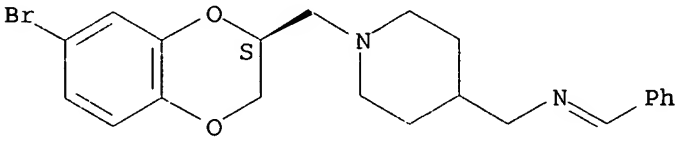
Absolute stereochemistry.



IT **210039-63-7P 210039-64-8P 210039-70-6P**
210039-71-7P 210039-72-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxanylmethylpiperidylmethylpyridinesulfonamides and related compds. having 5-HT1A and/or D2-like activity)

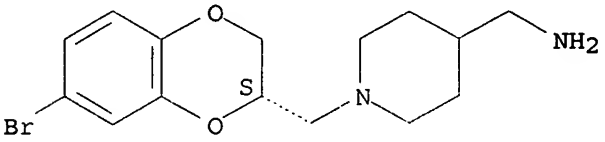
RN 210039-63-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-N-(phenylmethylene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



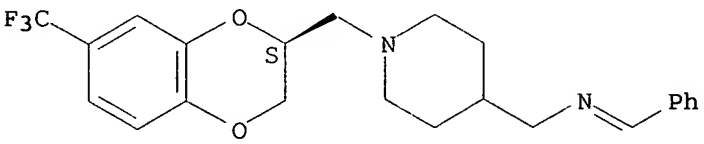
RN 210039-64-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



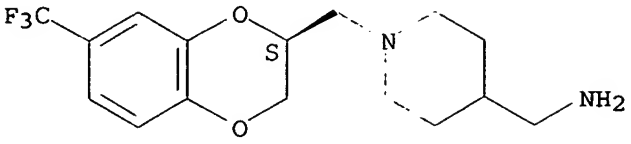
RN 210039-70-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-N-(phenylmethylene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



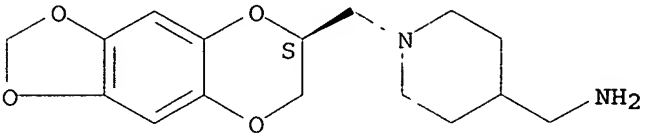
RN 210039-71-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210039-72-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(6S)-6,7-dihydro-1,3-dioxolo[4,5-g][1,4]benzodioxin-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

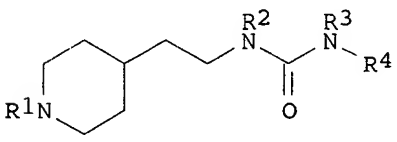


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:71134 CAPLUS
DOCUMENT NUMBER: 128:128024
TITLE: Preparation of benzodioxanes and 1(2H)-benzopyrans as α2 adrenergic antagonists
INVENTOR(S): Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9802435	A1	19980122	WO 1997-FR1217		19970707
W: AU, BR, CA, CN, JP, KR, MX, NZ, US					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
FR 2750991	A1	19980116	FR 1996-8729		19960712
AU 9735480	A1	19980209	AU 1997-35480		19970707
PRIORITY APPLN. INFO.:			FR 1996-8729	A	19960712
			WO 1997-FR1217	W	19970707

OTHER SOURCE(S): MARPAT 128:128024
GI



I

AB I [R1 = (1,4-benzodioxan-2-yl)methyl, (2-methyl-1,4-benzodioxan-2-

yl)methyl, (2,3-dihydrobenzofuran-2-yl)methyl, (benzofuran-2-yl)methyl, [(2H)-benzopyran-3-yl]methyl, (3,4-dihydro-(2H)-benzopyran-3-yl)methyl, (3,4-dihydro-(2H)-1-benzopyran-3-yl)methyl; R2, R3, R4 = H, C1-4 alkyl, aryl, heteroaryl, aralkyl, naphthyl] were prepared as α2 adrenergic antagonists. E.g., reaction of 4-piperidineacetonitrile and 2-hydroxymethyl-1,4-benzodioxane tosylate, followed by reduction with LiAlH4 and reaction with PhNCO, gave 1-[2-[1-(1,4-benzodioxan-2-yl)methyl-4-piperidinyl]ethyl]-3-phenylurea.

IT **202002-17-3P 202002-19-5P 202002-20-8P**
202002-21-9P 202002-22-0P 202002-24-2P
202002-25-3P 202002-26-4P 202002-27-5P
202002-28-6P 202002-29-7P 202002-30-0P
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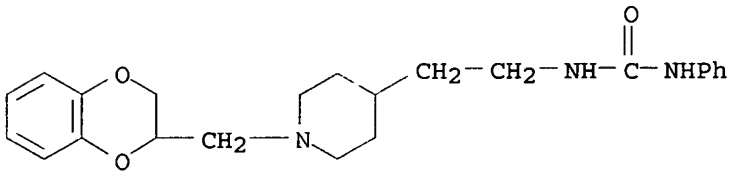
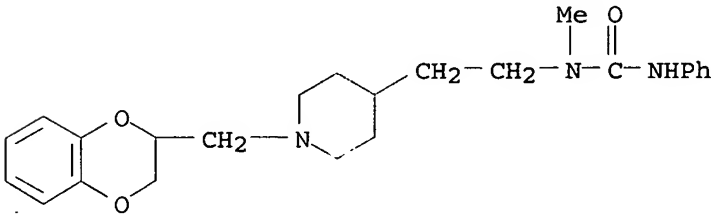
202002-49-1P 202002-50-4P 202002-51-5P
202002-52-6P 202002-53-7P 202002-54-8P
202002-55-9P 202002-56-0P 202002-57-1P
202002-65-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanes and benzopyrans as α2 adrenergic antagonists)

RN 202002-17-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 202002-19-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl- (9CI) (CA INDEX NAME)

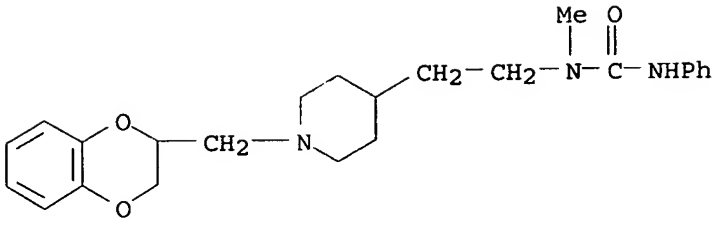


RN 202002-20-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N'-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 202002-19-5

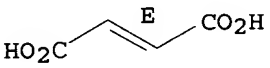
CMF C24 H31 N3 O3



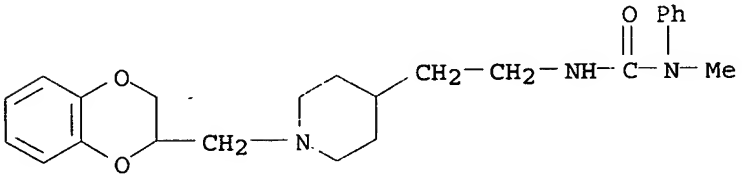
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



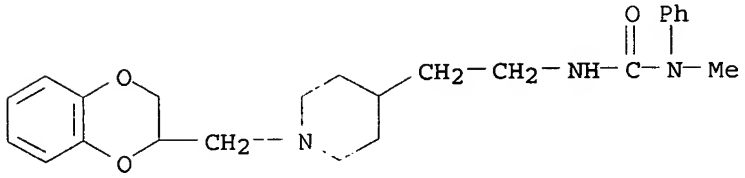
RN 202002-21-9 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 202002-22-0 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-methyl-N-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

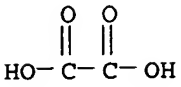
CM 1

CRN 202002-21-9
CMF C24 H31 N3 O3



CM 2

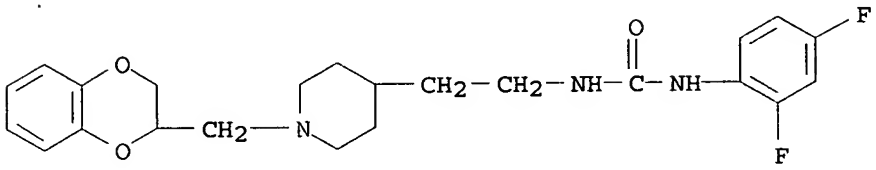
CRN 144-62-7
CMF C2 H2 O4



RN 202002-24-2 CAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

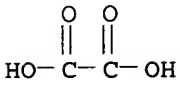
CM 1

CRN 202002-23-1
CMF C23 H27 F2 N3 O3

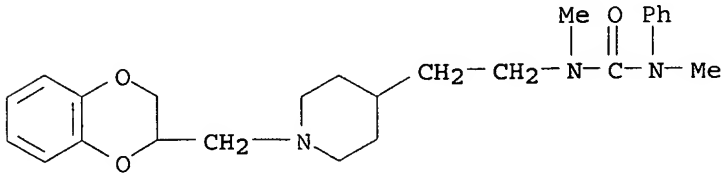


CM 2

CRN 144-62-7
CMF C2 H2 O4



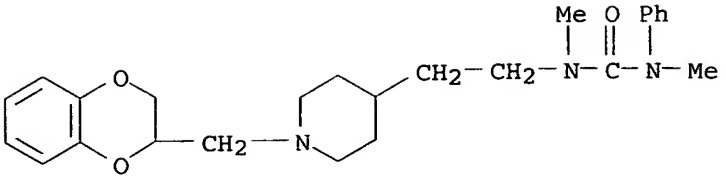
RN 202002-25-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl- (9CI) (CA INDEX NAME)



RN 202002-26-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N'-dimethyl-N'-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

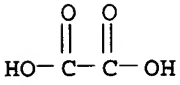
CM 1

CRN 202002-25-3
CMF C25 H33 N3 O3

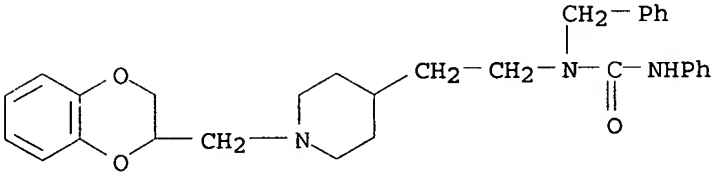


CM 2

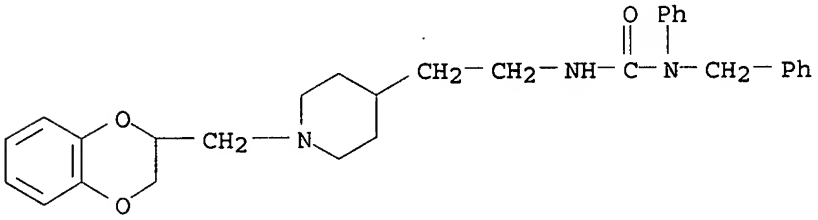
CRN 144-62-7
CMF C2 H2 O4



RN 202002-27-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



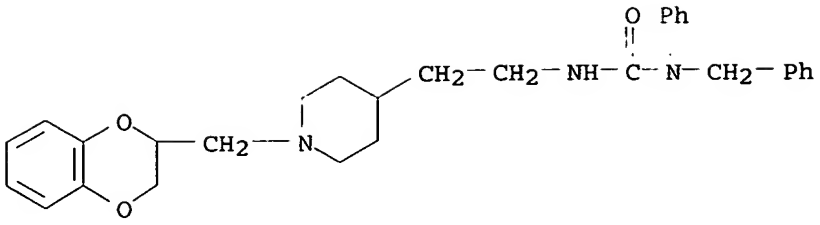
RN 202002-28-6 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 202002-29-7 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N-phenyl-N-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

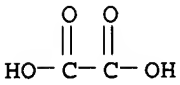
CM 1

CRN 202002-28-6
CMF C30 H35 N3 O3

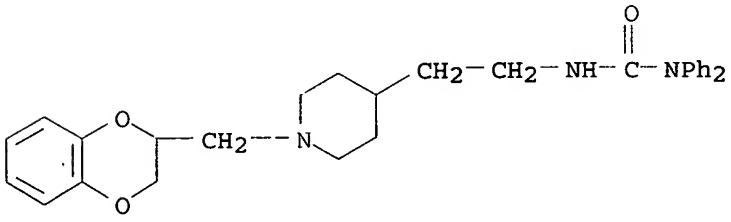


CM 2

CRN 144-62-7
CMF C2 H2 O4



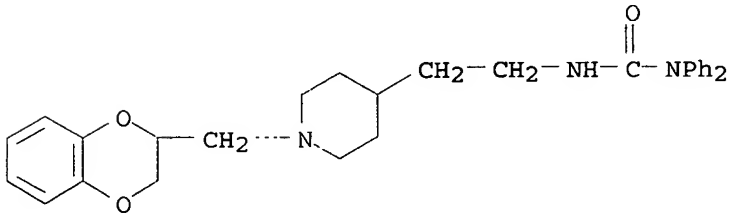
RN 202002-30-0 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



RN 202002-31-1 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N-diphenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

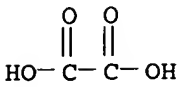
CRN 202002-30-0
CMF C29 H33 N3 O3



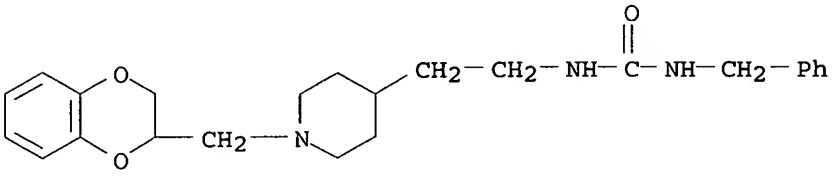
CM 2

CRN 144-62-7

CMF C2 H2 O4



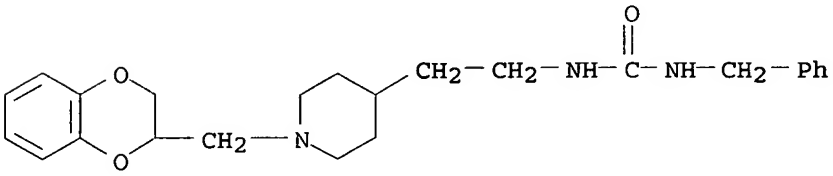
RN 202002-32-2 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 202002-33-3 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

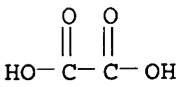
CM 1

CRN 202002-32-2
CMF C24 H31 N3 O3

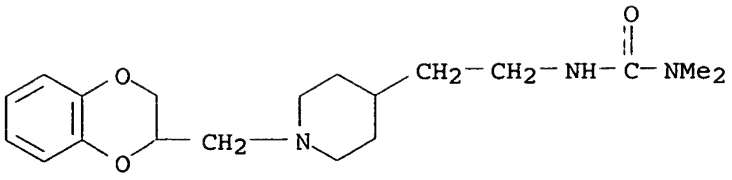


CM 2

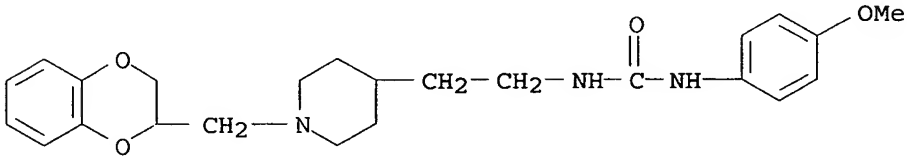
CRN 144-62-7
CMF C2 H2 O4



RN 202002-34-4 CAPLUS
CN Urea, N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



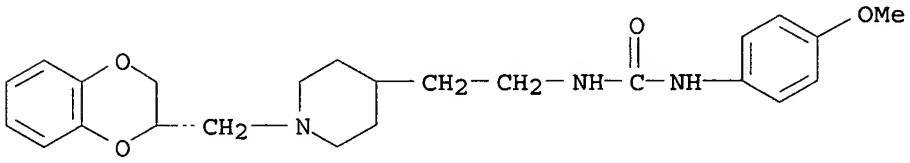
RN 202002-35-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 202002-36-6 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

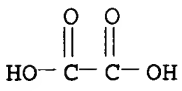
CM 1

CRN 202002-35-5
CMF C24 H31 N3 O4

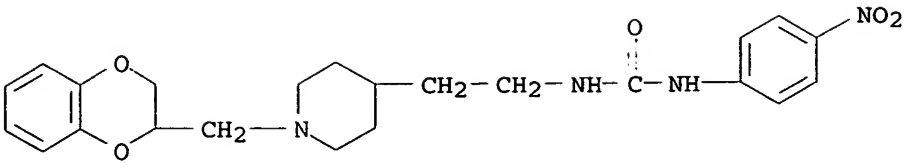


CM 2

CRN 144-62-7
CMF C2 H2 O4



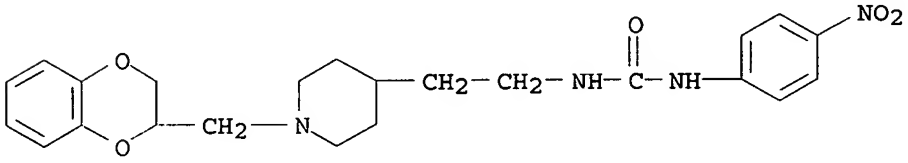
RN 202002-37-7 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 202002-38-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-nitrophenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

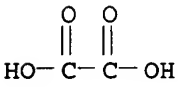
CM 1

CRN 202002-37-7
CMF C23 H28 N4 O5

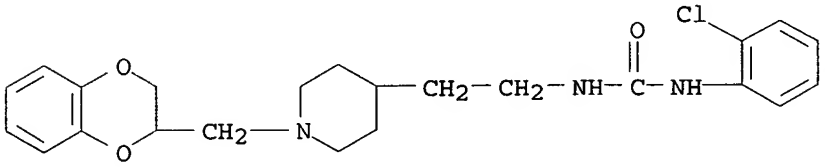


CM 2

CRN 144-62-7
CMF C2 H2 O4



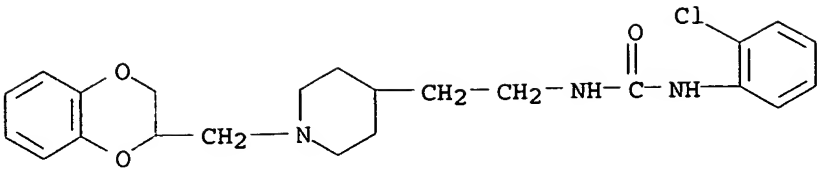
RN 202002-39-9 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 202002-40-2 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

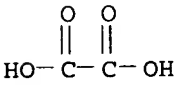
CM 1

CRN 202002-39-9
CMF C23 H28 Cl N3 O3

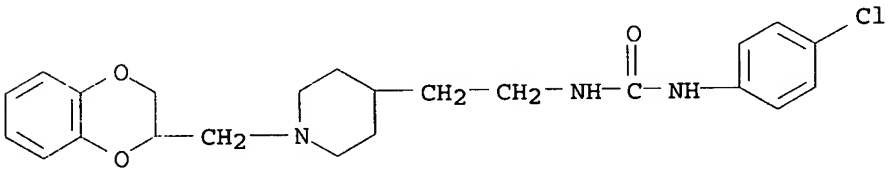


CM 2

CRN 144-62-7
CMF C2 H2 O4



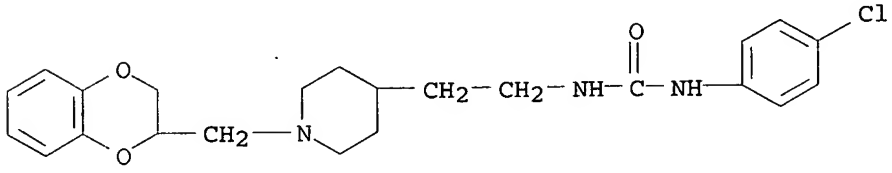
RN 202002-41-3 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 202002-42-4 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

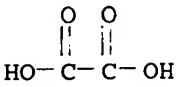
CM 1

CRN 202002-41-3
CMF C23 H28 Cl N3 O3

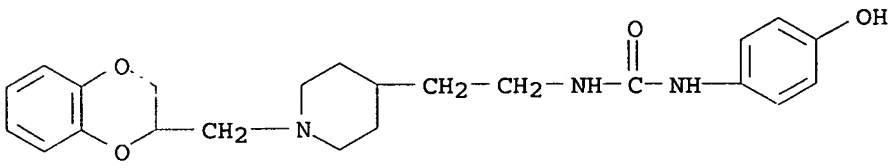


CM 2

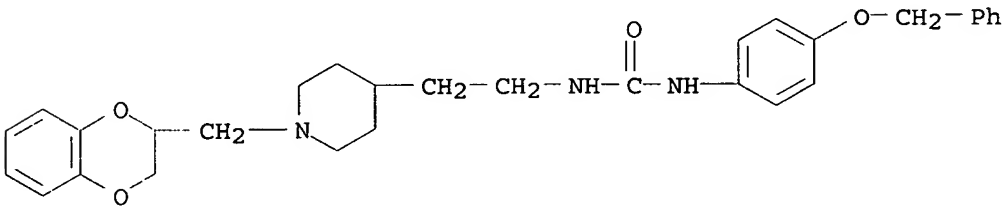
CRN 144-62-7
CMF C2 H2 O4



RN 202002-43-5 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



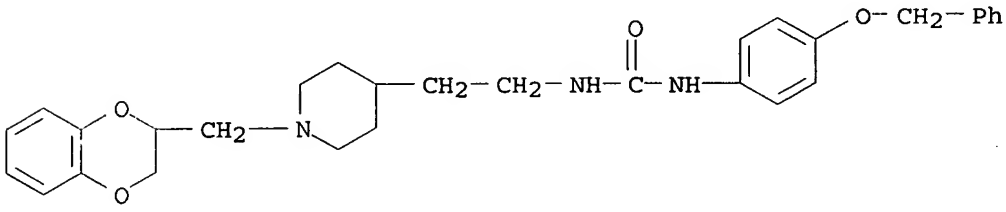
RN 202002-44-6 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 202002-45-7 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-[4-(phenylmethoxy)phenyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

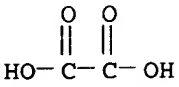
CM 1

CRN 202002-44-6
CMF C30 H35 N3 O4

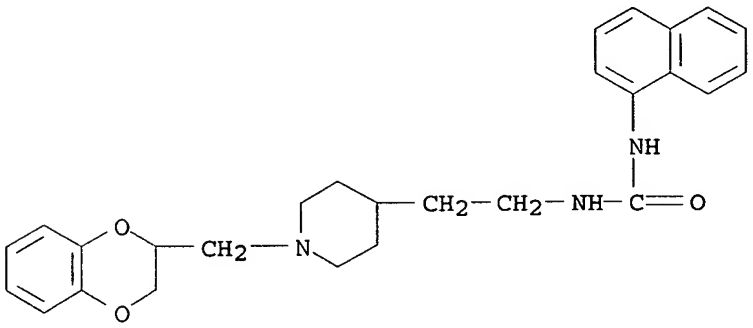


CM 2

CRN 144-62-7
CMF C2 H2 O4



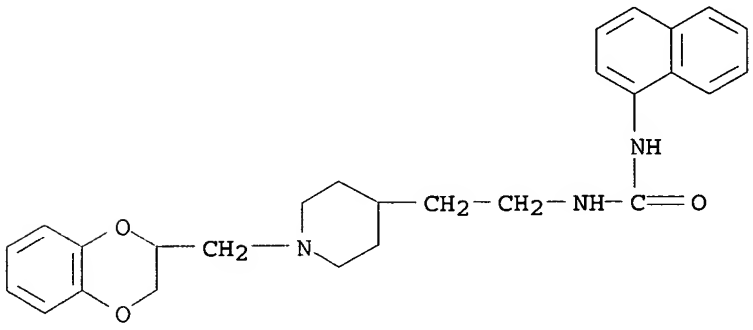
RN 202002-46-8 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)



RN 202002-47-9 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-1-naphthalenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

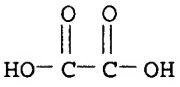
CM 1

CRN 202002-46-8
CMF C27 H31 N3 O3



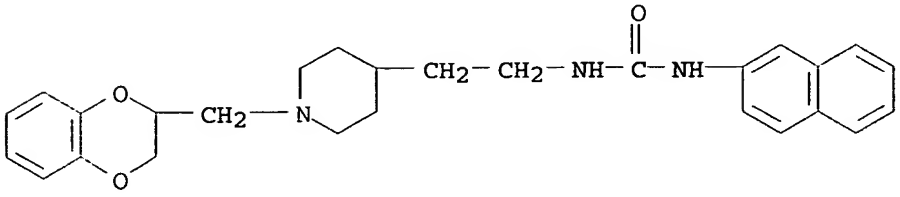
CM 2

CRN 144-62-7
CMF C2 H2 O4



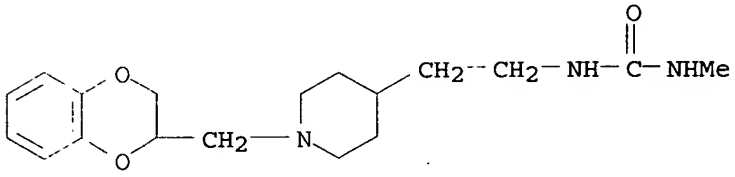
RN 202002-48-0 CAPLUS

CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-2-naphthalenyl-, monohydrochloride (9CI) (CA INDEX NAME)

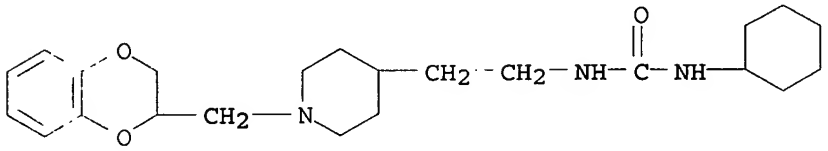


● HCl

RN 202002-49-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-methyl- (9CI) (CA INDEX NAME)



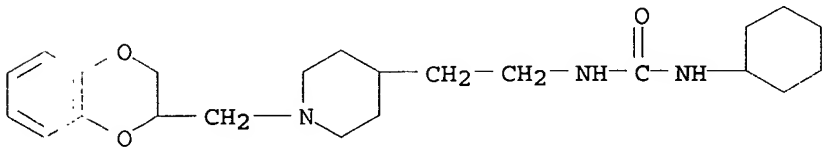
RN 202002-50-4 CAPLUS
CN Urea, N-cyclohexyl-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 202002-51-5 CAPLUS
CN Urea, N-cyclohexyl-N'-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

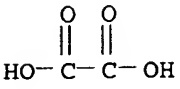
CM 1

CRN 202002-50-4
CMF C23 H35 N3 O3

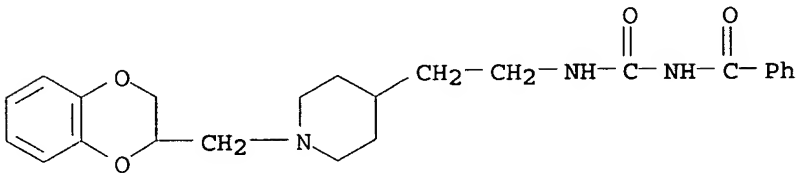


CM 2

CRN 144-62-7
CMF C2 H2 O4



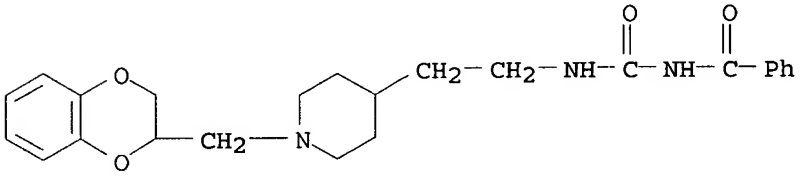
RN 202002-52-6 CAPLUS
CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 202002-53-7 CAPLUS
CN Benzamide, N-[[[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]amino]carbonyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

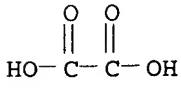
CM 1

CRN 202002-52-6
CMF C24 H29 N3 O4

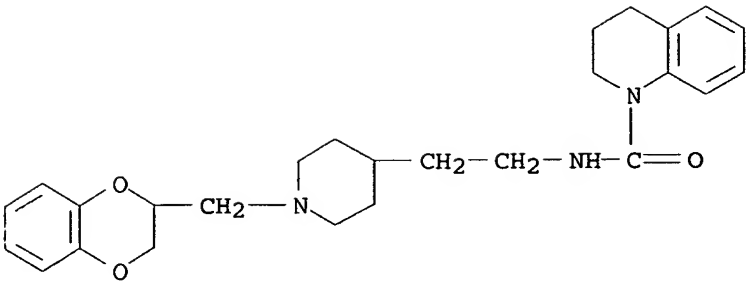


CM 2

CRN 144-62-7
CMF C2 H2 O4



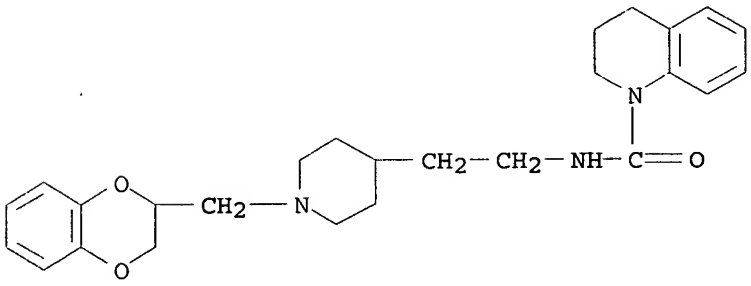
RN 202002-54-8 CAPLUS
CN 1(2H)-Quinolinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 202002-55-9 CAPLUS
CN 1(2H)-Quinolinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

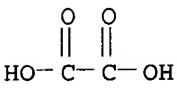
CM 1

CRN 202002-54-8
CMF C26 H33 N3 O3

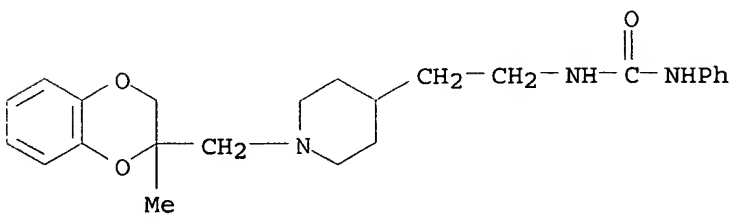


CM 2

CRN 144-62-7
CMF C2 H2 O4



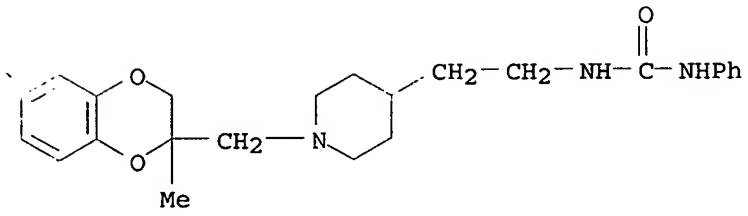
RN 202002-56-0 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-2-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 202002-57-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-2-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

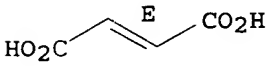
CRN 202002-56-0
CMF C24 H31 N3 O3



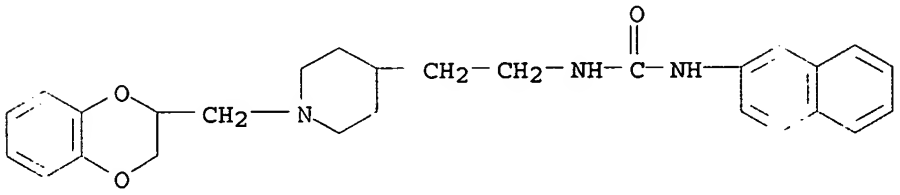
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

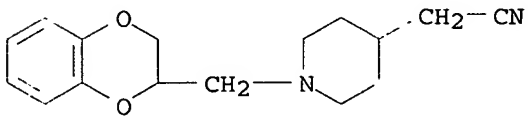


RN 202002-65-1 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-2-naphthalenyl- (9CI) (CA INDEX NAME)

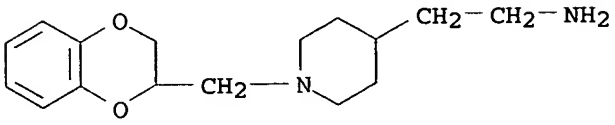


IT **194612-30-1P 194612-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxanes and benzopyrans as α2 adrenergic antagonists)

RN 194612-30-1 CAPLUS
CN 4-Piperidineacetonitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



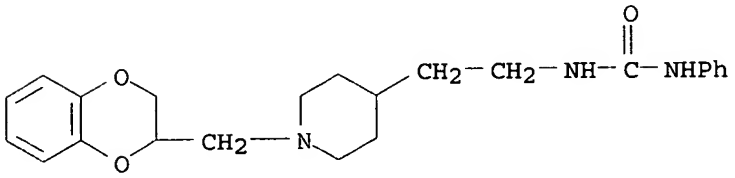
RN 194612-31-2 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-
(9CI) (CA INDEX NAME)



IT **202002-18-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanes and27 benzopyrans as α2 adrenergic antagonists)
RN 202002-18-4 CAPLUS
CN Urea, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-N'-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

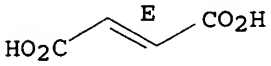
CRN 202002-17-3
CMF C23 H29 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



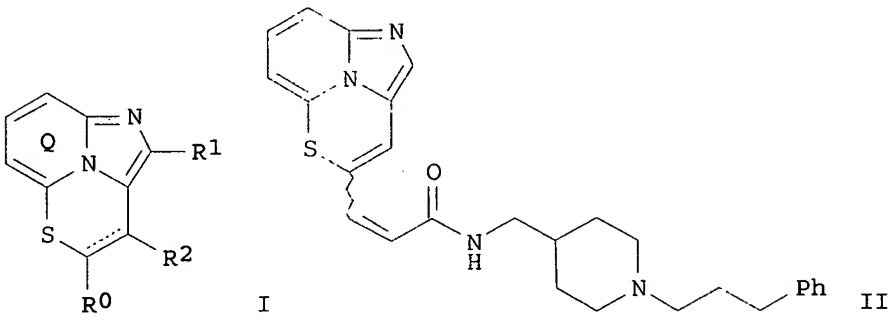
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:717923 CAPLUS
DOCUMENT NUMBER: 128:3692
TITLE: Fused imidazopyridine derivatives as antihyperlipidemic agents
INVENTOR(S): Takatani, Muneo; Shibouta, Yumiko; Sugiyama, Yasuo; Kawamoto, Tetsuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 457 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740051	A1	19971030	WO 1997-JP1395	19970423
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251625	AA	19971030	CA 1997-2251625	19970423
AU 9724048	A1	19971112	AU 1997-24048	19970423
JP 10226689	A2	19980825	JP 1997-105625	19970423
ZA 9703493	A	19981023	ZA 1997-3493	19970423
EP 915888	A1	19990519	EP 1997-919649	19970423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1223659	A	19990721	CN 1997-193938	19970423
US 6235731	B1	20010522	US 1998-155889	19981008
PRIORITY APPLN. INFO.:			JP 1996-102303 A	19960424
			JP 1996-330801 A	19961211
			WO 1997-JP1395 W	19970423

OTHER SOURCE(S): MARPAT 128:3692
GI



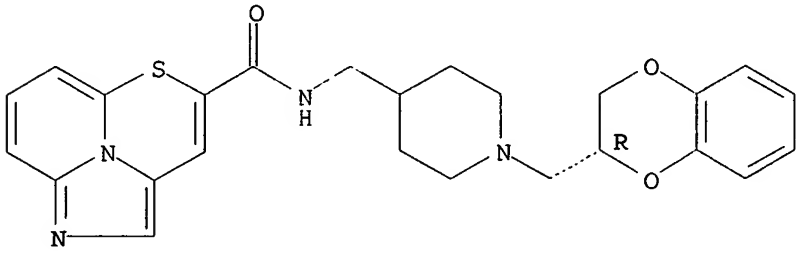
AB Novel compds. I [wherein ring Q is optionally substituted; one of R0, R1, and R2 = -Y0-Z0, and the others = H, halo, (un)substituted OH, (un)substituted hydrocarbyl, or acyl; Y0 = bond, (un)substituted bivalent hydrocarbon group; Z0 = basic group which may be bonded via O, N, CO, CS, SO2N(R3) (where R3 = H or (un)substituted hydrocarbyl), or S(O)n (where n = 0, 1, or 2); dotted line = optional pi bond] and salts thereof are disclosed. The compds. have excellent LDL receptor up-regulating, blood lipid-lowering, blood sugar-lowering, and diabetic complication-ameliorating activities. Examples include 178 synthetic examples, 79 reference examples, and biol. data for approx. 20 selected compds. For instance, Et 5-thia-1,8b-diazaacenaphthylene-4-carboxylate underwent a sequence of DIBAL reduction to an alc. (87%), oxidation to an aldehyde and Wittig-based homologation to an acrylic acid derivative (84%), amidation with 1-Boc-piperidin-4-ylmethylamine and deprotection (92%), N-alkylation with Ph(CH2)3Br (55%), and salification with methanolic HCl, to give the title compound II.2HCl. In hamsters, II.2HCl reduced non-HDL cholesterol to 62.3% of control, and triglycerides to 67.0% of control.

IT **198890-90-3P 198890-91-4P 198890-92-5P**

198891-44-0P 198892-98-7P 198892-99-8P
198893-00-4P 198893-48-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused imidazopyridine derivs. as antihyperlipidemic agents)

RN 198890-90-3 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride, (R)-(9CI) (CA INDEX NAME)

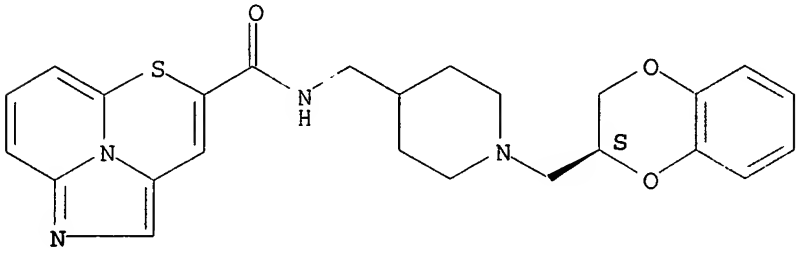
Absolute stereochemistry.



●2 HCl

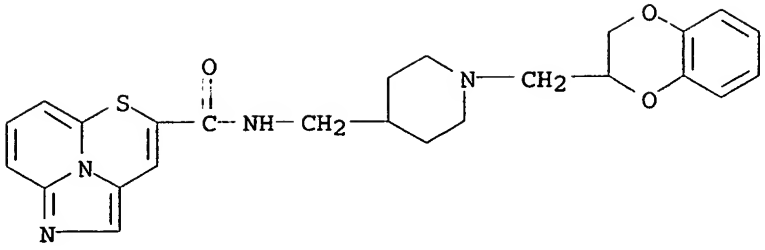
RN 198890-91-4 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



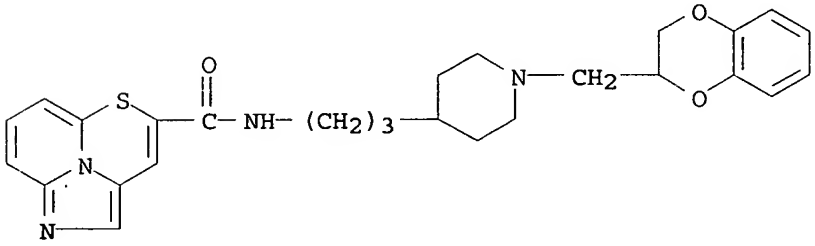
●2 HCl

RN 198890-92-5 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

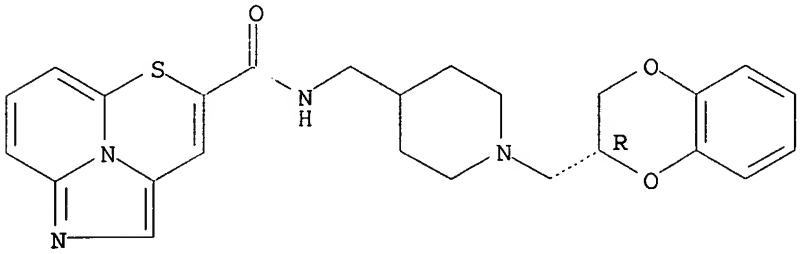
RN 198891-44-0 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

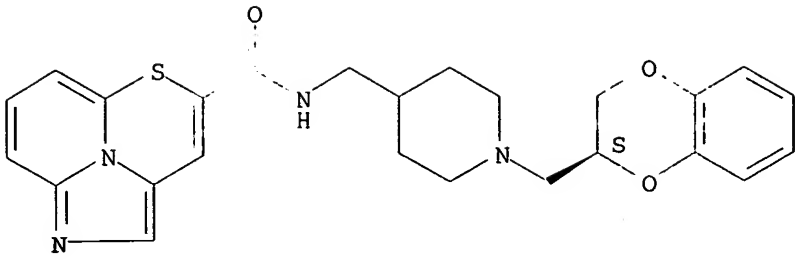
RN 198892-98-7 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

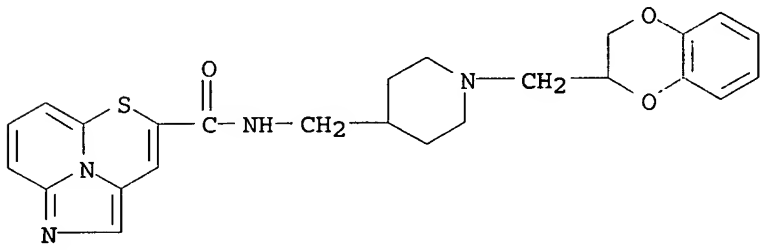


RN 198892-99-8 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

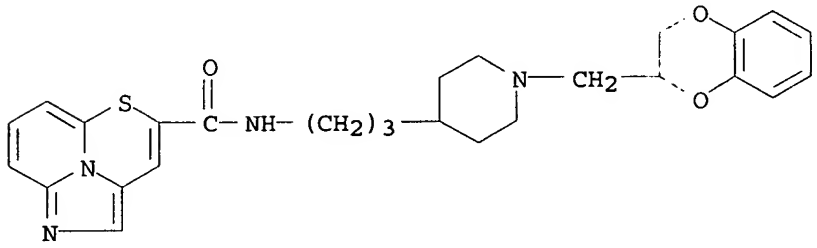
Absolute stereochemistry.



RN 198893-00-4 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 198893-48-0 CAPLUS
CN 5-Thia-1,8b-diazaacenaphthylene-4-carboxamide, N-[3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

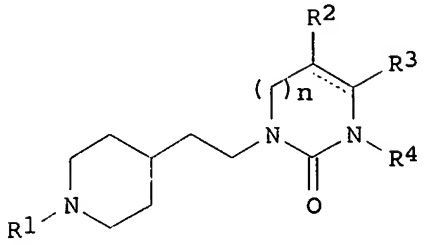


L6 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:533644 CAPLUS
DOCUMENT NUMBER: 127:205479
TITLE: Novel piperidine derivatives 4-substituted by an imidazolidin-2-on-1-ylethyl, tetrahydropyrimidin-2-on-1-ylethyl, or 1,3-diazepin-2-on-1-ylethyl group, and their use as α2 adrenergic receptor antagonists
INVENTOR(S): Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

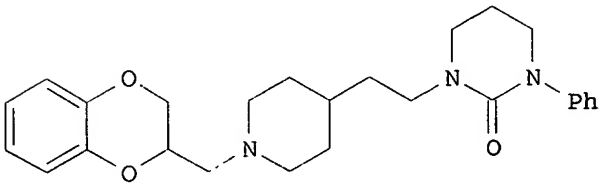
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9728157	A1	19970807	WO 1997-FR179		19970130
W: AU, BR, CA, CN, JP, KR, MX, NZ, US					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
FR 2744451	A1	19970808	FR 1996-1220		19960201
FR 2744451	B1	19980424			
AU 9716061	A1	19970822	AU 1997-16061		19970130
PRIORITY APPLN. INFO.:			FR 1996-1220	A	19960201
			WO 1997-FR179	W	19970130

OTHER SOURCE(S): MARPAT 127:205479

GI



I



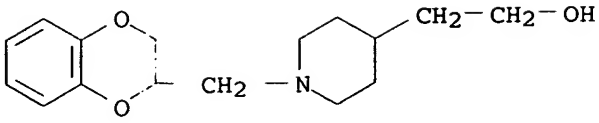
II

AB Novel cyclic urea derivs. of 4-ethylpiperidine, having general formula I [R1 = (1,4-benzodioxan-2-yl)methyl, (2H-benzopyran-3-yl)methyl, or 4-(chromanone-2-yl)methyl; R2, R3 = H, or R2R3 = benzo fusion; R4 = H, C1-4 alkyl, (un)substituted aryl, heteroaryl, aralkyl, or naphthyl; n = 0-2], and their salts and preparation methods, are disclosed. The use of the compds. as drugs, pharmaceutical compns. containing them, and preparation methods for the compns. are also disclosed. The compds. are useful for treatment of a wide variety of medical conditions. For instance, N-alkylation of 4-(2-hydroxyethyl)piperidine by 2-(bromomethyl)-1,4-benzodioxane (69%), conversion of the product alc. to a chloride (94%) by SOCl2, and coupling of the latter with 1-phenyltetrahydro-2(1H)-pyrimidinone (69%) using NaH in AcNMe2, gave title compound II. In a test for inhibition of guanabenz-induced hypothermia in mice, II had an oral ED50 of 0.28 mg/kg, vs. 0.69 for idazoxan and 1.23 for yohimbine.

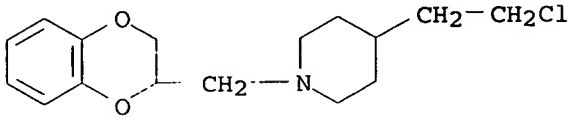
IT **194612-27-6P 194612-28-7P 194612-29-8P**
194612-30-1P 194612-31-2P 194612-32-3P
194612-33-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine derivs. as α2 adrenergic antagonists)

RN 194612-27-6 CAPLUS

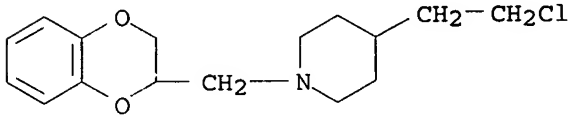
CN 4-Piperidineethanol, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 194612-28-7 CAPLUS
CN Piperidine, 4-(2-chloroethyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

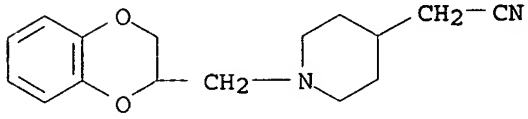


RN 194612-29-8 CAPLUS
CN Piperidine, 4-(2-chloroethyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

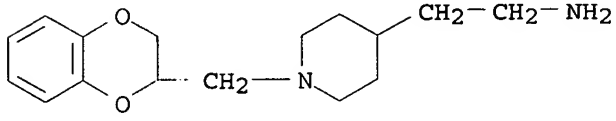


● HCl

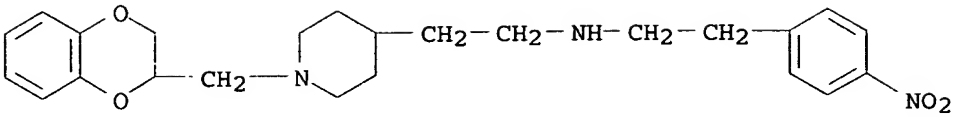
RN 194612-30-1 CAPLUS
CN 4-Piperidineacetonitrile, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



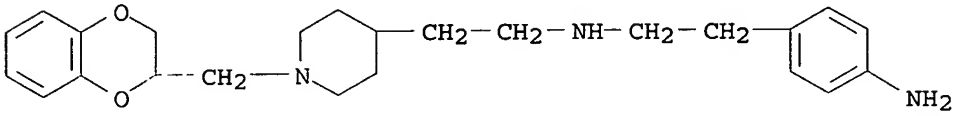
RN 194612-31-2 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 194612-32-3 CAPLUS
CN 4-Piperidineethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

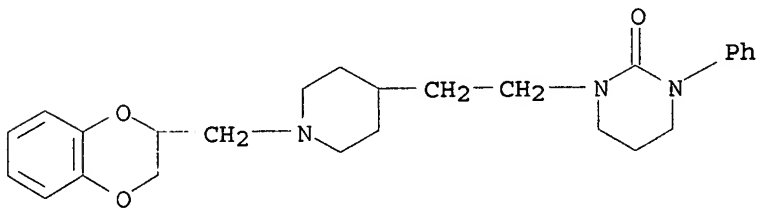


RN 194612-33-4 CAPLUS
CN 4-Piperidineethanamine, N-[2-(4-aminophenyl)ethyl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

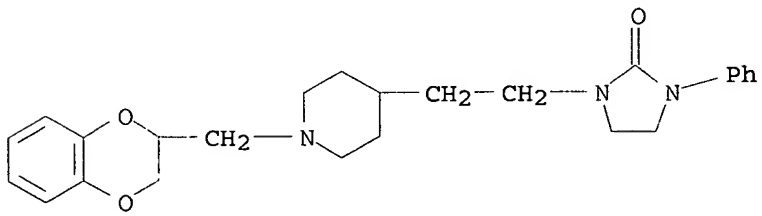


IT **194611-90-0P 194611-91-1P 194611-92-2P**
194611-93-3P 194611-94-4P 194611-95-5P
194611-96-6P 194611-97-7P 194611-98-8P
194611-99-9P 194612-00-5P 194612-01-6P
194612-02-7P 194612-03-8P 194612-04-9P
194612-05-0P 194612-06-1P 194612-07-2P
194612-08-3P 194612-09-4P 194612-10-7P
194612-11-8P 194612-12-9P 194612-13-0P
194612-14-1P 194612-15-2P 194612-16-3P
194612-24-3P 194612-26-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as α2 adrenergic antagonists)

RN 194611-90-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



RN 194611-91-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

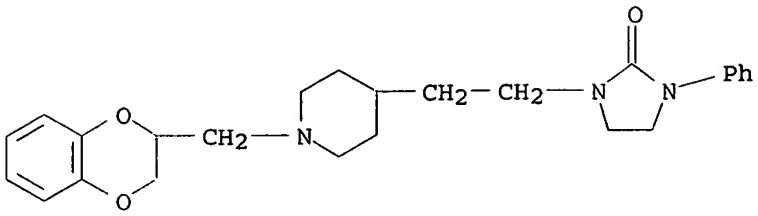


RN 194611-92-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

piperidinyl]ethyl]-3-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

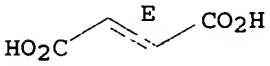
CRN 194611-91-1
CMF C25 H31 N3 O3



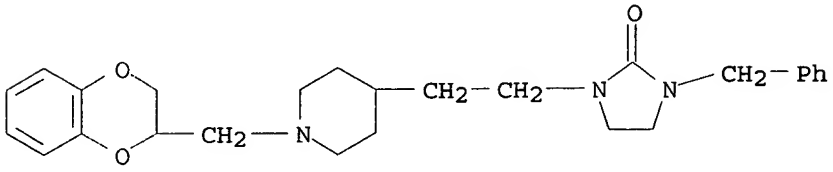
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



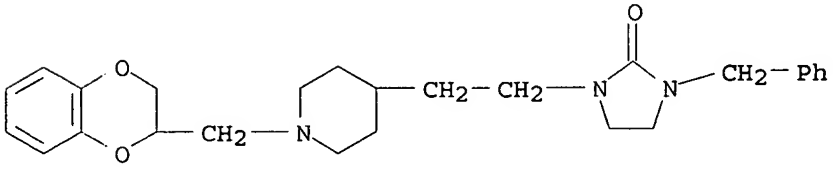
RN 194611-93-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 194611-94-4 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(phenylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

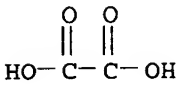
CM 1

CRN 194611-93-3
CMF C26 H33 N3 O3

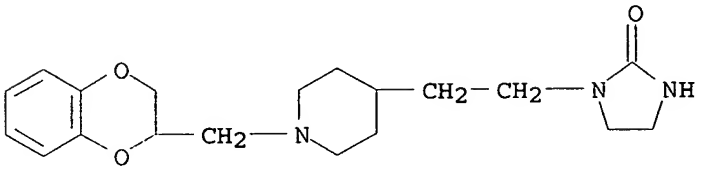


CM 2

CRN 144-62-7
CMF C2 H2 O4



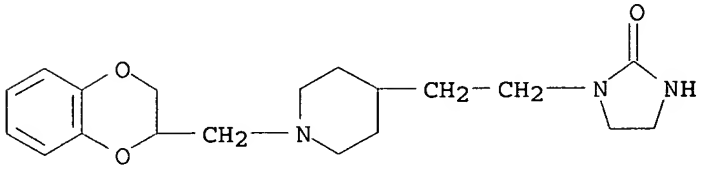
RN 194611-95-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 194611-96-6 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

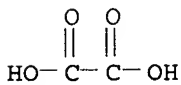
CM 1

CRN 194611-95-5
CMF C19 H27 N3 O3

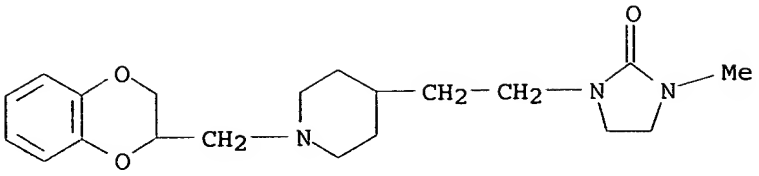


CM 2

CRN 144-62-7
CMF C2 H2 O4



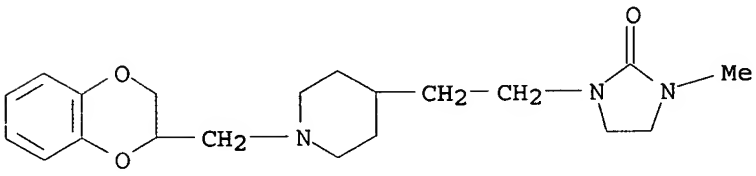
RN 194611-97-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 194611-98-8 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

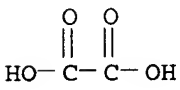
CM 1

CRN 194611-97-7
CMF C20 H29 N3 O3

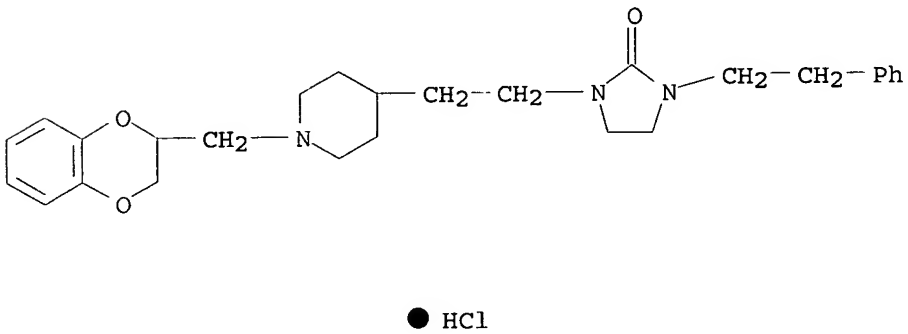


CM 2

CRN 144-62-7
CMF C2 H2 O4

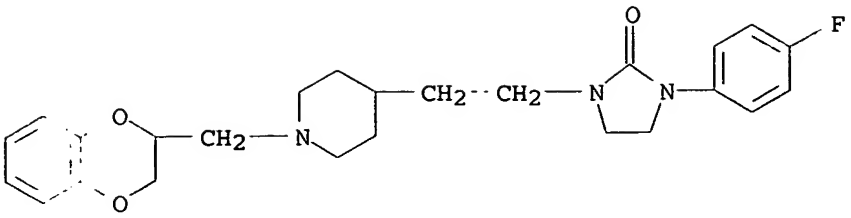


RN 194611-99-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

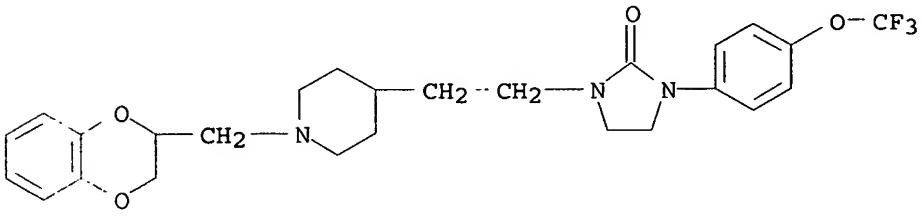


● HCl

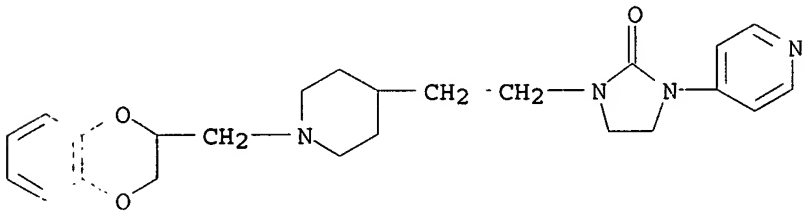
RN 194612-00-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 194612-01-6 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



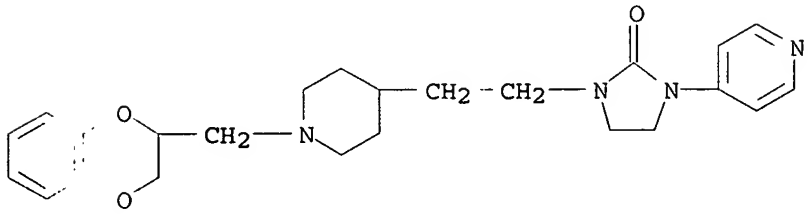
RN 194612-02-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 194612-03-8 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

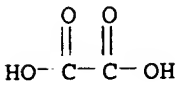
CM 1

CRN 194612-02-7
CMF C24 H30 N4 O3

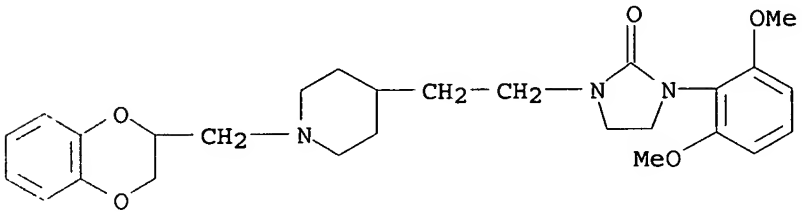


CM 2

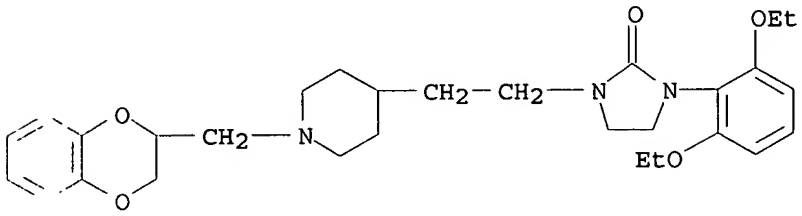
CRN 144-62-7
CMF C2 H2 O4



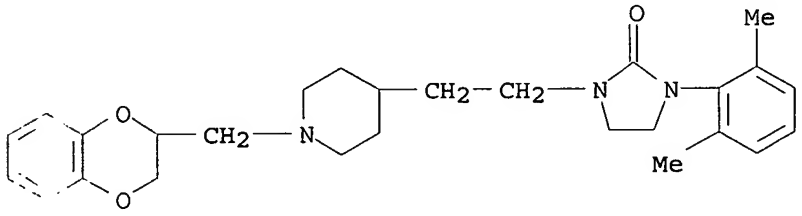
RN 194612-04-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 194612-05-0 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-diethoxyphenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



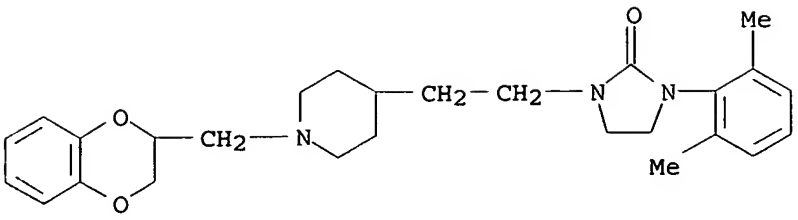
RN 194612-06-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 194612-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

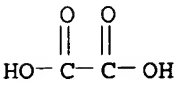
CM 1

CRN 194612-06-1
CMF C27 H35 N3 O3

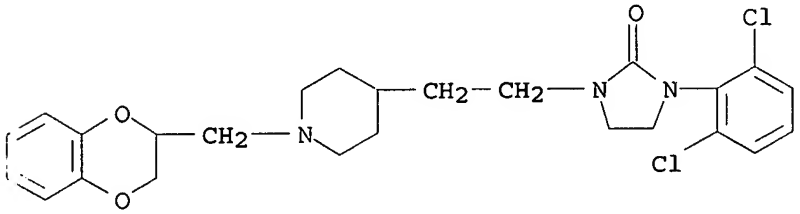


CM 2

CRN 144-62-7
CMF C2 H2 O4

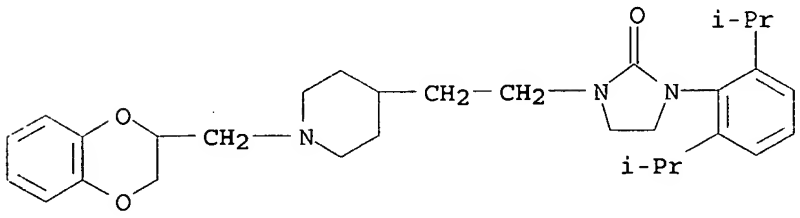


RN 194612-08-3 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



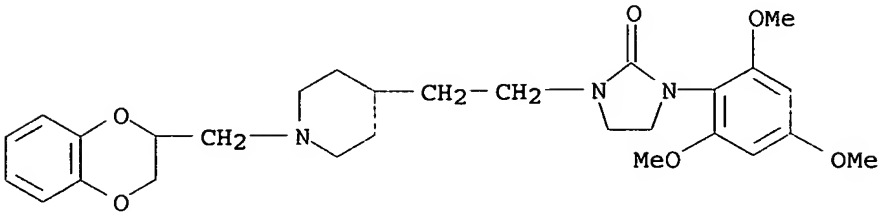
● HCl

RN 194612-09-4 CAPLUS
CN 2-Imidazolidinone, 1-[2,6-bis(1-methylethyl)phenyl]-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

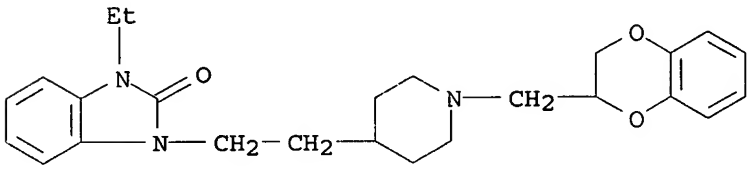


RN 194612-10-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

piperidinyl]ethyl]-3-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



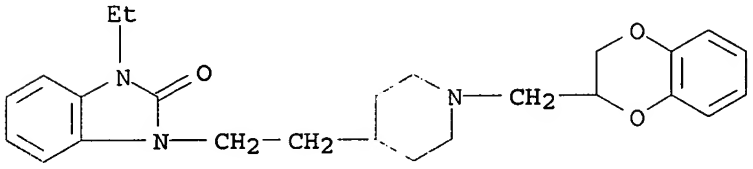
RN 194612-11-8 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-ethyl-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 194612-12-9 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-ethyl-1,3-dihydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

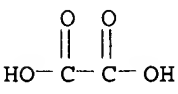
CM 1

CRN 194612-11-8
CMF C25 H31 N3 O3

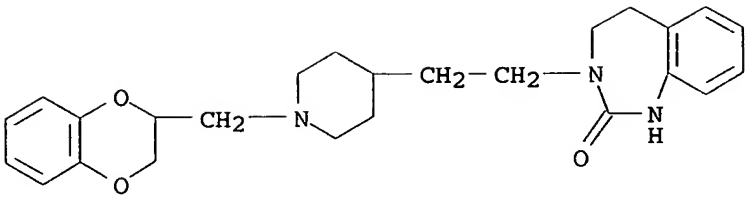


CM 2

CRN 144-62-7
CMF C2 H2 O4



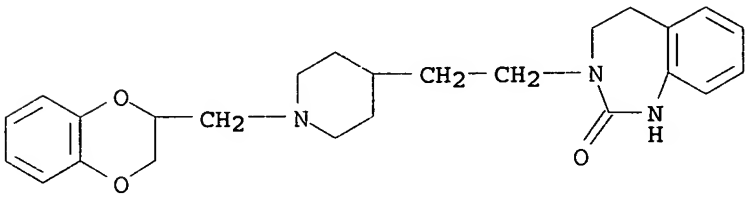
RN 194612-13-0 CAPLUS
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 194612-14-1 CAPLUS
CN 2H-1,3-Benzodiazepin-2-one, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-1,3,4,5-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

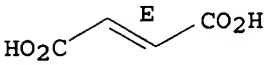
CRN 194612-13-0
CMF C25 H31 N3 O3



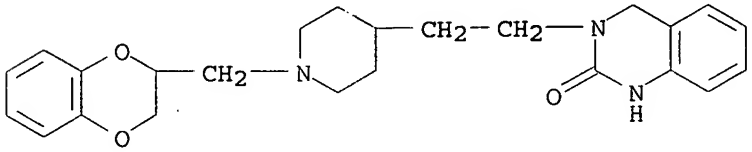
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



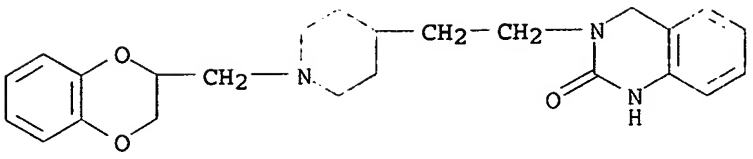
RN 194612-15-2 CAPLUS
CN 2(1H)-Quinazolinone, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 194612-16-3 CAPLUS
CN 2(1H)-Quinazolinone, 3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3,4-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

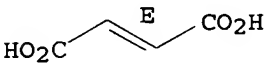
CRN 194612-15-2
CMF C24 H29 N3 O3



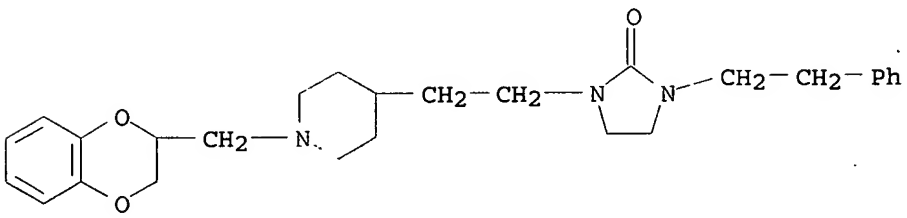
CM 2

CRN 110-17-8
CMF C4 H4 O4

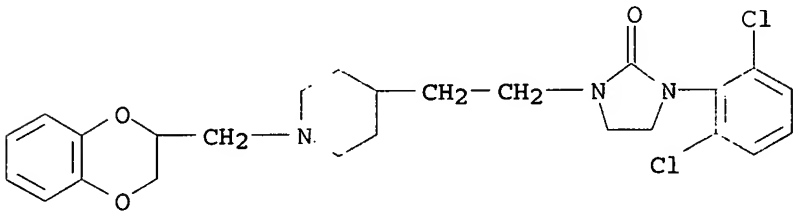
Double bond geometry as shown.



RN 194612-24-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 194612-26-5 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:506290 CAPLUS
DOCUMENT NUMBER: 127:135806
TITLE: Preparation of heteroarylcarboxamides as nervous system agents
INVENTOR(S): Birch, Alan Martin; Bradley, Paul Anthony; Gill, Julie Carolyn
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany; Birch, Alan Martin; Bradley, Paul Anthony; Gill, Julie Carolyn
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9723485	A1	19970703	WO 1996-EP5637		19961216
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
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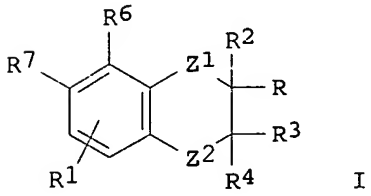
EP 876372	A1	19981111	EP 1996-943129		19961216
EP 876372	B1	20020306			
R: DE, FR, GB, IT					
JP 2000502662	T2	20000307	JP 1997-523278		19961216
US 6107310	A	20000822	US 1998-91129		19980616
PRIORITY APPLN. INFO.:			GB 1995-26495	A	19951223
			WO 1996-EP5637	W	19961216

OTHER SOURCE(S): MARPAT 127:135806
GI



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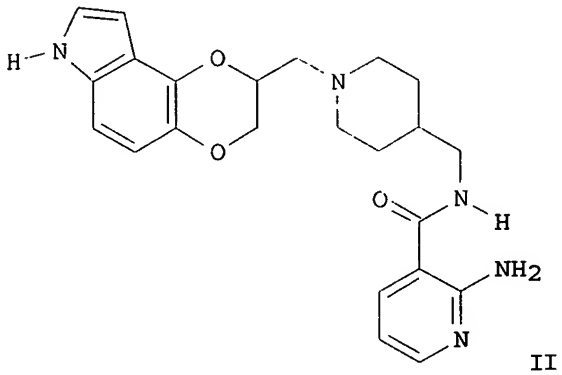


II

AB Title compds. [I; R = Z3Z4R8; R1 = 1 or 2 of H, halo, alkyl, alkoxy, etc.; R2 = H, alkyl, alkoxy; R3,R4 = H or alkyl; R6R7 = (un)substituted NHCH:CH, -N:CHNH, -NHCH:N, etc.; R8 = (un)substituted heteroarylcarbonyl; Z1,Z2 = O or CH2; Z3 = alkylene; Z4 = NR5Z5Z6, Z6Z5NR5, etc.; R5 = H or alkyl; Z5 = alkylene; Z6 = N-attached heterocyclylene] were prepared as 5-HT1A and/or α1 and/or D2-like receptor ligands. Thus, Et 4-formyl-5-hydroxyindole-2-carboxylate was etherified by (R)-glycidyl tosylate and the product converted in 6 steps to title compound II. Data for biol. activity of I were given.

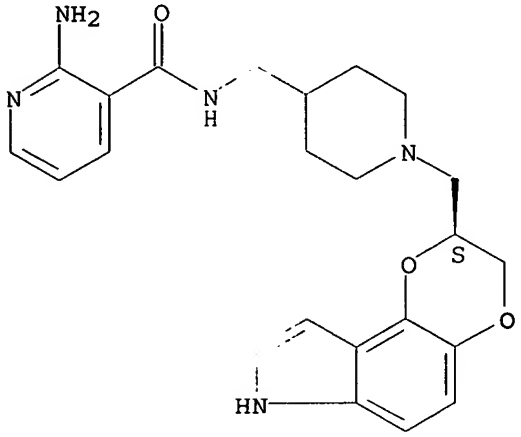
IT **193197-22-7P 193197-23-8P 193197-24-9P**
193197-25-0P 193197-26-1P 193197-27-2P
193197-28-3P 193197-29-4P 193197-30-7P
193197-31-8P 193197-32-9P 193197-33-0P
193197-34-1P 193197-35-2P 193197-36-3P
193197-37-4P 193197-38-5P 193197-39-6P
193197-40-9P 193197-41-0P 193197-42-1P
193197-43-2P 193197-44-3P 193197-45-4P
193197-46-5P 193197-47-6P 193197-48-7P
193197-49-8P 193197-50-1P 193197-51-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroarylcarboxamides as nervous system agents)

RN 193197-22-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

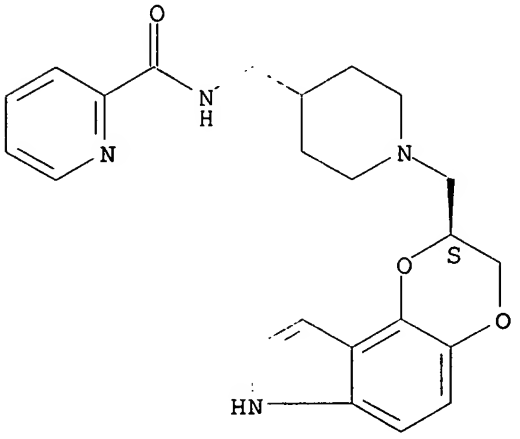
Absolute stereochemistry. Rotation (-).



RN 193197-23-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193197-24-9 CAPLUS

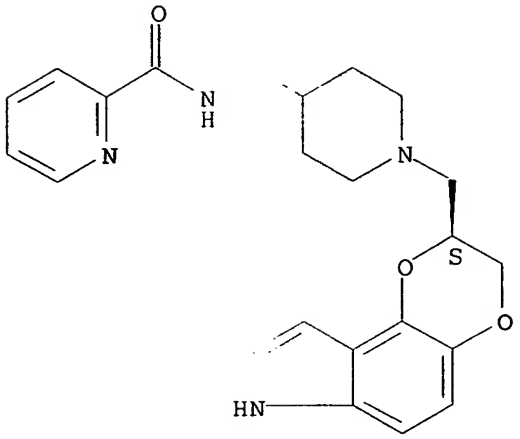
CN 2-Pyridinecarboxamide, N-[[1-[[(2S)-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl]methyl]-4-piperidinyl]methyl]-, (2E)-2-butenedioate (10:13) (9CI) (CA INDEX NAME)

CM 1

CRN 193197-23-8

CMF C23 H26 N4 O3

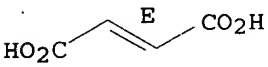
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 193197-25-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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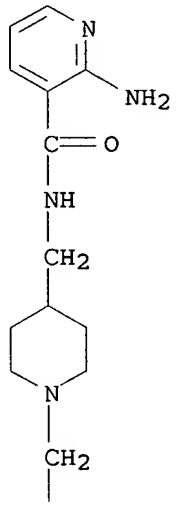

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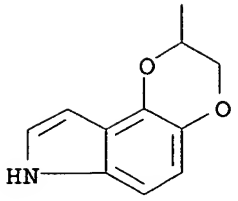

RN 193197-26-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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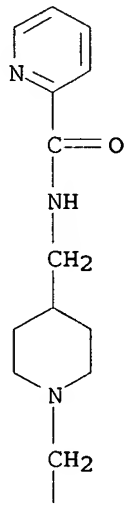

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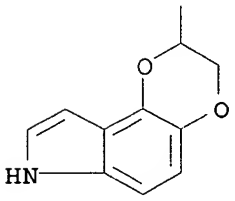
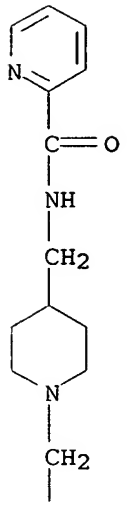


RN 193197-27-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxylic acid, 2,3-dihydro-2-[[4-[[(2-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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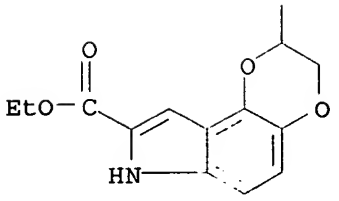
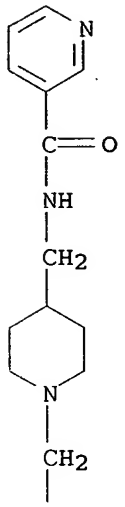


RN 193197-28-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxylic acid, 2,3-dihydro-2-[[4-[[(3-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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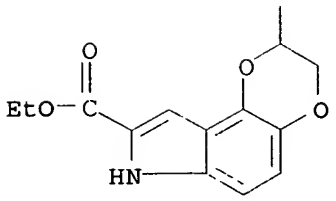
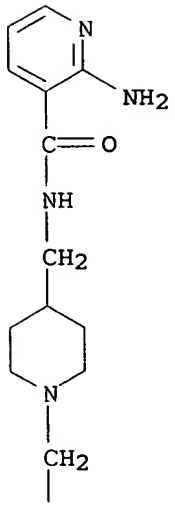


RN 193197-29-4 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxylic acid, 2-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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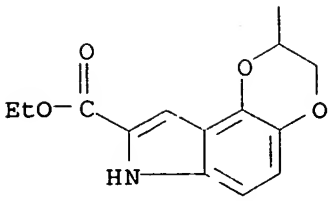

RN 193197-30-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-2-[[4-[[(2-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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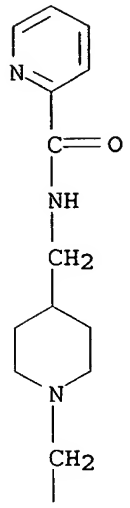

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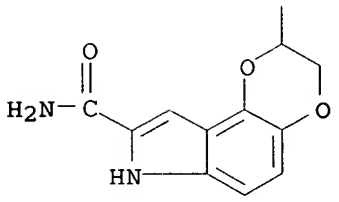

RN 193197-31-8 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-2-[[4-[[(3-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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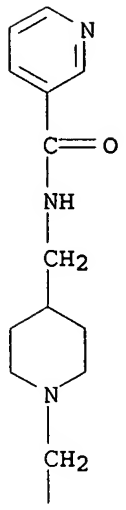

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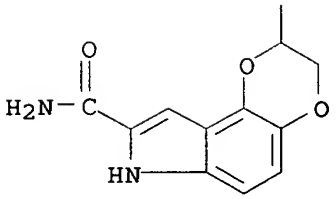
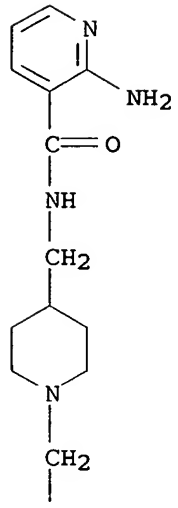


RN 193197-32-9 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



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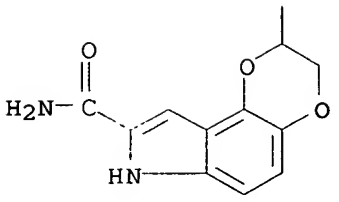
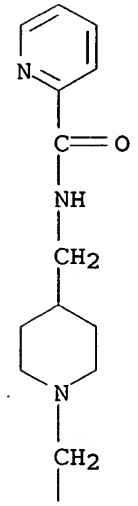


RN 193197-33-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-N,N-dimethyl-2-[[4-[[(2-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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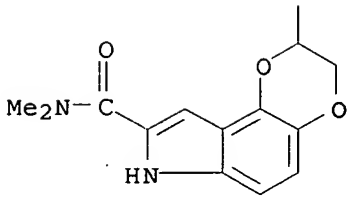

RN 193197-34-1 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2,3-dihydro-N,N-dimethyl-2-[[4-[[(3-pyridinylcarbonyl)amino]methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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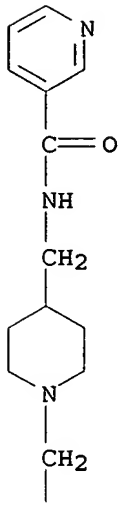

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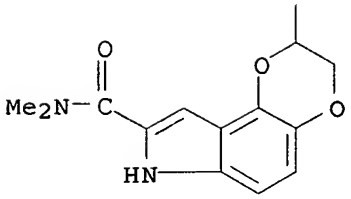

RN 193197-35-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole-8-carboxamide, 2-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

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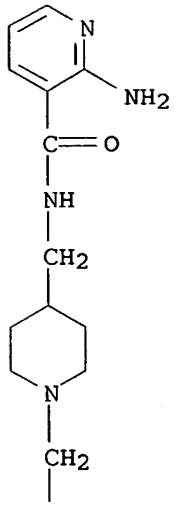

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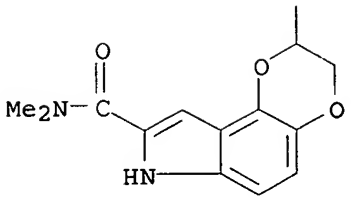

RN 193197-36-3 CAPLUS
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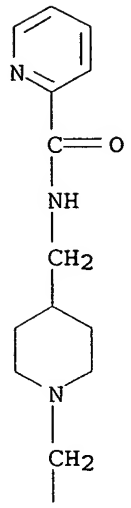

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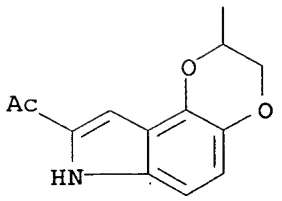

RN 193197-37-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-acetyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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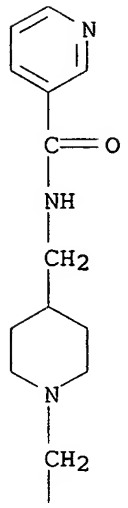

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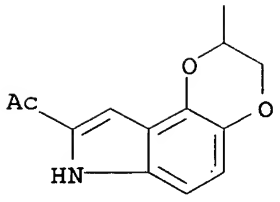

RN 193197-38-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-acetyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-2-amino- (9CI) (CA INDEX NAME)

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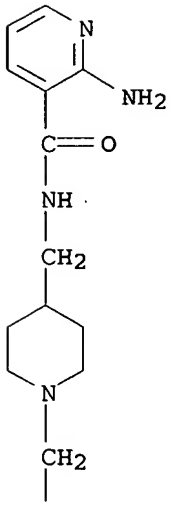

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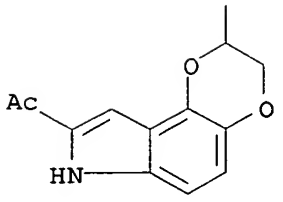

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CN 2-Pyridinecarboxamide, N-[[1-[(8-cyano-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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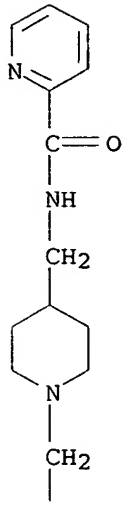

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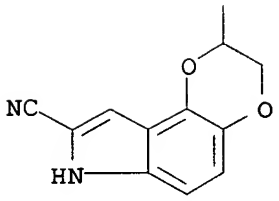

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CN 3-Pyridinecarboxamide, N-[[1-[(8-cyano-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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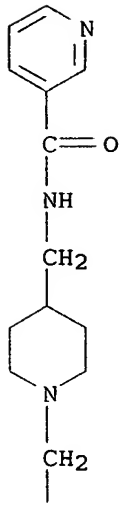

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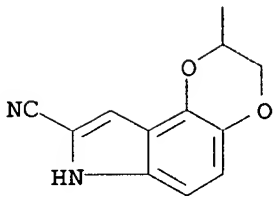

RN 193197-41-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-cyano-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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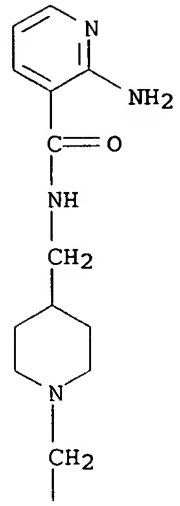

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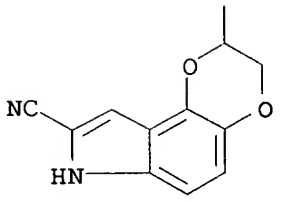

RN 193197-42-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(8-formyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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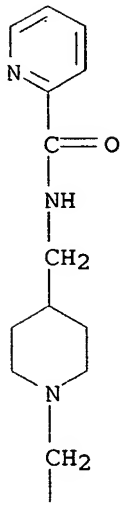

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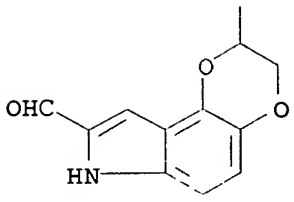

RN 193197-43-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-formyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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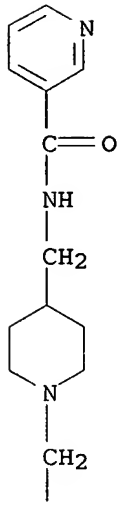

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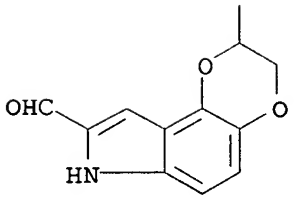

RN 193197-44-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-formyl-2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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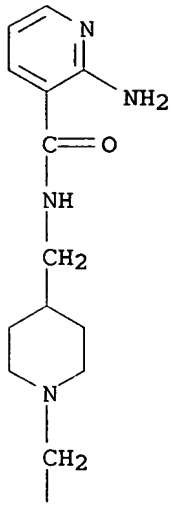

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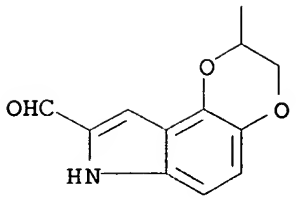

RN 193197-45-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]-4-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)

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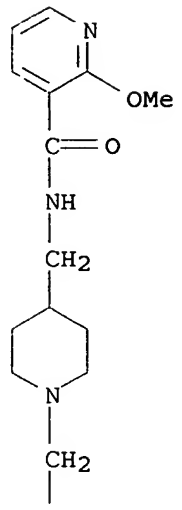

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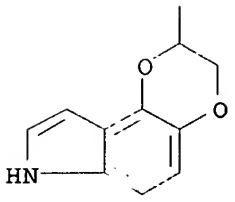

RN 193197-46-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indazol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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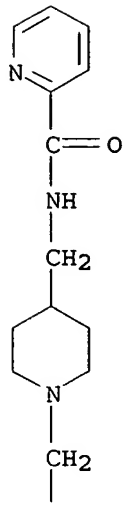

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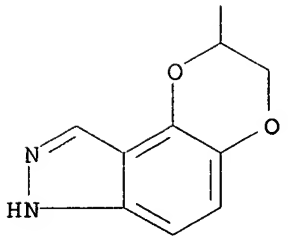

RN 193197-47-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indazol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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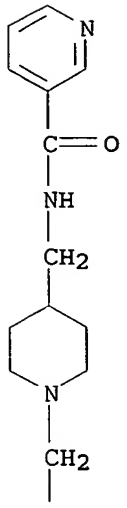

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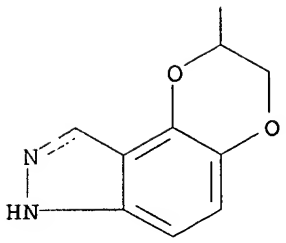

RN 193197-48-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indazol-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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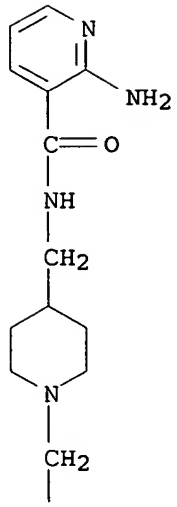

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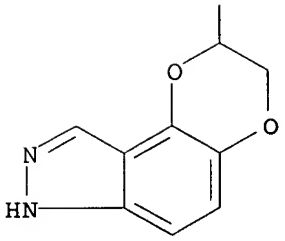

RN 193197-49-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(7,8-dihydro-1H-[1,4]dioxino[2,3-e]benzimidazol-8-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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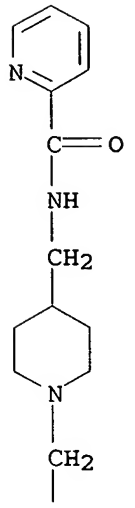

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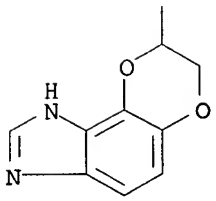

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CN 3-Pyridinecarboxamide, N-[[1-[(7,8-dihydro-1H-[1,4]dioxino[2,3-e]benzimidazol-8-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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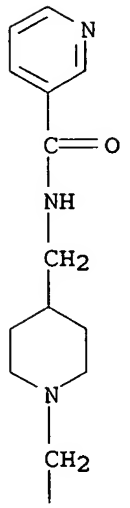

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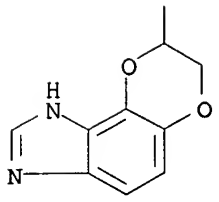

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CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7,8-dihydro-1H-[1,4]dioxino[2,3-e]benzimidazol-8-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

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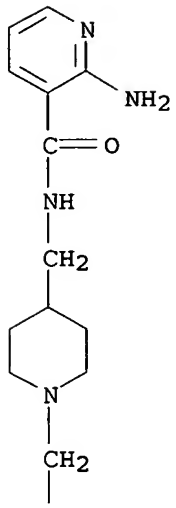

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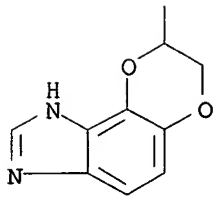

L6 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:204149 CAPLUS
DOCUMENT NUMBER: 126:199573
TITLE: Heterocyclylcarboxamide derivatives for use as neurotransmitter agonists
INVENTOR(S): Birch, Alan Martin; Heal, David John; Kerrigan, Frank; Martin, Keith Frank; Needham, Patricia Lesley; Sargent, Bruce Jeremy
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703071	A1	19970130	WO 1996-EP2890	19960702
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE						
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OTHER SOURCE(S): MARPAT 126:199573

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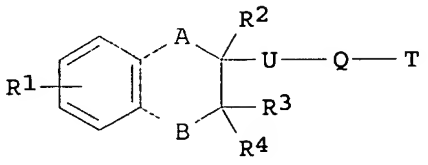

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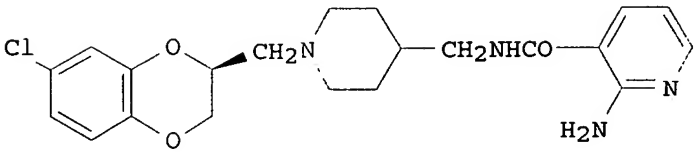

II

AB Title compds. I [A, B = CH2, O; R1 = optional substituent(s); R2-R4 = H, (un)substituted alkyl; U = (un)branched alkylene; Q = N-containing divalent group; T = heterocyclylcarbonyl attached to N in Q] were prepared for use in treating central nervous system disorders. Thus, the benzodioxane II was prepared from 5-chloro-2-hydroxybenzaldehyde, (R)-glycidyl tosylate, and 4-aminomethylpiperidine in 8 steps. II had a Ki for 5 HT1α receptor binding of 41.5 nM and also bound to the α2D, D2, and α1 receptors.

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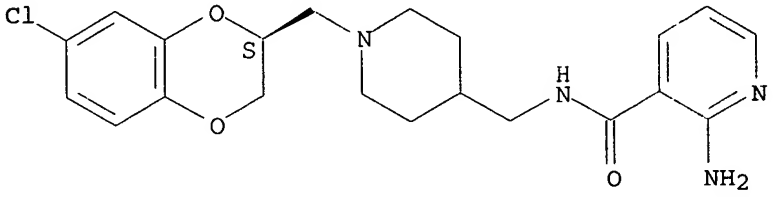
187543-28-8P 187543-30-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxanylmethylpiperidinylmethylcarbamoylpyridines as neurotransmitter agonists)

RN 187542-74-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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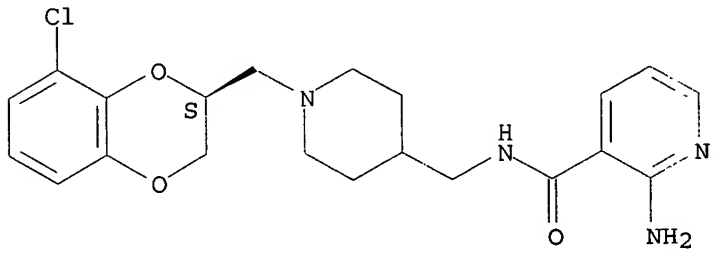
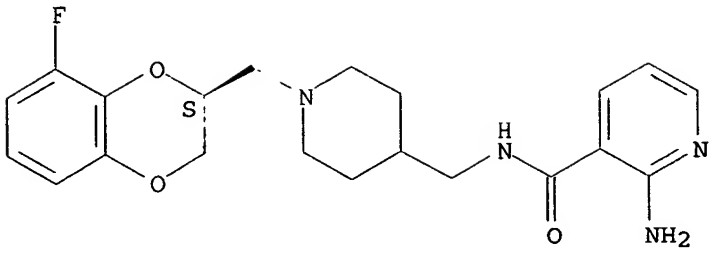
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187542-76-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

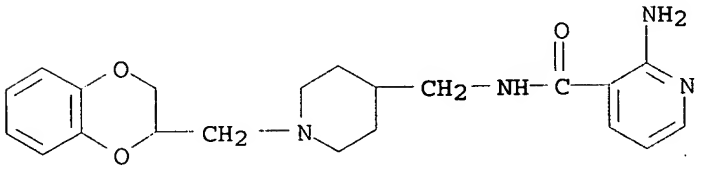
Absolute stereochemistry. Rotation (-).



RN 187542-78-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (2Z)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

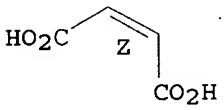
CRN 187542-77-4
CMF C21 H26 N4 O3



CM 2

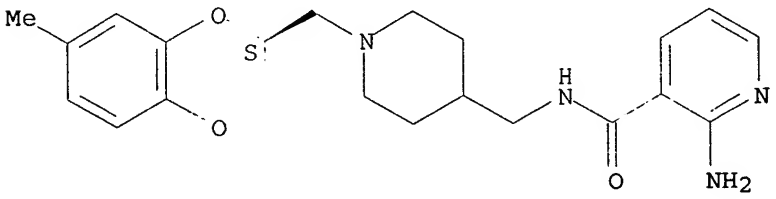
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



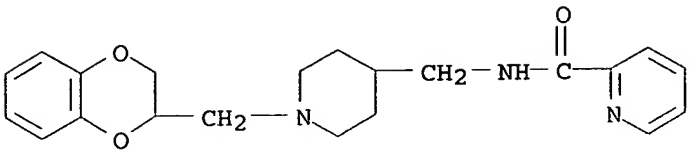
RN 187542-79-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



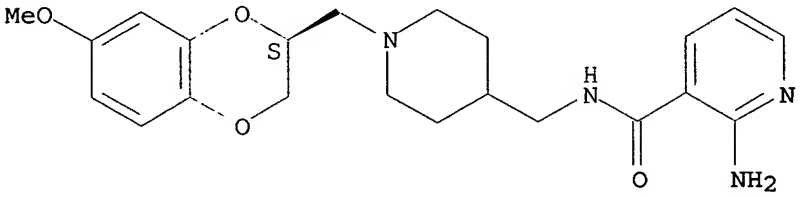
RN 187542-80-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

piperidinyl]methyl]- (9CI) (CA INDEX NAME)

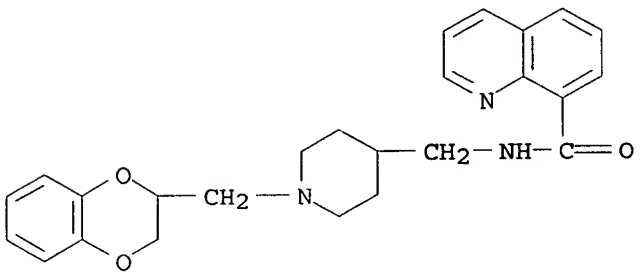


RN 187542-81-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

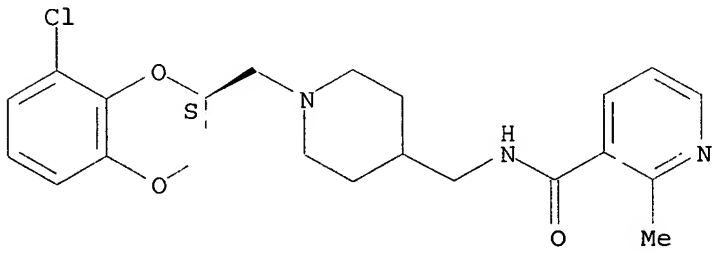


RN 187542-82-1 CAPLUS
CN 8-Quinolinecarboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

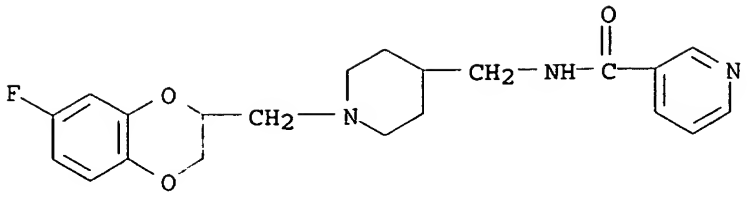


RN 187542-83-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

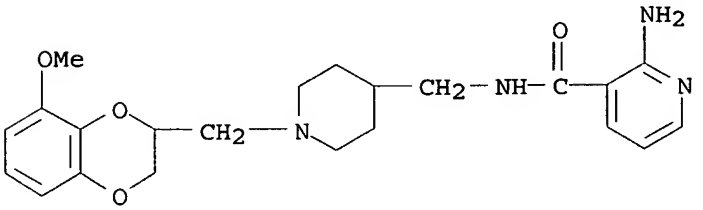
Absolute stereochemistry. Rotation (-).



RN 187542-84-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

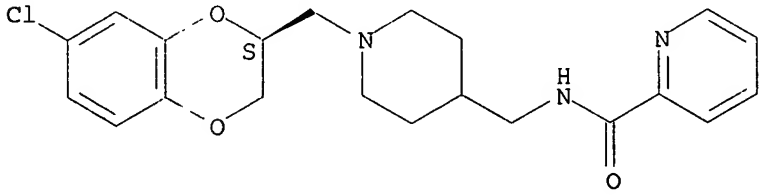


RN 187542-85-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



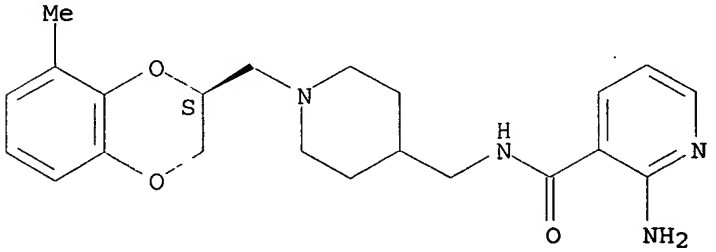
RN 187542-86-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



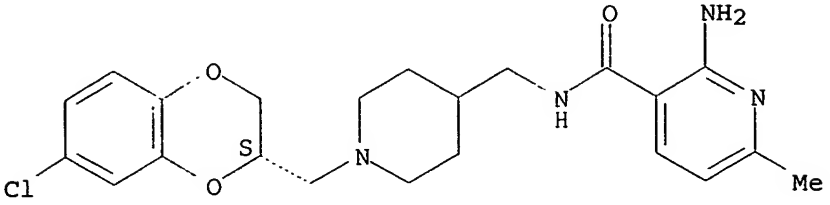
RN 187542-87-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



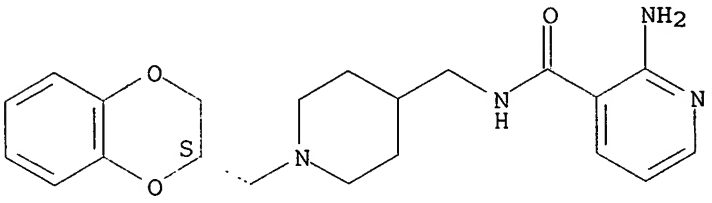
RN 187542-88-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

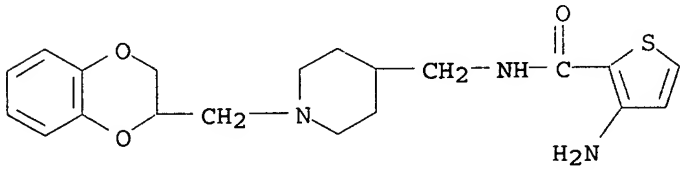


RN 187542-89-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

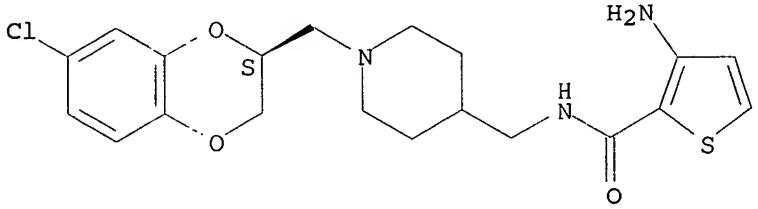


RN 187542-90-1 CAPLUS
CN 2-Thiophenecarboxamide, 3-amino-N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



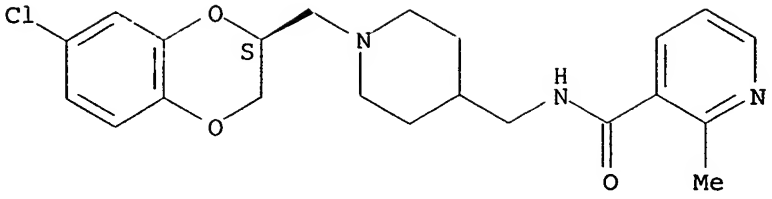
RN 187542-91-2 CAPLUS
CN 2-Thiophenecarboxamide, 3-amino-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



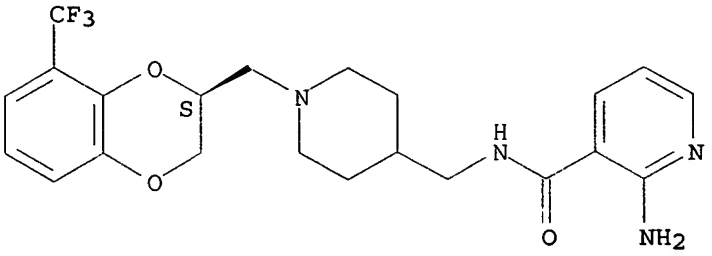
RN 187542-92-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



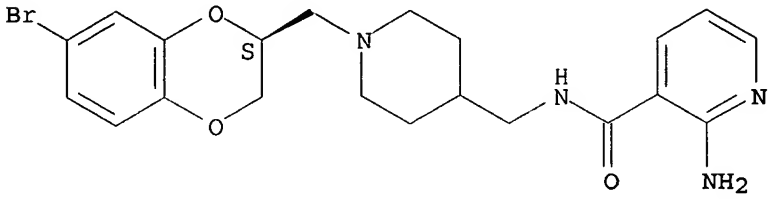
RN 187542-95-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



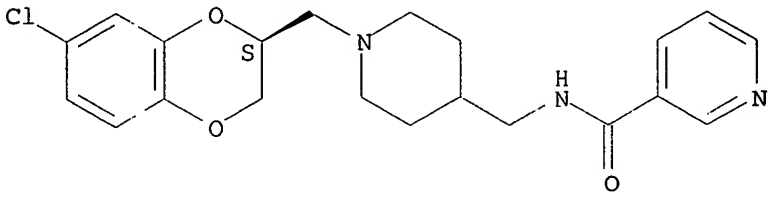
RN 187542-96-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



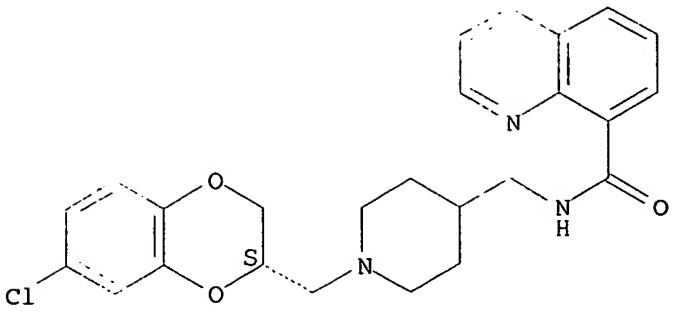
RN 187542-97-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



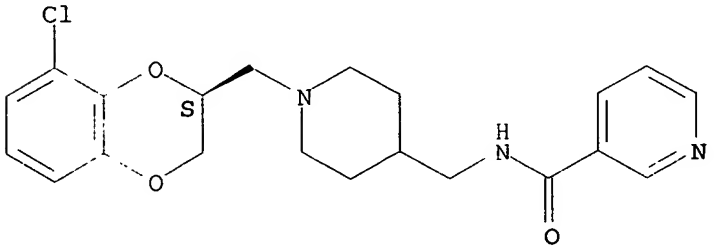
RN 187542-98-9 CAPLUS
CN 8-Quinolinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187542-99-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

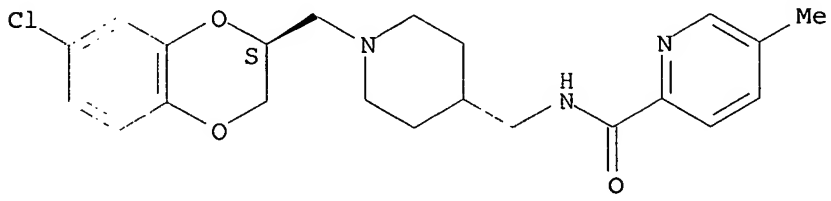


RN 187543-01-7 CAPLUS
CN 2-Pyridinecarboxamide, N-[[1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-5-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 187543-00-6
CMF C22 H26 Cl N3 O3

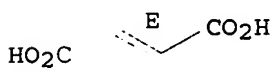
Absolute stereochemistry. Rotation (-).



CM 2

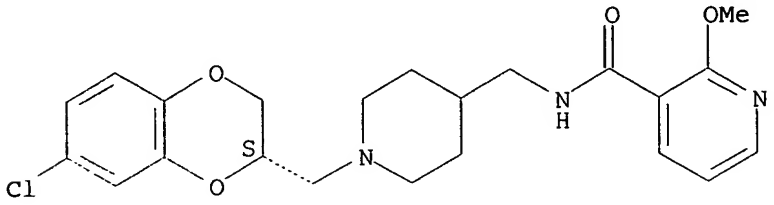
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 187543-02-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methoxy-, hydrochloride (5:3), (S)- (9CI) (CA INDEX NAME)

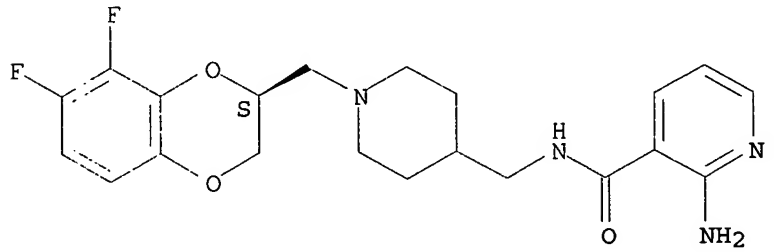
Absolute stereochemistry. Rotation (-).



●3/5 HCl

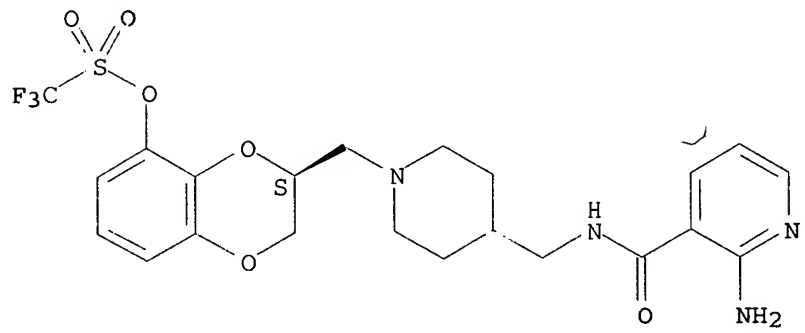
RN 187543-03-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[1-[(7,8-difluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



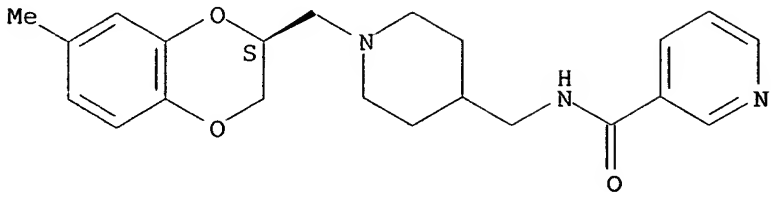
RN 187543-04-0 CAPLUS
CN Methanesulfonic acid, trifluoro-, 3-[[4-[[[(2-amino-3-pyridinyl)carbonyl]amino]methyl]-1-piperidinyl]methyl]-2,3-dihydro-1,4-benzodioxin-5-yl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



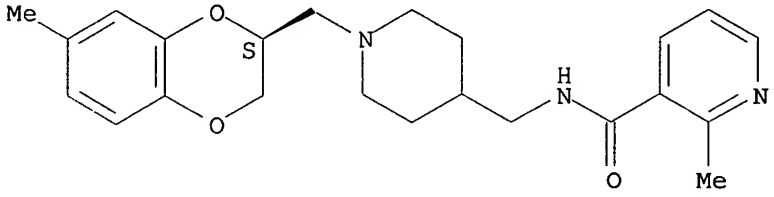
RN 187543-07-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



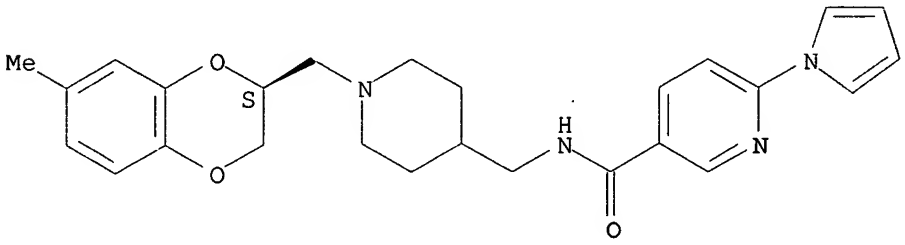
RN 187543-08-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



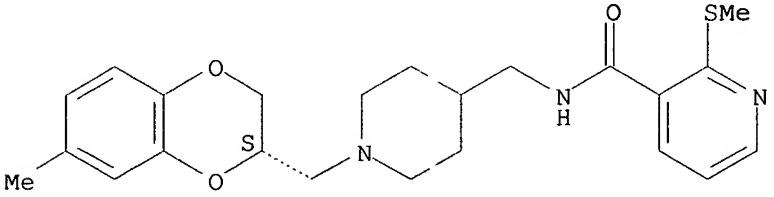
RN 187543-09-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187543-10-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

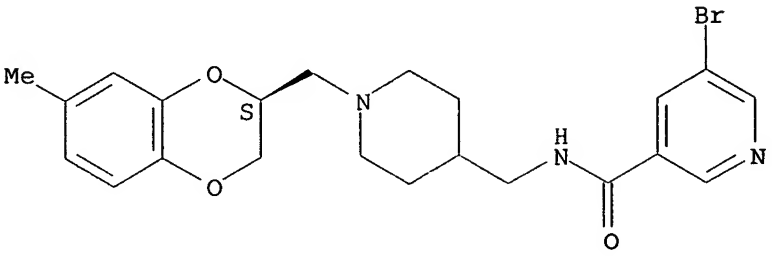
Absolute stereochemistry.



RN 187543-11-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(2,3-dihydro-7-methyl-1,4-

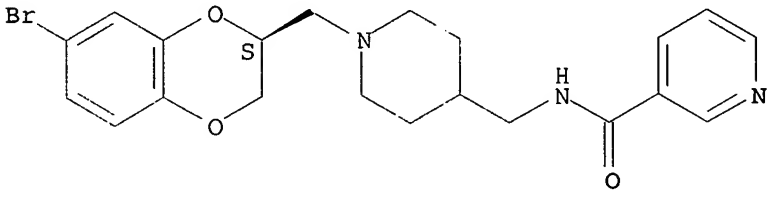
benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



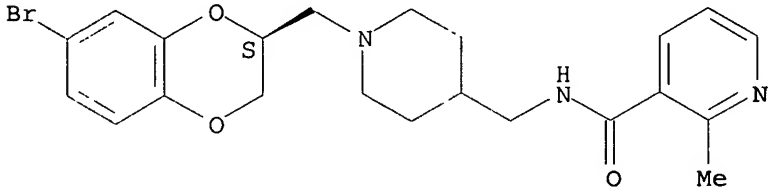
RN 187543-12-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



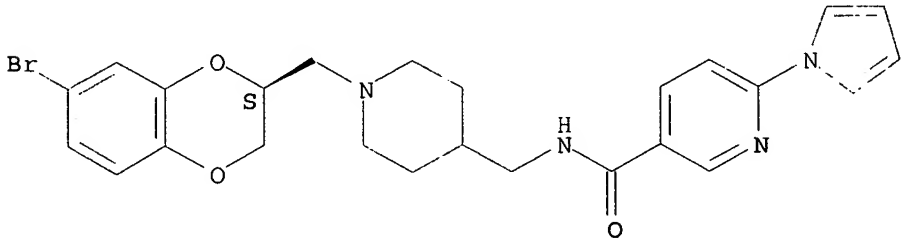
RN 187543-13-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



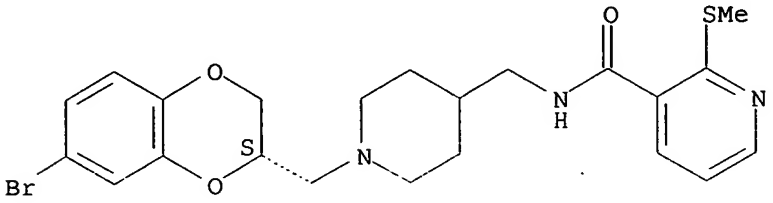
RN 187543-14-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



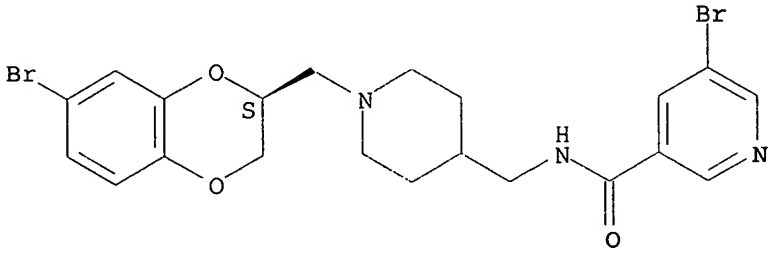
RN 187543-15-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



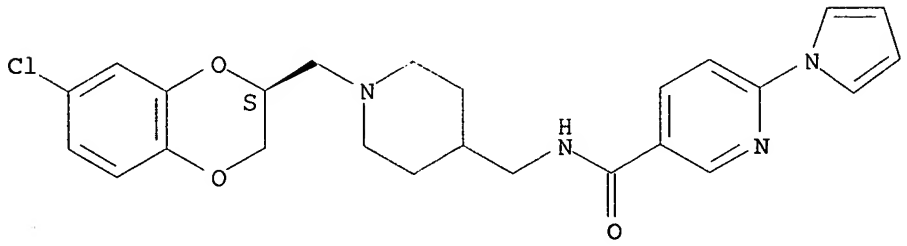
RN 187543-16-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



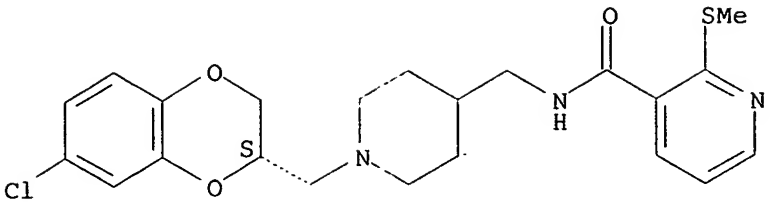
RN 187543-17-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



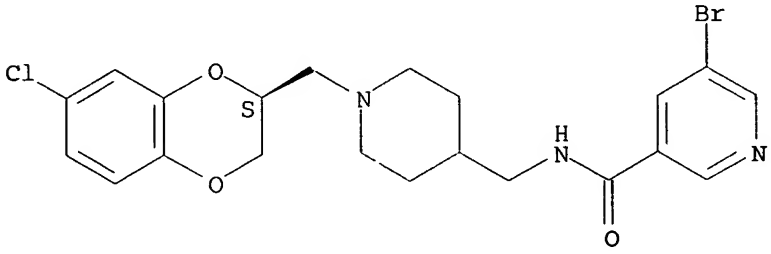
RN 187543-18-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



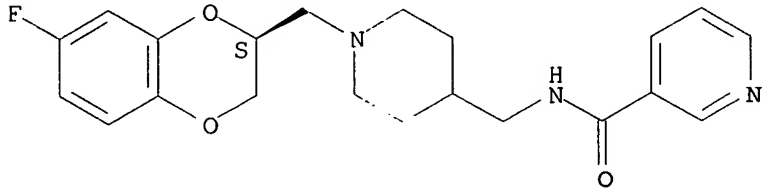
RN 187543-19-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



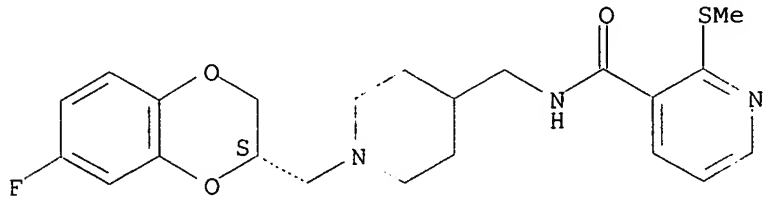
RN 187543-20-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



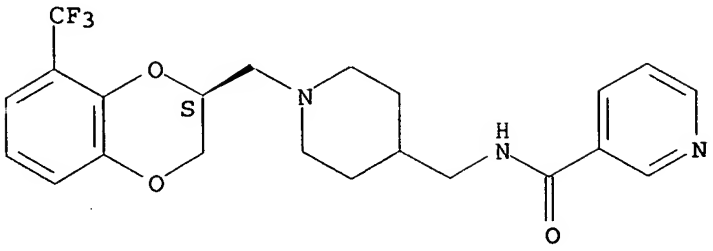
RN 187543-21-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



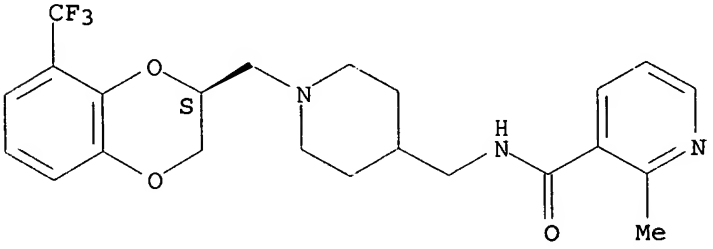
RN 187543-22-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



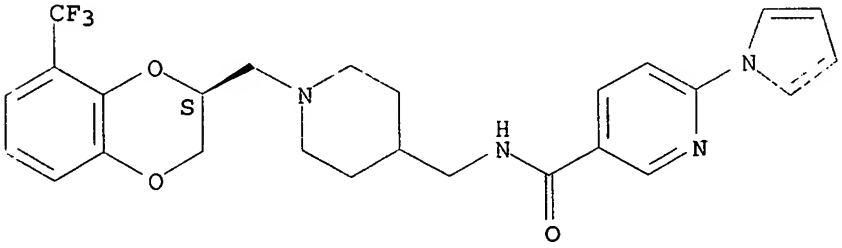
RN 187543-23-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



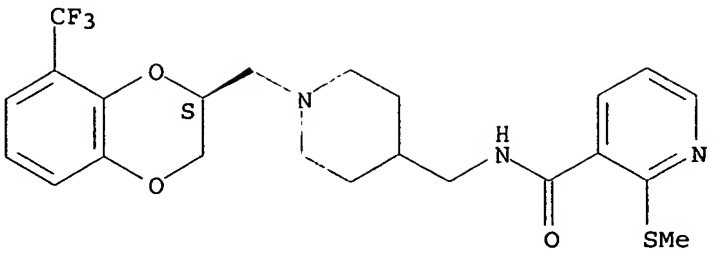
RN 187543-24-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



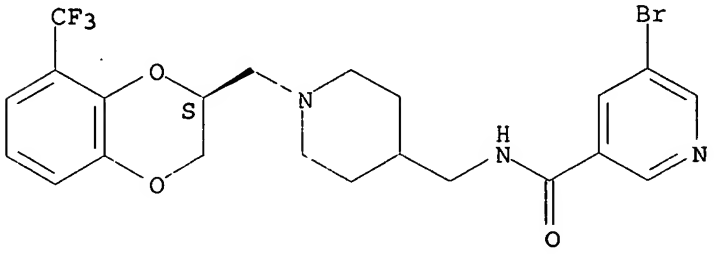
RN 187543-25-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



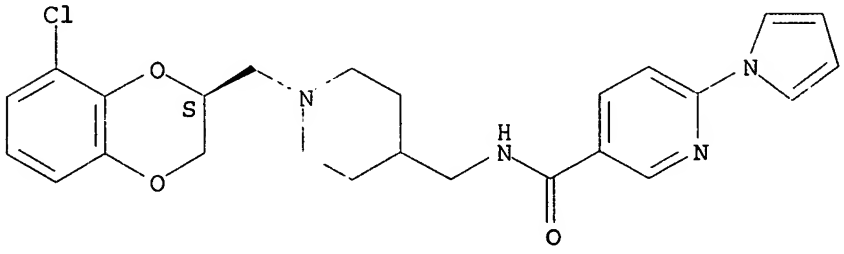
RN 187543-26-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



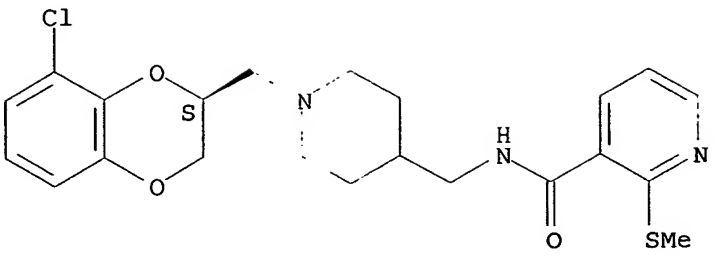
RN 187543-27-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



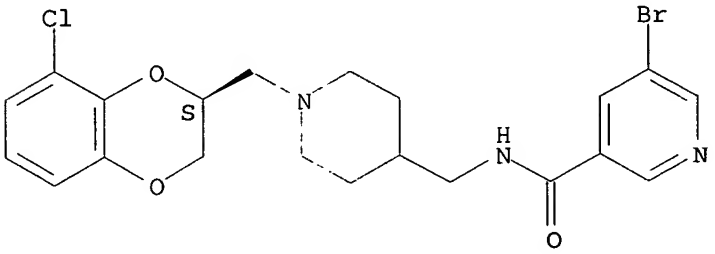
RN 187543-28-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-2-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187543-30-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

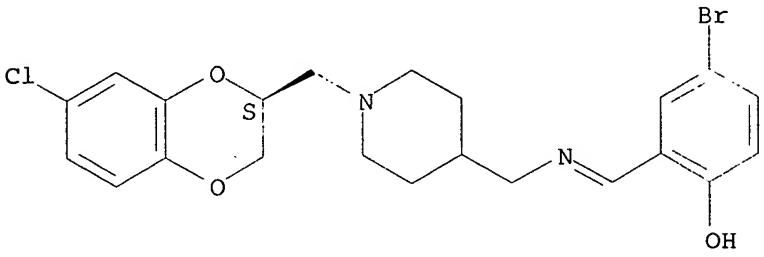
Absolute stereochemistry.



IT **187543-41-5P 187543-43-7P 187543-66-4P 187543-67-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxanylmethylpiperidinylmethylcarbamoylpyridines as neurotransmitter agonists)

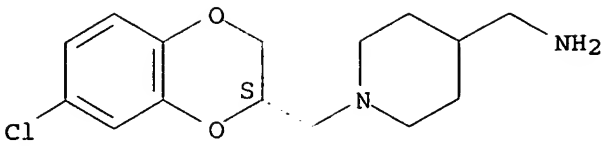
RN 187543-41-5 CAPLUS
CN Phenol, 4-bromo-2-[[[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]imino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



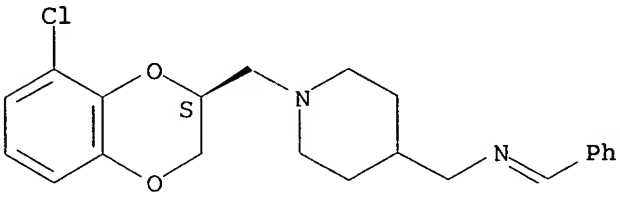
RN 187543-43-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



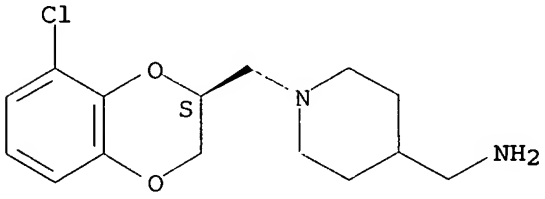
RN 187543-66-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethylene)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 187543-67-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:921838 CAPLUS
DOCUMENT NUMBER: 123:340154
TITLE: Preparation of aromatic bicyclic heterocyclic compounds as serotoninergic and dopaminergic receptor antagonists
INVENTOR(S): Kerrigan, Frank; Heal, David John; Martin, Keith Frank
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507274	A1	19950316	WO 1994-EP2904	19940901

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,

				NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG
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CA	2170056						AA		19950316		CA	1994-2170056						19940901	
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AU	689802						B2		19980409										
EP	717739						A1		19960626		EP	1994-927531						19940901	
EP	717739						B1		20000329										
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CN	1052723						B		20000524										
BR	9407413						A		19961112		BR	1994-7413						19940901	
JP	09502431						T2		19970311		JP	1994-508440						19940901	
HU	75875						A2		19970528		HU	1996-552						19940901	
RU	2136680						C1		19990910		RU	1996-113203						19940901	
PL	178270						B1		20000331		PL	1994-313347						19940901	
AT	191214						E		20000415		AT	1994-927531						19940901	
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PT	717739						T		20000731		PT	1994-927531						19940901	
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ZA	9406798						A		19950406		ZA	1994-6798						19940905	
BG	63272						B1		20010831		BG	1996-100388						19960229	
FI	9601016						A		19960305		FI	1996-1016						19960305	
NO	9600888						A		19960305		NO	1996-888						19960305	
NO	308536						B1		20000925										
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PRIORITY APPLN. INFO.:											GB	1993-18431					A	19930906	
											WO	1994-EP2904					W	19940901	

OTHER SOURCE(S): MARPAT 123:340154

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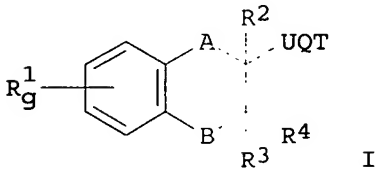


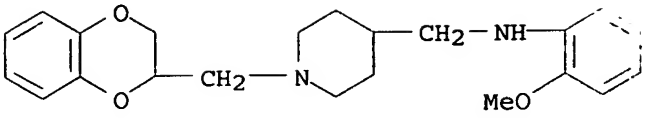
I

AB The title compds. [I; A, B = CH2, O; Q = N-containing (un)substituted bridging group; R1 = halogen, (un)substituted alkyl, alkoxy, alkylthio, OH, acyloxy, CN, alkoxycarbonyl, (un)substituted carbamoyl, etc.; R2 = alkyl, alkoxy; R3, R4 = H, alkyl; T = (un)substituted N-containing heteroaryl, benzofuranyl, benzodioxanyl; U = (un)substituted alkylene; g = 0-4], useful as serotoninergic, adrenergic, and dopaminergic receptor antagonists, are prepared and I-containing formulations presented. Thus, N-(1,4-benzodioxan-2-ylmethyl)-1-[1-(3-chloropyrid-2-yl)piperid-4-yl]methylamine 1.4 hydrochloride , m.p. 251-253°, was prepared from 2,3-dichloropyridine and demonstrated a Ki of 1.9 nM against rat brain-derived 5-HT1A receptors.

IT **170352-81-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed compound; preparation of aromatic bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)

RN 170352-81-5 CAPLUS

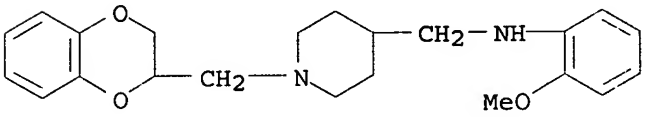
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



IT **170352-82-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)
RN 170352-82-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

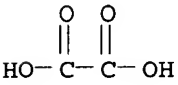
CM 1

CRN 170352-81-5
CMF C22 H28 N2 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4



L6 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:213091 CAPLUS
DOCUMENT NUMBER: 118:213091
TITLE: Preparation of piperidinylmethylbenzodioxanes as central nervous system agents
INVENTOR(S): Stack, Gary P.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5182292	A	19930126	US 1991-719886	19910621
US 5212170	A	19930518	US 1992-882405	19920513
US 5221745	A	19930622	US 1992-882200	19920513

PRIORITY APPLN. INFO.: US 1991-719886 A3 19910621
OTHER SOURCE(S): MARPAT 118:213091

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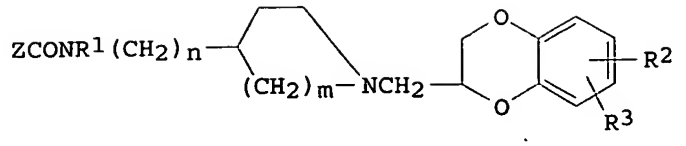

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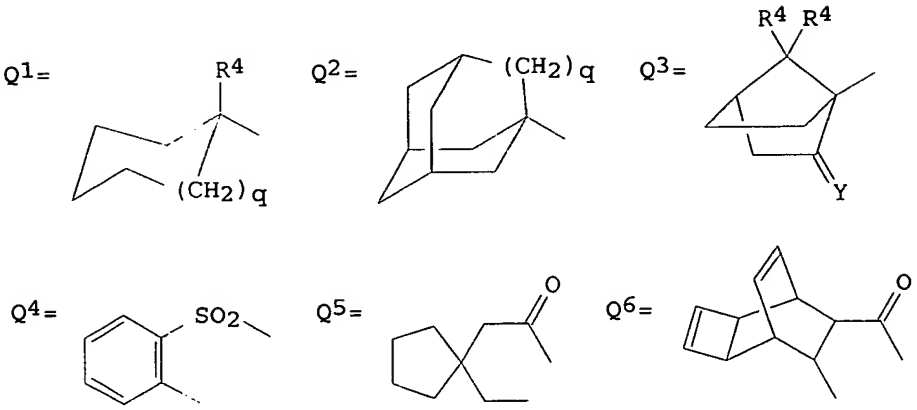
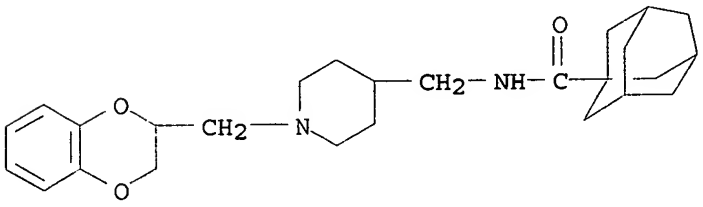


AB Title compds. [I; Z = Me2R4C, Q1, Q2, Q3; ZR1 = Q4-Q6, etc.; R4 = H, alkyl; q = 0-2; Y = H2, O; R1 = H, alkyl; R2, R3 = H, alkyl, alkoxy, alkoxy, alkanoyloxy, OH, halo, (di)(alkyl)amino, alkanamido, sulfonamido; R2R3 = OCH2O; OCH2CH2O, OCH2CH2CH2O; m = 1-3; n = 0, 1], were prepared Thus, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-aminomethylpiperidine and decahydro-1,5-methano-6,7,9-methanopentaleno[1,2-d]oxopine-2,4(1H,5H)-dione were refluxed in xylene with azeotropic removal of H2O to give 3-[[1-[2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]decahydro-2H-1,5-methano-6,7,9-methanopentaleno[1,2-d]azepine-2,4-(3H)-dione. This at 1 μM gave 97% inhibition of 3H-spiroperidol binding to D2 receptors in limbic brain tissue prepns., and at 0.1 μM gave 96% inhibition of 3H-dipropylaminotetralin binding to 5HT1A receptors.

IT **147181-38-2P 147181-39-3P 147196-89-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as dopamine and serotonin receptor ligand)

RN 147181-38-2 CAPLUS

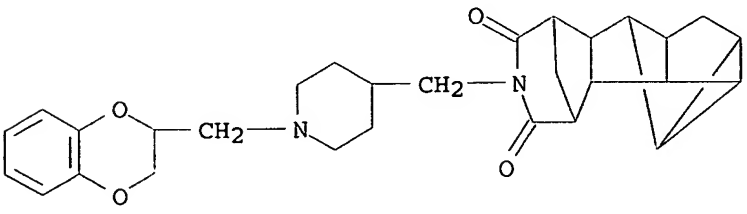
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

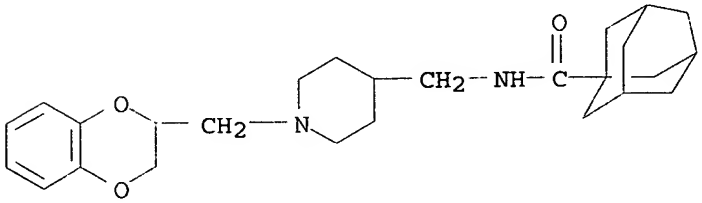
RN 147181-39-3 CAPLUS

CN 1,5-Methano-6,7,9-metheno-1H-pentaleno[1,2-d]azepine-2,4(3H,5H)-dione, 3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]octahydro-, monohydrochloride (9CI) (CA INDEX NAME)

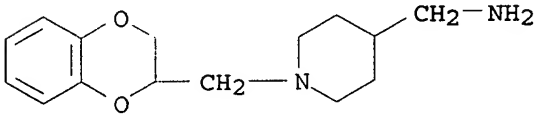


● HCl

RN 147196-89-2 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **89483-75-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of dopamine and serotonin receptor ligand)
RN 89483-75-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

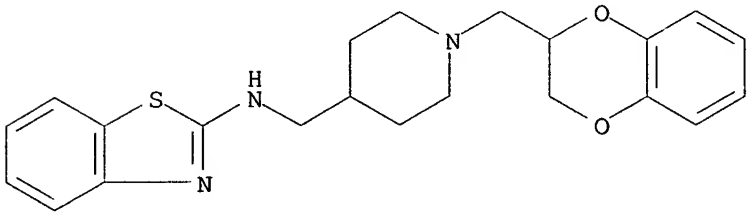


L6 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 1991:464574 CAPLUS
DOCUMENT NUMBER: 115:64574
TITLE: Effects of an alpha2 antagonist in a 20-year-old Java monkey with MPTP-induced Parkinsonian signs
AUTHOR(S): Colpaert, F. C.; Degryse, A. D.; Van Craenendonck, H.
CORPORATE SOURCE: Dep. Psychopharmacol., Janssen Pharm., Beerse, B-2340, Belg.
SOURCE: Brain Research Bulletin (1991), 26(4), 627-31
CODEN: BRBUDU; ISSN: 0361-9230
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The study attempted to verify whether activation of locus coeruleus neurons by alpha2 antagonists might improve parkinsonian signs. Treatment with the racemic alpha2 antagonist R 47 243 of a monkey with MPTP-induced parkinsonian signs normalized blink rate, reduced resting tremor, and

improved several other parkinsonian signs. In a second experiment, the (-)-isomer R 62 651 produced a gradual change in tremor which was the inverse of the manner in which tremor had become installed as the result of progression earlier upon the MPTP challenge. It is proposed that further research be conducted to determine whether alpha2 antagonists may beneficially influence the progression of Parkinson's disease.

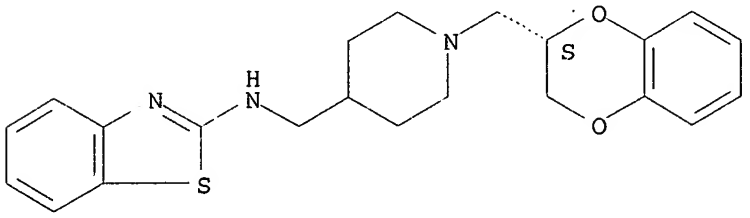
IT **104383-18-8**, R 47243 **104383-19-9**, R 62651
RL: BIOL (Biological study)
(Parkinsonism from MPTP response to, α2-adrenergic receptors in)

RN 104383-18-8 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 104383-19-9 CAPLUS
CN 2-Benzothiazolamine, N-[[1-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



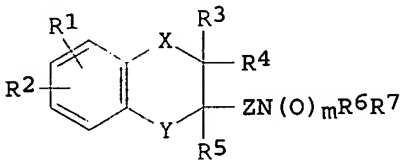
L6 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:515318 CAPLUS
DOCUMENT NUMBER: 113:115318
TITLE: Preparation of benzodioxan-2-ylalkylamines and analogs as agrochemical fungicides
INVENTOR(S): Selby, Thomas Paul
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9002122	A1	19900308	WO 1989-US3436	19890815
W: AU, BB, BG, BR, DK, FI, HU, JP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
EP 359400	A1	19900321	EP 1989-308246	19890815

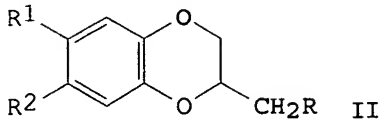
R: ES, GR					
AU 8942025	A1	19900323	AU 1989-42025		19890815
EP 429535	A1	19910605	EP 1989-910036		19890815
R: DE, FR, GB, IT					
JP 04500075	T2	19920109	JP 1989-509540		19890815
CN 1040371	A	19900314	CN 1989-106494		19890816
ZA 8906254	A	19910424	ZA 1989-6254		19890816
PRIORITY APPLN. INFO.:			US 1988-232682	A2	19880816
			WO 1989-US3436	A	19890815

OTHER SOURCE(S): MARPAT 113:115318

GI



I



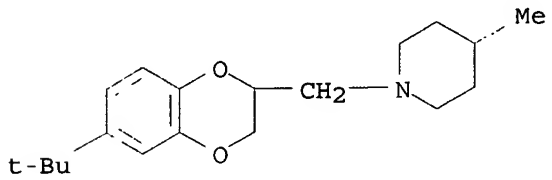
II

AB The title compds. [I; R1, R2 = H, halo, alkoxy, substituted Ph, (un)substituted alkyl, etc.; R3-R5 = H, Me, Et, CF3; R6, R7 = alkyl; NR6R7 = (un)substituted heterocyclyl; X, Y = O, S; Z = alkylene; m = 0, 1] were prepared Thus, 4-tert-butylcatechol was refluxed 2 h with BrCH2CH:CHCO2Et in MeCN containing K2CO3 to give benzodioxanylacetates II (R1 = Me3C and R2 = H, R1 = H and R2 = Me3C) (III; R = CO2Et) which was converted in 2 steps to III (R = COCl). The latter was stirred 1 h with piperidine in THF and the product reduced with LiAlH4 to give III (R = piperidinomethyl). III.HCl (R = cis-3,5-dimethylpiperidinomethyl) gave 47-100% control of 6 fungi, e.g., 77% control of Phytophthora infestans on tomato seedlings, when sprayed at 200 ppm.

IT **129018-87-7P 129019-07-4P 129019-21-2P 129019-42-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as agrochem. fungicide)

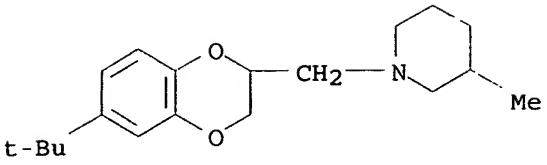
RN 129018-87-7 CAPLUS

CN Piperidine, 1-[[6-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

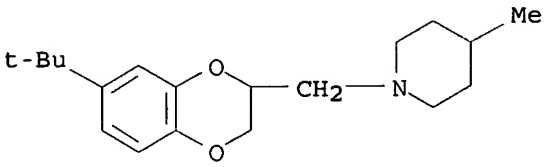


RN 129019-07-4 CAPLUS

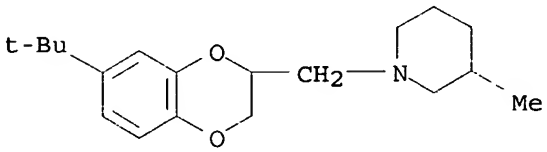
CN Piperidine, 1-[[6-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 129019-21-2 CAPLUS
CN Piperidine, 1-[[7-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



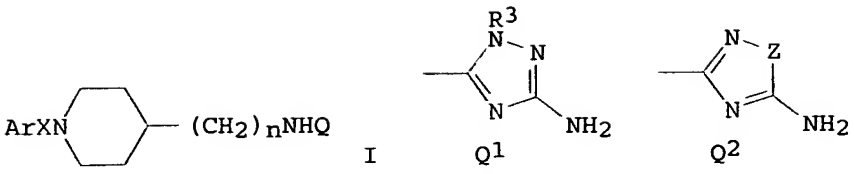
RN 129019-42-7 CAPLUS
CN Piperidine, 1-[[7-(1,1-dimethylethyl)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:549544 CAPLUS
DOCUMENT NUMBER: 109:149544
TITLE: Preparation of N-substituted [(4-piperidylalkyl)amino]triazoles and -oxadiazoles as antihypertensives
INVENTOR(S): Cornu, Pierre Jean; Perrin, Claude; Dumaitre, Bernard; Streichenberger, Gilles
PATENT ASSIGNEE(S): Bouchara S. A., Fr.
SOURCE: Can., 42 pp.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 1231950	A1	19880126	CA 1983-433207		19830726
PRIORITY APPLN. INFO.:			FR 1983-13010	A	19820726

OTHER SOURCE(S): MARPAT 109:149544
GI

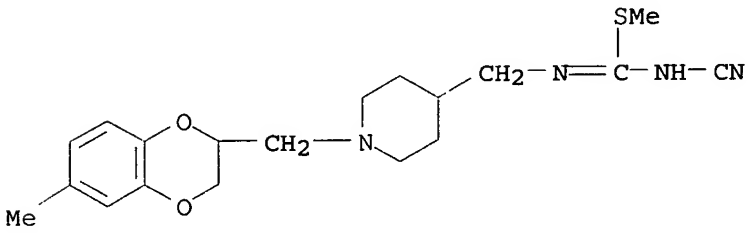


AB The title compds. [I; Ar = pyridyl, oxazolyl, pyrazolyl, (un)substituted Ph, benzodioxanyl, indolyl; Q = Q1, Q2; R3 = H, alkyl, aryl, aralkyl; X = (CH2)m, CO(CH2)q, CH(OH)(CH2)q, C(OR1)(OR2)(CH2)q; R1, R2 = alkyl; R1R2 = alkylene; Z = NH, NR3, O; m = 1-4; n = 0-2; q = 1-3] were prepared 1-(1,4-Benzodioxan-2-ylethyl)-4-(aminomethyl)piperidine and (MeS)2C:NCN were refluxed 4 h in EtOH to give I [Ar = 1,4-benzodioxan-4-yl, Q = C(:NCN)SMe, X = CH2CH2, n = 1]. Similarly prepared I (Ar, Q, n as above, X = CH2) was refluxed 4 h in EtOH with hydrazine hydrate to give I (Ar = 1,4-benzodioxan-4-yl, Q = Q1, R3 = H, n = 1) (II). Tablets were prepared containing II 50, starch 620, cellulose 375, CaSO4 510, CM-cellulose 20, and ethylcellulose 15 g per 104. A marked hypotensive effect was produced in anesthetized rats and dogs at 2-10 and 20-50 μg/kg i.v. by most active I and other I, resp.

IT **89483-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antihypertensives)

RN 89483-82-9 CAPLUS

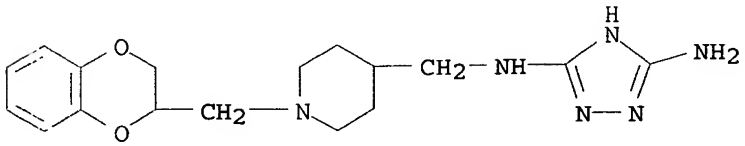
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **90618-24-9P 90618-29-4P 90618-30-7P**
90618-31-8P 90618-34-1P 116732-11-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 90618-24-9 CAPLUS

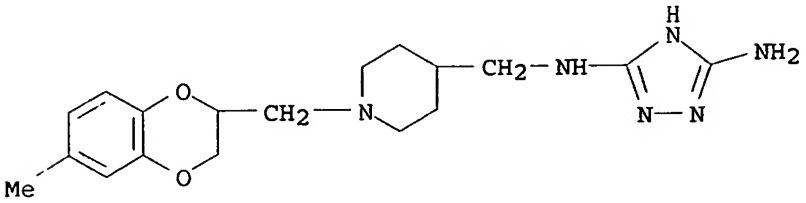
CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



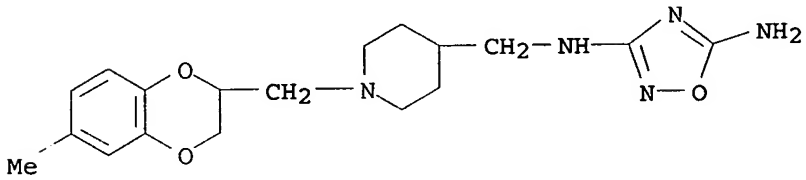
RN 90618-29-4 CAPLUS

CN 1H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-6-methyl-1,4-

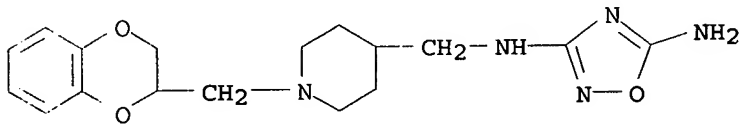
benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



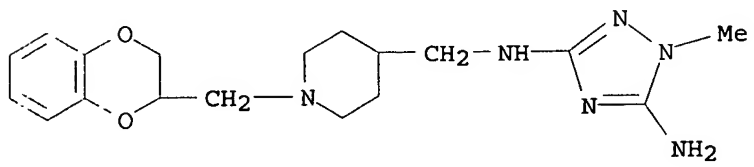
RN 90618-30-7 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



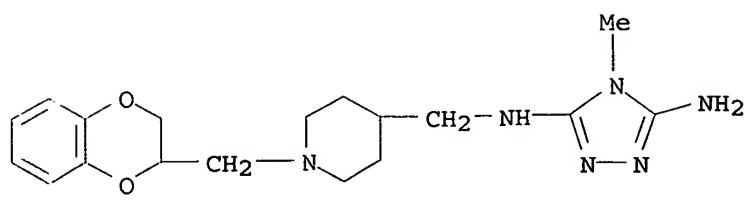
RN 90618-31-8 CAPLUS
CN 1,2,4-Oxadiazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



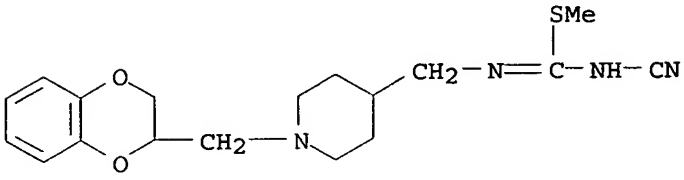
RN 90618-34-1 CAPLUS
CN 1H-1,2,4-Triazole-3,5-diamine, N3-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



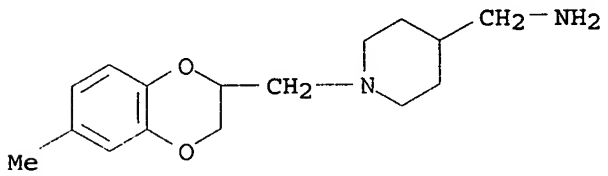
RN 116732-11-7 CAPLUS
CN 4H-1,2,4-Triazole-3,5-diamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



IT **89483-76-1 89483-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antihypertensives)
RN 89483-76-1 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 89483-81-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-6-methyl-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



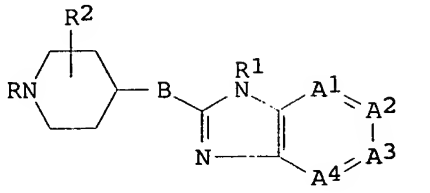
L6 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:437821 CAPLUS
DOCUMENT NUMBER: 109:37821
TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics
INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	19850624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
DK 8500089	A	19850710	DK 1985-89	19850108
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		

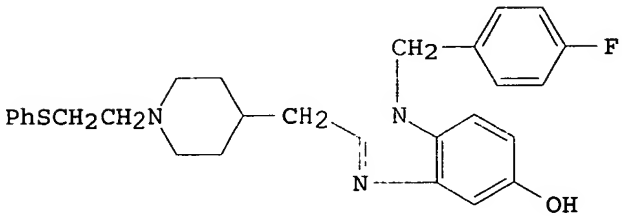
HU 36471	A2	19850930	HU 1985-61		19850108
HU 200338	B	19900528			
ZA 8500187	A	19860827	ZA 1985-187		19850108
RO 90622	B3	19861210	RO 1985-117252		19850108
SU 1396964	A3	19880515	SU 1985-3836858		19850108
IL 74018	A1	19880831	IL 1985-74018		19850108
PL 145710	B1	19881031	PL 1985-251488		19850109
US 4839374	A	19890613	US 1987-94987		19870910
PRIORITY APPLN. INFO.:			US 1984-569369	A2	19840109
			US 1984-671135	A2	19841113
			US 1985-747754	A3	19850624

OTHER SOURCE(S): CASREACT 109:37821

GI



I



II

AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH2, O, SO, SO2; R = substituted C1-6 alkyl, alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prepared as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2Br were refluxed 2 h in Me2CHCH2COMe containing Na2CO3 to give 27.8% benzimidazole derivative (II). I inhibited compound 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

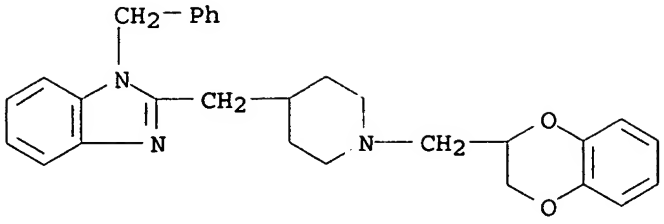
IT **99953-86-3P 99953-90-9P 99953-94-3P**
99953-96-5P 99953-98-7P 99963-45-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihistaminic)

RN 99953-86-3 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-(phenylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

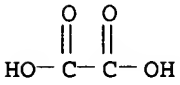
CM 1

CRN 99953-85-2
CMF C29 H31 N3 O2



CM 2

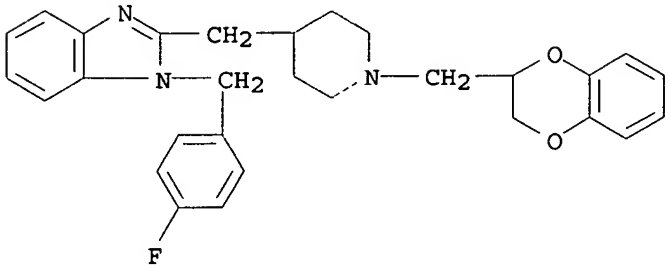
CRN 144-62-7
CMF C2 H2 O4



RN 99953-90-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

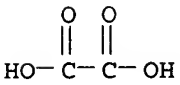
CM 1

CRN 99953-89-6
CMF C29 H30 F N3 O2



CM 2

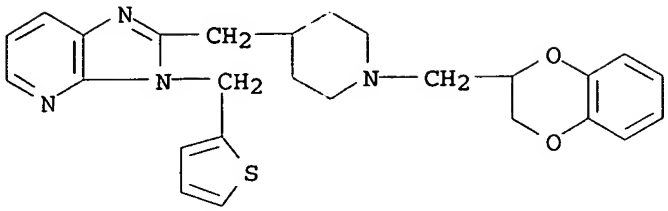
CRN 144-62-7
CMF C2 H2 O4



RN 99953-94-3 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-thienylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

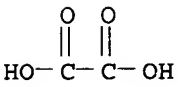
CM 1

CRN 99953-93-2
CMF C26 H28 N4 O2 S



CM 2

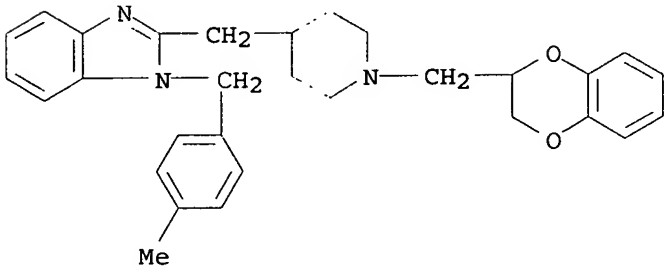
CRN 144-62-7
CMF C2 H2 O4



RN 99953-96-5 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-1-[(4-methylphenyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

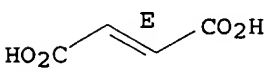
CRN 99953-95-4
CMF C30 H33 N3 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

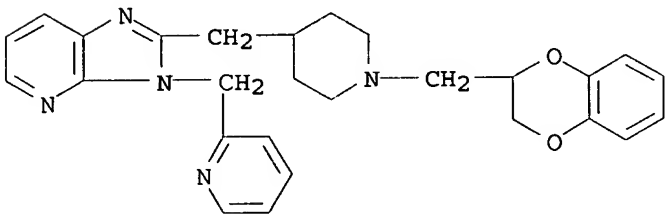
Double bond geometry as shown.



RN 99953-98-7 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-(2-pyridinylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

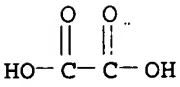
CM 1

CRN 99953-97-6
CMF C27 H29 N5 O2



CM 2

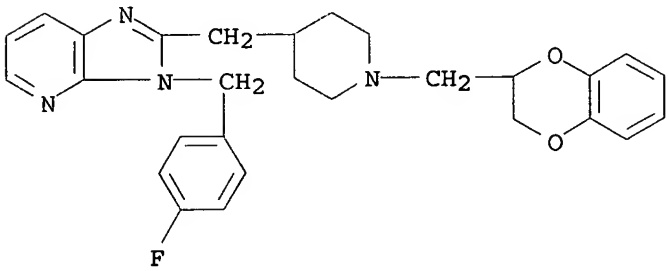
CRN 144-62-7
CMF C2 H2 O4



RN 99963-45-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-3-[(4-fluorophenyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

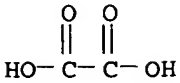
CM 1

CRN 99963-44-7
CMF C28 H29 F N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	192.87	371.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.75	-24.75

STN INTERNATIONAL LOGOFF AT 11:15:56 ON 03 JAN 2006